Scientific and Technical Information Center

329507

# EIC 1600/2900 SEARCH REQUEST

SIRA

Today's Date 4-27-2010 (STIC)

| | |
|---|---|
| Name Clinton Brooks | Priority App. Filing Date 12/12/2005 |
| AU/Org, 1621 Examiner # | Case/App. # 10/581947 |
| Mailbox # 5C29 Phone | **Format for Search Results** |
| | SCORE PAPER__ |

Meaning of unusual acronyms or initialisms

Identify the novelty

Please search the genus of claim 1 as currently amended

**Additional Comments/Drawings**

Please submit completed form to your EIC.

**STIC USE ONLY**

Searcher Date Completed

Phone Sources

```
=> file registry
FILE 'REGISTRY' ENTERED AT 13:53:14 ON 30 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
```

provided by InfoChem.

STRUCTURE FILE UPDATES: 29 APR 2010 HIGHEST RN 1220951-91-6
DICTIONARY FILE UPDATES: 29 APR 2010 HIGHEST RN 1220951-91-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> file zcaplus
FILE 'ZCAPLUS' ENTERED AT 13:53:16 ON 30 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 30 Apr 2010 VOL 152 ISS 19
FILE LAST UPDATED: 29 Apr 2010 (20100429/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L37
L34 72 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON LEBLOND B?/AU,AUTH
L35 0 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON LE BLOND B?/AU,AUTH
L36 27 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON BEAUSOLEIL E?/AU,AUTH

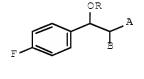
L37 10 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON (L34 OR L35) AND L36

```
=> file medline embase biosis wpix japio compendex
FILE 'MEDLINE' ENTERED AT 13:53:24 ON 30 APR 2010

FILE 'EMBASE' ENTERED AT 13:53:24 ON 30 APR 2010
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 13:53:24 ON 30 APR 2010
Copyright (c) 2010 The Thomson Corporation

FILE 'WPIX' ENTERED AT 13:53:24 ON 30 APR 2010
COPYRIGHT (C) 2010 THOMSON REUTERS

FILE 'JAPIO' ENTERED AT 13:53:24 ON 30 APR 2010
COPYRIGHT (C) 2010 Japanese Patent Office (JPO)- JAPIO

FILE 'COMPENDEX' ENTERED AT 13:53:24 ON 30 APR 2010
Compendex Compilation and Indexing (C) 2010
Elsevier Engineering Information Inc (EEI). All rights reserved.
Compendex (R) is a registered Trademark of Elsevier Engineering Information Inc.

=> d stat que L39
L34          72 SEA FILE=ZCAPLUS SPE=ON  ABB=ON  PLU=ON  LEBLOND B?/AU,AUTH
L35           0 SEA FILE=ZCAPLUS SPE=ON  ABB=ON  PLU=ON  LE BLOND B?/AU,AUTH
L36          27 SEA FILE=ZCAPLUS SPE=ON  ABB=ON  PLU=ON  BEAUSOLEIL E?/AU,AUTH

L37          10 SEA FILE=ZCAPLUS SPE=ON  ABB=ON  PLU=ON  (L34 OR L35) AND L36
L39          17 SEA L37


=> dup rem L37 L39
FILE 'ZCAPLUS' ENTERED AT 13:53:31 ON 30 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 13:53:31 ON 30 APR 2010

FILE 'EMBASE' ENTERED AT 13:53:31 ON 30 APR 2010
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 13:53:31 ON 30 APR 2010
Copyright (c) 2010 The Thomson Corporation

FILE 'WPIX' ENTERED AT 13:53:31 ON 30 APR 2010
COPYRIGHT (C) 2010 THOMSON REUTERS
PROCESSING COMPLETED FOR L37
PROCESSING COMPLETED FOR L39
L40          16 DUP REM L37 L39 (11 DUPLICATES REMOVED)
                ANSWERS '1-10' FROM FILE ZCAPLUS
                ANSWER '11' FROM FILE BIOSIS
                ANSWERS '12-16' FROM FILE WPIX

=> d ibib abs L40 1-10; d iall L40 11-16

L40  ANSWER 1 OF 16  ZCAPLUS  COPYRIGHT 2010 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2009:975172  ZCAPLUS  Full-text
DOCUMENT NUMBER:          151:245486
TITLE:                    Preparation of
                          3-(4-fluorophenyl)-3-hydroxy-2-aminopropionic acid
                          amides and related compounds having analgesic activity
```

INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.; Yang, Rong; Chauvignac, Cedric
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 76pp.; Chemical Indexing Equivalent to 151:528606 (US)
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2009100095 | A1 | 20090813 | WO 2009-US33014 | 20090204 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 20090281085 | A1 | 20091112 | US 2009-364930 | 20090203 |
| PRIORITY APPLN. INFO.: | | | US 2008-26178P P | 20080205 |
| | | | US 2009-364930 A | 20090203 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 151:245486
GI




AB The invention is concerned about compds. according to formula I (A = amide group; B = amine group, N-amide group, sulfonamide group; R = H, C1-6 alkyl, acyl), their preparation, and their use in treatment of pain. Thus Me 2-isocyanoacetate and pyrrolidine were reacted to give 2-isocyano-1-(pyrrolidin-1-yl)ethanone which was reacted with 4-fluorobenzaldehyde to provide (±)-[trans-5-(4-fluorophenyl)-4,5- dihydrooxazol-4-yl](pyrrolidin-1-yl)methanone (II); treating compound II with concentrated HCl in MeOH gave (±)-threo-2-amino-3-(4-fluorophenyl)-3- hydroxy-1-(pyrrolidin-1-yl)propan-1-one hydrochloride, which is a compound of this invention.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2009:54139 ZCAPLUS Full-text
DOCUMENT NUMBER: 150:144312
TITLE: Isoquinoline derivatives as Rac GTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Chauvignac, Cedric; Taverne, Thierry; Picard, Virginie; De Oliveira, Catherine; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics S. A., Fr.
SOURCE: PCT Int. Appl., 124pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2009007457 | A2 | 20090115 | WO 2008-EP59134 | 20080711 |
| WO 2009007457 | A3 | 20090326 | | |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| EP 2014651 | A1 | 20090114 | EP 2007-301230 | 20070712 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| AU 2008274201 | A1 | 20090115 | AU 2008-274201 | 20080711 |
| CA 2692485 | A1 | 20090115 | CA 2008-2692485 | 20080711 |
| PRIORITY APPLN. INFO.: | | | EP 2007-301230 A | 20070712 |
| | | | WO 2008-EP59134 W | 20080711 |

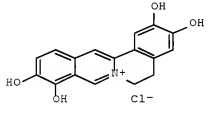
OTHER SOURCE(S): CASREACT 150:144312; MARPAT 150:144312
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formulas I and II, to methods and compns. that affect the GTP-binding activity of members of the Rho family GTPases, preferably Rac GTPases (Rac1, Rac1b, Rac2 and/or Rac3). Compds. of formulas I and II wherein J is C and N; R1-R4 are independently H, halo, C1-6 alkyl, OH, C1-6 alkoxy, C2-6 alkenyl, C2-6 alkynyl, NO2, NH2, etc.; R4 is absent when J is N; R4 is present when J is C; R9-R11 are independently H, OH and C1-6 alkoxy; R2R3 and/or R3R4 may be fused together to form naphthalene and -O(CH2)1-6O- linked to the adjacent cycle; R9R10 and/or R10R11 may be fused together to -O(CH2)1-6O- linked to the adjacent cycle; R12 is H, C1-6 alkyl, C2-6 alkenyl and C2-6 alkynyl; A is N, N+, NH, N+H, N-C1-6 alkyl, N+-C1-6 alkyl and N-arylalkyl; A is preferably N-benzyl and N+-benzyl; B is absent, CH, CH2, C(-Me), C(-benzyl) and C(-phenyl); D is absent, CH and CH2; E is C,

CH and CH2; F and G are independently absent, CH and CH2; with the proviso that at least one of B and D is present; both B and D are present when G and F are absent; when B or D is absent, then G and F are present; R13-R14, R5 and R16 are independently H, OH and C1-6 alkoxy; R13R14 and/or R16R5 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; R15 and R6-R8 are independently H, C1-6 alkyl, C2-6 alkylene and C2-6 alkynyl; H is N, N+, N+-C1-6 alkyl and N+-benzyl; and their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts. thereof, are claimed. Example compound III was prepared by demethylation of berberine chloride. All the invention compds. were evaluated for their Rac GTPases inhibitory activity. From the assay, it was determined that III exhibited the inhibition of 100 % against all of the Rac1, Rac1b and Cdc42;.

L40 ANSWER 3 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2009:1127806 ZCAPLUS Full-text
DOCUMENT NUMBER: 151:528956
TITLE: Structure-activity relationship of isoform selective inhibitors of Rac1/1b GTPase nucleotide binding
AUTHOR(S): Beausoleil, Eric; Chauvignac, Cedric; Taverne, Thierry; Lacombe, Sandrine; Pognante, Laure; Leblond, Bertrand; Pallares, Diego; De Oliveira, Catherine; Bachelot, Florence; Carton, Rachel; Peillon, Helene; Coutadeur, Severine; Picard, Virginie; Lambeng, Nathalie; Desire, Laurent; Schweighoffer, Fabien
CORPORATE SOURCE: Exonhit Therapeutics, Paris, F-75013, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(19), 5594-5598
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 151:528956
GI




I

AB The synthesis of a series of berberine, phenanthridine and isoquinoline derivs. was realized to explore their Rho GTPase nucleotide inhibitory activity. The compds. were evaluated in a nucleotide binding competition assay against Rac1, Rac1b, Cdc42 and in a cellular Rac GTPase activation assay. The insertion of 19 AA in the splice variant Rac1b is shown to be sufficient to introduce a conformational difference that allows compds. such as I to exhibit selective inhibition of Rac1b over Rac1.
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

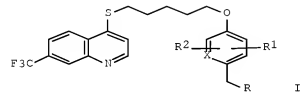
L40 ANSWER 4 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2007:80940 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:184375
TITLE: Preparation of substituted quinolines for treatment of amyloid-β-peptide related disorders
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Desire, Laurent; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.
SOURCE: Eur. Pat. Appl., 38pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1746092 | A1 | 20070124 | EP 2005-291576 | 20050722 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| US 20070027146 | A1 | 20070201 | US 2005-190070 | 20050727 |
| CA 2615897 | A1 | 20070329 | CA 2006-2615897 | 20060721 |
| WO 2007034329 | A2 | 20070329 | WO 2006-IB3242 | 20060721 |
| WO 2007034329 | A3 | 20070830 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| EP 1910334 | A2 | 20080416 | EP 2006-831578 | 20060721 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CA 2616237 | A1 | 20070322 | CA 2006-2616237 | 20060726 |
| WO 2007031878 | A2 | 20070322 | WO 2006-IB3503 | 20060726 |
| WO 2007031878 | A3 | 20070907 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| EP 1951247 | A2 | 20080806 | EP 2006-831657 | 20060726 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20090093471 | A1 | 20090409 | US 2008-989396 | 20080125 |
| US 20090118282 | A1 | 20090507 | US 2008-989024 | 20080619 |
| PRIORITY APPLN. INFO.: | | | EP 2005-291576 A | 20050722 |

| | | | |
|---|---|---|---|
| | US 2005-190070 | A | 20050727 |
| | WO 2006-IB3242 | W | 20060721 |
| | WO 2006-IB3503 | W | 20060726 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:184375; MARPAT 146:184375
GI



I

AB The title compds. I [X = CH or N; R1, R2 = H, halo, alkyl, etc.; R = H, OH, piperidino, morpholino, etc.], useful for the treatment of Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of I.3HCl [X = CH; R1, R2 = H; R = piperazino], starting from 7-trifluoromethyl-4-quinolinethiol and 1,5-dibromopentane, was given. More specifically the inventive compds. I modulate (in particular, inhibit) the level of amyloid-β peptide (Aβ) exhibited by cells or tissues (Aβ peptide is a major component of the amyloid plaques found in the brains of Alzheimer's sufferers). Exemplified compds. I were tested for inhibition of Aβ 40 production in HEK-293 cells overexpressing swAPP751 (data given for representative compds. I). This invention also relates to the use of these inhibitors to prevent, treat or ameliorate the symptoms of Alzheimer's disease or any Amyloid-β-Peptide Related Disorder. Pharmaceutical composition comprising the compound I is also disclosed.

| | | |
|---|---|---|
| OS.CITING REF COUNT: | 1 | THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) |
| REFERENCE COUNT: | 3 | THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L40 ANSWER 5 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 5

| | |
|---|---|
| ACCESSION NUMBER: | 2006:768956 ZCAPLUS Full-text |
| DOCUMENT NUMBER: | 145:188739 |
| TITLE: | Preparation of 3-heterocyclyl-3-hydroxy-2-aminopropionic acid amides and related compounds having analgesic and/or immunostimulant activity |
| INVENTOR(S): | Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E. |
| PATENT ASSIGNEE(S): | Allergan, Inc., USA |
| SOURCE: | PCT Int. Appl., 48pp. CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 4 |

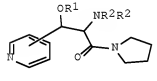
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006081280 | A1 | 20060803 | WO 2006-US2580 | 20060125 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |

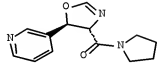
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

| | | | | | |
|---|---|---|---|---|---|
| AU 2006209207 | A1 | 20060803 | AU 2006-209207 | | 20060125 |
| CA 2595544 | A1 | 20060803 | CA 2006-2595544 | | 20060125 |
| EP 1841756 | A1 | 20071010 | EP 2006-719438 | | 20060125 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| JP 2008528602 | T | 20080731 | JP 2007-553193 | | 20060125 |
| BR 2006007304 | A2 | 20090825 | BR 2006-7304 | | 20060125 |
| ZA 2007006010 | A | 20090429 | ZA 2007-6010 | | 20070720 |
| US 20090318499 | A1 | 20091224 | US 2009-814593 | | 20090910 |
| PRIORITY APPLN. INFO.: | | | US 2005-647271P | P | 20050126 |
| | | | WO 2006-US2580 | W | 20060125 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:188739; MARPAT 145:188739
GI



I



II

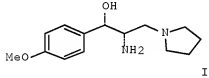
AB Amides I [R1 = H, alkyl, CO-alkyl; each R2 = independently H, carbonylalkylamino, etc.; or NR2R2 = phthalimido; and their pharmaceutically acceptable salts], especially their threo derivs., and their related derivs., having analgesic and/or immunostimulant activity in mammals, were prepared Thus, reacting Me isocyanoacetate with pyrrolidine, followed by cyclization with pyridine-3-carboxaldehyde gave amide II. Selected I showed analgesic activity in the rat Chung model. OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 6 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 6
ACCESSION NUMBER: 2006:768543 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:210740
TITLE: Preparation of α-(1,2-diaminoethyl)benzyl alcohols and related compounds as analgesic agents
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.

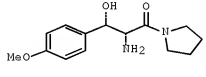
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006081252 | A2 | 20060803 | WO 2006-US2505 | 20060125 |
| WO 2006081252 | A3 | 20061228 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006209197 | A1 | 20060803 | AU 2006-209197 | 20060125 |
| CA 2595519 | A1 | 20060803 | CA 2006-2595519 | 20060125 |
| EP 1841423 | A2 | 20071010 | EP 2006-719390 | 20060125 |
| EP 1841423 | B1 | 20100310 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2008528596 | T | 20080731 | JP 2007-553179 | 20060125 |
| BR 2006007379 | A2 | 20090901 | BR 2006-7379 | 20060125 |
| AT 460159 | T | 20100315 | AT 2006-719390 | 20060125 |
| ZA 2007006010 | A | 20090429 | ZA 2007-6010 | 20070720 |
| US 20090088433 | A1 | 20090402 | US 2008-814604 | 20080402 |

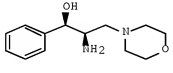
PRIORITY APPLN. INFO.: US 2005-647271P P 20050126
WO 2006-US2505 W 20060125
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:210740
GI




I




II




III

AB Title compds. I, II, III, etc. and their pharmaceutically acceptable salts were prepared For example, LAH reduction of the hydrochloride salt of amide II afforded title compound I in 46% yield. In Chung model pain reversal assays, 12-examples of title compds. exhibited analgesic activity. OS.CITING REF COUNT: 1

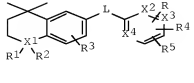
THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 7 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2005:516308 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:43695
TITLE: Preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase (HDAC) inhibitors.
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric
PATENT ASSIGNEE(S): Exonhit Therapeutics S.A., Fr.
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1541549 | A1 | 20050615 | EP 2003-293143 | 20031212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| WO 2005058803 | A1 | 20050630 | WO 2004-IB4334 | 20041210 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1692097 | A1 | 20060823 | EP 2004-806498 | 20041210 |
| EP 1692097 | B1 | 20090902 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| AT 441628 | T | 20090915 | AT 2004-806498 | 20041210 |
| PT 1692097 | E | 20091030 | PT 2004-806498 | 20041210 |
| ES 2330749 | T3 | 20091215 | ES 2004-806498 | 20041210 |
| US 20070129368 | A1 | 20070607 | US 2006-581947 | 20060606 |

PRIORITY APPLN. INFO.: EP 2003-293143 A 20031212
WO 2004-IB4334 W 20041210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:43695; MARPAT 143:43695
GI



I

AB Title compds. [I; R = CONR7R8, COCONR8R9, COCONHMe, COCF3, etc.; R7 = OH, OR9, 2-aminophenyl; R8, R9 = H, alkyl; X1 = C, O, N, S; R1, R2 = null, H, alkyl, 1-2 O; X2, X3 = CH, O, N; X2X3 = S, O, N; X4 = N, CH; R3-R5 = H, OH, NH2, halo, alkyl, perfluoroalkyl, etc.; L = alkylene, alkenylene, alkynylene, (aromatic) cycloalkyl, O, CO, CONH, CF2CONH, SO2NH, NMeSO2, etc.], were prepared Thus, 4-[2,2-difluoro-2-(5,5,8,8-tetramethyl-5,6,7,8- tetrahydronaphthalen-2-yl)acetylamino]benzoic acid (preparation given) was stirred with SOCl2 and cat. DMF at 0° for 1 h. The residue in CH2Cl2 was added to a mixture prepared from hydroxylamine hydrochloride, H2O, and Et3N in THF at 0° followed by stirring at 0° for 10 min. and at room temperature for 17.75 h to give 33.4% 4-[2,2-difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7- yl)acetamido]-N-hydroxybenzamide (EHT 9299). The latter showed HDAC inhibitory activity with IC50 = 424 nM. OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

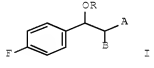
L40 ANSWER 8 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2005:1191062 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:68139
TITLE: RAC1 Inhibition Targets Amyloid Precursor Protein Processing by γ-Secretase and Decreases Aβ Production in Vitro and in Vivo
AUTHOR(S): Desire, Laurent; Bourdin, Jerome; Loiseau, Nadia; Peillon, Helene; Picard, Virginie; De Oliveira, Catherine; Bachelot, Florence; Leblond, Bertrand; Taverne, Thierry; Beausoleil, Eric; Lacombe, Sandrine; Drouin, Dominique; Schweighoffer, Fabien
CORPORATE SOURCE: Exonhit Therapeutics, Paris, 75013, Fr.
SOURCE: Journal of Biological Chemistry (2005), 280(45), 37516-37525
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB β-Amyloid peptides (Aβ) that form the senile plaques of Alzheimer disease consist mainly of 40- and 42-amino acid (Aβ 40 and Aβ 42) peptides generated from the cleavage of the amyloid precursor protein (APP). Generation of Aβ involves β-secretase and γ-secretase activities and is regulated by membrane trafficking of the proteins involved in Aβ production Here we describe a new small mol., EHT 1864, which blocks the Rac1 signaling pathways. In vitro, EHT 1864 blocks Aβ 40 and Aβ 42 production but does not impact sAPPα levels and does not inhibit β-secretase. Rather, EHT 1864 modulates APP processing at the level of γ-secretase to prevent Aβ 40 and Aβ 42 generation. This effect does not result from a direct inhibition of the γ-secretase activity and is specific for APP cleavage, since EHT 1864 does not affect Notch cleavage. In vivo, EHT 1864 significantly reduces Aβ 40 and Aβ 42 levels in guinea pig brains at a threshold that is compatible with delaying plaque accumulation and/or clearing the existing plaque in brain. EHT 1864 is the first derivative of a new chemical series that consists of candidates for inhibiting Aβ formation in the brain of AD patients. Our findings represent the first pharmacol. validation of Rac1 signaling as a target for developing novel therapies for Alzheimer disease. OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS
RECORD (35 CITINGS)
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 9 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1403056 ZCAPLUS Full-text
DOCUMENT NUMBER: 151:528606
TITLE: Preparation of 3-(4-fluorophenyl)-3-hydroxy-2-aminopropionic acid amides and related compounds having analgesic activity
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.; Yang, Rong; Chauvignac, Cedric
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 37 pp., Chemical Indexing Equivalent to 151:245486 (WO)
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20090281085 | A1 | 20091112 | US 2009-364930 | 20090203 |
| WO 2009100095 | A1 | 20090813 | WO 2009-US33014 | 20090204 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2008-26178P P 20080205
US 2009-364930 A 20090203
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI




AB Compds. according to the formula below are disclosed herein:Therapeutic methods, compns., and medicaments related thereto are also disclosed. The invention is concerned about compds. according to formula I (A = amide group; B = amine group, N-amide group, sulfonamide group; R = H, C1-6 alkyl, acyl), their preparation, and their use in treatment of pain. Thus Me 2-isocyanoacetate and pyrrolidine were reacted to give 2-isocyano-1-(pyrrolidin-1-yl)ethanone which was reacted with 4-fluorobenzaldehyde to provide (±)-[trans-5-(4-fluorophenyl)-4,5- dihydrooxazol-4-yl](pyrrolidin-1-yl)methanone

(II); treating compound II with concentrated HCl in MeOH gave (±)-threo-2-amino-3-(4-fluorophenyl)-3- hydroxy-1-(pyrrolidin-1-yl)propan-1-one hydrochloride, which is a compound of this invention.

L40 ANSWER 10 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:45500 ZCAPLUS Full-text
DOCUMENT NUMBER: 150:121499
TITLE: Isoquinoline derivatives as Rac GTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): ~~Leblond, Bertrand~~; ~~Beausoleil, Eric~~; Chauvignac, Cedric; Taverne, Thierry; Picard, Virginie; De Oliveira, Catherine; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.
SOURCE: Eur. Pat. Appl., 46pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 2014651 | A1 | 20090114 | EP 2007-301230 | 20070712 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| AU 2008274201 | A1 | 20090115 | AU 2008-274201 | 20080711 |
| CA 2692485 | A1 | 20090115 | CA 2008-2692485 | 20080711 |
| WO 2009007457 | A2 | 20090115 | WO 2008-EP59134 | 20080711 |
| WO 2009007457 | A3 | 20090326 | | |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |

PRIORITY APPLN. INFO.: EP 2007-301230 A 20070712
WO 2008-EP59134 W 20080711

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formulas I and II, to methods and compns. that affect the GTP-binding activity of members of the Rho family GTPases, preferably Rac GTPases (Rac1, Rac1b, Rac2 and/or Rac3). Compds. of formulas I and II wherein R1, R4 and R12 are independently H, C1-6 alkyl, C2-6 alkenyl and C2-6 alkynyl; R2-R3 and R9-R11 are independently H, OH and C1-6 alkoxy; R2R3, R9R10 and/or R10R11 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; A is N, N+, N+-C1-6 alkyl and N+-arylalkyl; B is absent,

CH, CH2, C(-Me), CH(-Me), C(-benzyl) and C(-phenyl); D is absent, CH and CH2; with the proviso that at least one of B and D is present; E is C, CH and CH2; F and G are independently CH and CH2; R13-R14, R5 and R16 are independently H, OH and C1-6 alkoxy; R13R14 and/or R16R5 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; R15 and R6-R8 are independently H, C1-6 alkyl, C2-6 alkylene and C2-6 alkynyl; H is N, N+, N+-C1-6 alkyl and N+-benzyl; and their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts. thereof, are claimed. Example compound III was prepared by demethylation of berberine chloride. All the invention compds. were evaluated for their Rac GTPases inhibitory activity. From the assay, it was determined that III exhibited the inhibition of 100 % against all of the Rac1, Rac1b and Cdc42;.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 11 OF 16 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2008:572975 BIOSIS Full-text
DOCUMENT NUMBER: PREV200800572974
TITLE: Development of a screening platform for the identification of new Rac1/Rac1b inhibitors active in the prevention of amyloid-beta production.
AUTHOR(S): Lambeng, N. [Reprint Author]; Coutadeur, S.; Peillon, H.; Loiseau, N.; Bachelot, F.; De Oliveira, C.; Carton, R.; Leblond, B.; Beausoleil, E.; Chauvignac, C.; Taverne, T.; Desire, L.
CORPORATE SOURCE: ExonHit Therapeut, Paris, France
SOURCE: European Journal of Neurology, (AUG 2008) Vol. 15, No. Suppl. 3, pp. 36-37.
Meeting Info.: 12th Congress of the European-Federation-of-Neurological-Societies. Madrid, SPAIN. August 23 -26, 2008. European Federat Neurol Soc. ISSN: 1351-5101.
DOCUMENT TYPE: Conference; (Meeting)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 22 Oct 2008
Last Updated on STN: 29 Oct 2008
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Human 02508
Genetics - General 03502
Genetics - Human 03508
Pathology - Therapy 12512
Pharmacology - General 22002
Pharmacology - Clinical pharmacology 22005
Pharmacology - Neuropharmacology 22024
INDEX TERMS: Major Concepts
Pharmacology; Methods and Techniques; Molecular Genetics (Biochemistry and Molecular Biophysics)
INDEX TERMS: Chemicals & Biochemicals
ROS; Rac1b: expression; DCFDA; amyloid-beta-40 [A-beta-40]: production; amyloid-beta-42 [A-beta-42]: production; Rac1/Rac1b inhibitors: neuroprotectant-drug
INDEX TERMS: Methods & Equipment
ELISA: laboratory techniques, immunologic techniques; cell-based assay: laboratory techniques; LDH assay:

laboratory techniques; cellular assay: laboratory techniques; G-LISA: laboratory techniques; BODIPY-GTP exchange assay: laboratory techniques; DATAS method: laboratory techniques

INDEX TERMS: Miscellaneous Descriptors
oxidative stress

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
HEK-293 cell line (cell_line): human embryonic kidney cells
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

GENE NAME: human APP gene (Hominidae): expression

L40 ANSWER 12 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN
ACCESSION NUMBER: 2007-300675 [200729] WPIX Full-text
DOC. NO. CPI: C2007-111140 [200729]
TITLE: Treating amyloid beta peptide-related disorder such as Alzheimer's disease in mammal involves administration of Rac-1 inhibitor to reduce amyloid precursor protein processing
DERWENT CLASS: B02; B04; D16
INVENTOR: BEAUSOLEIL E; DESIRE L; LEBLOND B; PICARD V; SCHWEIGHOFFER F; TAVERNE T
PATENT ASSIGNEE: (EXHO-N) EXHONIT THERAPEUTICS SA; (EXON-N) EXONHIT THERAPEUTICS SA; (BEAU-I) BEAUSOLEIL E; (DESI-I) DESIRE L; (LEBL-I) LEBLOND B; (PICA-I) PICARD V; (SCHW-I) SCHWEIGHOFFER F; (TAVE-I) TAVERNE T
COUNTRY COUNT: 114

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| US 20070027146 | A1 | 20070201 | (200729)* | EN | 25[7] | |
| WO 2007031878 | A2 | 20070322 | (200729) | EN | | |
| EP 1951247 | A2 | 20080806 | (200854) | EN | | |
| CA 2616237 | A1 | 20070322 | (200923) | EN | | |
| US 20090093471 | A1 | 20090409 | (200929) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 20070027146 | A1 | US 2005-190070 | 20050727 |
| CA 2616237 | A1 | CA 2006-2616237 | 20060726 |
| EP 1951247 | A2 | EP 2006-831657 | 20060726 |
| WO 2007031878 | A2 | WO 2006-IB3503 | 20060726 |
| EP 1951247 | A2 | WO 2006-IB3503 | 20060726 |
| CA 2616237 | A1 PCT Application | WO 2006-IB3503 | 20060726 |
| CA 2616237 | A1 PCT Nat. Entry | CA 2006-2616237 | 20080122 |
| US 20090093471 | A1 Cont of | US 2005-190070 | 20050727 |
| US 20090093471 | A1 PCT Application | WO 2006-IB3503 | 20060726 |
| US 20090093471 | A1 | US 2008-989396 | 20080125 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO | |
|---|---|---|---|---|
| EP 1951247 | A2 | Based on | WO 2007031878 | A |
| CA 2616237 | A1 | Based on | WO 2007031878 | A |

PRIORITY APPLN. INFO: US 2005-190070 20050727
US 2008-989396 20080125

INT. PATENT CLASSIF.:
IPC ORIGINAL: A61K [,S]; A61K0031-4709 [I,C]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-496 [I,C]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,A]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-541 [I,C]; A61K0031-541 [I,A]; A61K0031-541 [I,C]; A61P0025-00 [I,C] ; A61P0025-00 [I,C]; A61P0025-28 [I,A]; G01N0033-566 [I,A]; G01N0033-566 [I,C]

ECLA: A61K0031-4709; A61K0031-496; A61K0031-5375; A61K0031-541

USCLASS NCLM: 514/227.800
NCLS: 435/007.800; 514/232.800; 514/253.060; 514/314.000

BASIC ABSTRACT:

US 20070027146 A1 UPAB: 20090409

NOVELTY - Treating (M1) amyloid beta peptide-related disorder in mammals involves administration of Rac-1 inhibitor to reduce amyloid precursor protein (APP) processing in the patient.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for producing, identifying, selecting or optimizing (M2) candidate compounds for use in the treatment of amyloid beta peptide-related disorders involving determining whether a test compound inhibits Rac-1 (as indication that the test compound is a candidate compound for use in the treatment of amyloid beta peptide-related disorders).

ACTIVITY - CNS-Gen.; Neuroprotective; Nootropic; Antiparkinsonian. No biological data given.

MECHANISM OF ACTION - Rac-1 activation inhibitor; Amyloid beta peptide inhibitor; Amyloid precursor protein modulator. The efficacy of 5-(5-(7-(trifluoromethyl)quinolin-4-ylthio)pentyloxy)-2-(morpholinomethyl- )-4H-pyran-4-one dihydrochloride (Ix) for inhibiting Rac-1 activation was determine as follows: NIH3T3 cells were treated with compound (Ix). GST-fusion protein containing the p21-binding domain (PBD) of human p21-activated kinase 1 (PAK1) to affinity precipitate endogenous active Rac-1 (GTP-Rac-1) from cell lysates to monitor the activation of the small GTPase Rac-1. The GST-Pak-PBD fusion protein was incubated with cell lysate and the effector pulled-down active or GTP-Rac-1 was detected by Western blot analysis using a specific Rac-1 antibody. The compound (Ix) strongly inhibited Rac-1 activation in dose-response, leading to more than two times reduction in active Rac-1 levels at 10 muM and undetectable levels of active Rac-1 levels at 50 muM.

USE - For treating amyloid beta peptide-related disorders in mammals such as Alzheimer's disease (claimed), Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, degenerative dementias, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease. Also useful for treating CNS disorder.

ADVANTAGE - The pyran-4-one derivative (I) does not substantially alter Notch cleavage or beta-secretase amyloid precursor protease cleaving enzyme (BACE) activity. The compound (I) are potent, brain penetrant molecules active at inhibiting Rac-1 and APP processing, lowering or preventing production of Abeta (particularly Abeta 40 and Abeta 42) production in vitro and in vivo. MANUAL CODE: CPI: B11-C10A; B14-F08; B14-J01; B14-N16; B14-S20A; D05-A02B; D05-C

L40 ANSWER 13 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN
ACCESSION NUMBER: 2006-559808 [200657] WPIX Full-text
CROSS REFERENCE: 2006-529828; 2006-559807; 2006-559809
DOC. NO. CPI: C2006-174535 [200657]
TITLE: New 3-heteroaryl-3-hydroxy-2-amino-propylamine derivatives useful as analgesic agents for treating pain
DERWENT CLASS: B03
INVENTOR: BEUSOLEIL E; DONELLO J; DONELLO J E; LEBLOND B; TAVERNE T; BEAUSOLEIL E
PATENT ASSIGNEE: (ALLR-C) ALLERGAN INC; (BEAU-I) BEAUSOLEIL E; (DONE-I) DONELLO J E; (LEBL-I) LEBLOND B; (TAVE-I) TAVERNE T
COUNTRY COUNT: 112

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| WO 2006081276 | A1 | 20060803 | (200657)* | EN | 66[0] | |
| EP 1841742 | A1 | 20071010 | (200766) | EN | | |
| AU 2006209208 | A1 | 20060803 | (200780) | EN | | |
| JP 2008528601 | W | 20080731 | (200853) | JA | 43 | |
| US 20080312236 | A1 | 20081218 | (200903) | EN | | |
| BR 2006006112 | A2 | 20090602 | (200942) | PT | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2006081276 A1 | | WO 2006-US2570 | 20060125 |
| US 20080312236 A1 | Provisional | US 2005-647271P | 20050126 |
| AU 2006209208 A1 | | AU 2006-209208 | 20060125 |
| EP 1841742 A1 | | EP 2006-719433 | 20060125 |
| EP 1841742 A1 | PCT Application | WO 2006-US2570 | 20060125 |
| JP 2008528601 W | PCT Application | WO 2006-US2570 | 20060125 |
| US 20080312236 A1 | PCT Application | WO 2006-US2570 | 20060125 |
| JP 2008528601 W | | JP 2007-553191 | 20060125 |
| US 20080312236 A1 | | US 2008-814601 | 20080317 |
| BR 2006006112 A2 | | BR 2006-6112 | 20060125 |
| BR 2006006112 A2 | PCT Application | WO 2006-US2570 | 20060125 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO | |
|---|---|---|---|---|
| EP 1841742 | A1 | Based on | WO 2006081276 | A |
| AU 2006209208 | A1 | Based on | WO 2006081276 | A |
| JP 2008528601 | W | Based on | WO 2006081276 | A |
| BR 2006006112 | A2 | Based on | WO 2006081276 | A |

PRIORITY APPLN. INFO: US 2005-647271P 20050126
US 2008-814601 20080317
INT. PATENT CLASSIF.:
IPC ORIGINAL: A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-535 [I,A]; A61K0031-535 [I,C]; A61K0031-5375 [I,A]; A61K0031-5375 [I,C]; A61P0029-00 [I,A];

A61P0029-00 [I,C]; A61P0029-00 [I,A]; A61P0029-00 [I,C];
A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-04 [I,A];
A61P0037-04 [I,A]; C07D0213-00 [I,C]; C07D0213-00 [I,C];
C07D0213-36 [I,A]; C07D0213-38 [I,A]; C07D0213-38 [I,A];
C07D0265-00 [I,C]; C07D0265-30 [I,A]; C07D0295-00 [I,C];
C07D0295-00 [I,C]; C07D0295-12 [I,A]; C07D0295-12 [I,A];
C07D0319-00 [I,C]; C07D0319-00 [I,C]; C07D0319-18 [I,A];
C07D0319-18 [I,A]; C07D0401-00 [I,C]; C07D0401-06 [I,A]

ECLA: A61K0031-40; A61K0031-4025; A61K0031-5375

USCLASS NCLM: 514/237.800

NCLS: 514/343.000; 544/168.000; 546/279.100

JAP. PATENT CLASSIF.:

MAIN/SEC.: C07D0295-12 Z (CSP); A61K0031-40; A61K0031-4025;
A61K0031-4439; A61K0031-535; A61P0029-00; A61P0037-04;
C07D0213-36; C07D0319-18

FTERM CLASSIF.: 4C015; 4C022; 4C055; 4C086; 4C201; 4C055/AA01;
4C086/AA01; 4C086/AA02; 4C086/AA03; 4C055/BA01;
4C086/BC07; 4C086/BC17; 4C086/BC73; 4C055/CA01;
4C055/DA06; 4C055/DA16; 4C055/DA25; 4C055/DA27;
4C086/GA02; 4C086/GA08; 4C086/GA12; 4C086/GA16;
4C022/KA01; 4C086/MA01; 4C086/MA04; 4C086/NA14;
4C086/ZA08; 4C086/ZB09

BASIC ABSTRACT:

WO 2006081276 A1 UPAB: 20090706

NOVELTY - 3-Heteroaryl-3-hydroxy-2-amino-propylamine derivatives (I), are new.

DETAILED DESCRIPTION - 3-Heteroaryl-3-hydroxy-2-amino-propylamine derivatives of formula (I) and their salts and enantiomers, are new.

R1, R2=H or 1-6C alkyl, or

NR1R2=optionally saturated 4-7 membered ring optionally containing one or two N, O and S heteroatoms (optionally substituted by halo or 1-6C alkyl);

R3=aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-6C thioxy), aryl-1-4C alkyl, heteroaryl-1-4C alkyl, 1-20C alkyl, 3-6C cycloalkyl, CO-R7 or CO-O-R7;

R7=H, 1-20C alkyl (optionally substituted by NH2, NHCOO1-6C alkyl or NH-CO1-6C alkyl, benzyl, aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-6C thioxy), aryl1-4C optionally branched alkyl or heteroaryl-1-4C optionally branched alkyl;

R4=H, 1-6C alkyl or CO-R8;

R8=1-6C alkyl;

R10=4-pyridyl or phenyl (both disubstituted by R5 and R6);

R5, R6=H, 1-6C alkyl, halo or 1-6C alkoxy, or

CR5R6=5- or 6C carbocyclyl or 5- or 6-membered heterocyclyl containing 1-3 N, O or S heteroatoms (both optionally substituted by 1-6 R9), and

R9=halo, 1-6C alkyl or 1-6C alkoxy.

provided that when R10 is phenyl (disubstituted by R5 and R6), then (I: R4 is H and NR1R2 is morpholine or pyrrolidine and R5 and R6 are both H or one of R5 and R6 is OCH3 and the other is H), is excluded.

ACTIVITY - Analgesic; Immunostimulant.

The efficacy of DL-threo-2-amino-1-(pyridin-4-yl)-3-(pyrrolidin-1-yl)propan-1-ol (Ia) was evaluated for analgesic activity in peripheral chronic pain rats using chung model as described in Kim and Chung 1992, Pain 150, pp 355-363. Tactile allodynia was produced in rats. (Ia) was then administered intraperitonially at a dosage of 1-300 micrograms/kg and peak percentage of reversal of pain in the rats was measured at different time intervals (such as 15, 30 or 60 minutes) after administration of (Ia). (Ia) showed 96% pain reversal in the rat 60 minutes after administration.

MECHANISM OF ACTION - None given.

USE - Used as analgesic agents for treating pain (claimed), and as immunostimulators.

MANUAL CODE: CPI: B06-H; B07-H; B10-B01; B14-C01; B14-G01

L40 ANSWER 14 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN
ACCESSION NUMBER: 2006-559807 [200657] WPIX Full-text
CROSS REFERENCE: 2006-529828; 2006-559808; 2006-559809
DOC. NO. CPI: C2006-174534 [200657]
TITLE: New 3-aryl-3-hydroxy-2-amino-propionic acid amide compounds are immunostimulators used to treat pain
DERWENT CLASS: B03
INVENTOR: BEAUSOLELL E; DONELLO J; DONELLO J E; LEBLOND B; TAVERNE T; BEAUSOLEIL E
PATENT ASSIGNEE: (ALLR-C) ALLERGAN INC; (BEAU-I) BEAUSOLEIL E; (DONE-I) DONELLO J E; (LEBL-I) LEBLOND B; (TAVE-I) TAVERNE T
COUNTRY COUNT: 112

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| WO 2006081273 | A1 | 20060803 | (200657)* | EN | 238[0] | |
| EP 1841743 | A1 | 20071010 | (200766) | EN | | |
| AU 2006209209 | A1 | 20060803 | (200780) | EN | | |
| IN 2007DN05796 | P1 | 20070817 | (200780) | EN | | |
| KR 2007098946 | A | 20071005 | (200819) | KO | | |
| CN 101151248 | A | 20080326 | (200843) | ZH | | |
| JP 2008528600 | W | 20080731 | (200853) | JA | 156 | |
| MX 2007008955 | A1 | 20070901 | (200864) | ES | | |
| US 20090036436 | A1 | 20090205 | (200915) | EN | | |
| BR 2006006111 | A2 | 20090602 | (200942) | PT | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2006081273 | A1 | WO 2006-US2557 | 20060125 |
| US 20090036436 | A1 Provisional | US 2005-647271P | 20050126 |
| AU 2006209209 | A1 | AU 2006-209209 | 20060125 |
| CN 101151248 | A | CN 2006-80009865 | 20060125 |
| EP 1841743 | A1 | EP 2006-719422 | 20060125 |
| EP 1841743 | A1 PCT Application | WO 2006-US2557 | 20060125 |
| IN 2007DN05796 | P1 PCT Application | WO 2006-US2557 | 20060125 |
| KR 2007098946 | A PCT Application | WO 2006-US2557 | 20060125 |
| CN 101151248 | A PCT Application | WO 2006-US2557 | 20060125 |
| JP 2008528600 | W PCT Application | WO 2006-US2557 | 20060125 |
| MX 2007008955 | A1 PCT Application | WO 2006-US2557 | 20060125 |
| US 20090036436 | A1 PCT Application | WO 2006-US2557 | 20060125 |
| JP 2008528600 | W | JP 2007-553188 | 20060125 |
| MX 2007008955 | A1 | MX 2007-8955 | 20070725 |
| IN 2007DN05796 | P1 | IN 2007-DN5796 | 20070726 |
| KR 2007098946 | A | KR 2007-719382 | 20070824 |
| US 20090036436 | A1 | US 2008-814598 | 20080402 |
| BR 2006006111 | A2 | BR 2006-6111 | 20060125 |
| BR 2006006111 | A2 PCT Application | WO 2006-US2557 | 20060125 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO | |
|---|---|---|---|---|
| EP 1841743 | A1 | Based on | WO 2006081273 | A |
| AU 2006209209 | A1 | Based on | WO 2006081273 | A |

| | | | | |
|---|---|---|---|---|
| KR 2007098946 | A | Based on | WO 2006081273 | A |
| CN 101151248 | A | Based on | WO 2006081273 | A |
| JP 2008528600 | W | Based on | WO 2006081273 | A |
| MX 2007008955 | A1 | Based on | WO 2006081273 | A |
| BR 200606111 | A2 | Based on | WO 2006081273 | A |

PRIORITY APPLN. INFO: US 2005-647271P 20050126
US 2008-814598 20080402
US 2005-647271P 20050126

INT. PATENT CLASSIF.:

MAIN: C07D213-81

IPC ORIGINAL: A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-40 [I,C] ; A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-541 [I,A]; A61K0031-541 [I,C]; A61P0025-00 [I,C]; A61P0025-00 [I,C]; A61P0025-04 [I,A]; A61P0029-00 [I,A]; A61P0029-00 [I,C]; A61P0029-00 [I,A]; A61P0029-00 [I,C]; A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-04 [I,A]; A61P0037-04 [I,A]; C07D0213-00 [I,C]; C07D0213-00 [I,C]; C07D0213-30 [I,A]; C07D0213-53 [I,A]; C07D0213-61 [I,A]; C07D0213-61 [I,A]; C07D0213-64 [I,A]; C07D0213-64 [I,A]; C07D0213-73 [I,A]; C07D0213-73 [I,A]; C07D0213-81 [I,A]; C07D0213-81 [I,A]; C07D0213-81 [I,A]; C07D0215-00 [I,C]; C07D0215-00 [I,C]; C07D0215-14 [I,A]; C07D0215-14 [I,A]; C07D0233-00 [I,C]; C07D0233-64 [I,A]; C07D0295-00 [I,C]; C07D0295-00 [I,C]; C07D0295-00 [I,C]; C07D0295-125 [I,A]; C07D0295-18 [I,A]; C07D0295-18 [I,A]; C07D0307-00 [I,C]; C07D0307-00 [I,C]; C07D0307-42 [I,A]; C07D0307-54 [I,A]; C07D0307-54 [I,A]; C07D0333-00 [I,C]; C07D0333-00 [I,C]; C07D0333-00 [I,C]; C07D0333-16 [I,A]; C07D0333-24 [I,A]; C07D0333-24 [I,A]; C07D0333-38 [I,A]; C07D0333-38 [I,A]; C07D0333-56 [I,A]; C07D0333-60 [I,A]; C07D0333-60 [I,A]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-06 [I,A]; C07D0401-06 [I,A]; C07D0401-10 [I,A]; C07D0409-00 [I,C]; C07D0409-06 [I,A]; C07D0409-10 [I,A]; C07D0413-00 [I,C]; C07D0413-06 [I,A]; C07D0417-00 [I,C]; C07D0417-06 [I,A]

ECLA: A61K0031-40; A61K0031-4025; A61K0031-5375

USCLASS NCLM: 514/227.800

NCLS: 514/235.500; 514/253.010; 514/343.000; 514/422.000; 514/423.000; 514/438.000; 544/058.400; 544/131.000; 544/360.000; 546/175.000; 546/279.100; 548/527.000; 548/540.000; 549/076.000

JAP. PATENT CLASSIF.:

MAIN/SEC.: A61K0031-40; A61K0031-4025; A61K0031-4439; A61K0031-4545; A61K0031-4709; A61K0031-5377; A61P0025-04; A61P0037-04; C07D0213-30 (CSP); C07D0213-53; C07D0215-14; C07D0233-64 103; C07D0295-18 Z; C07D0307-42; C07D0333-16; C07D0333-56; C07D0401-06

FTERM CLASSIF.: 4C015; 4C018; 4C023; 4C031; 4C037; 4C055; 4C063; 4C086;

4C201; 4C055/AA01; 4C063/AA01; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C023/BA01; 4C055/BA01; 4C055/BA02; 4C031/BA05; 4C055/BA39; 4C055/BA42; 4C063/BB04; 4C086/BC07; 4C086/BC17; 4C086/BC28; 4C086/BC73; 4C055/CA01; 4C055/CA02; 4C055/CA06; 4C055/CA16; 4C055/CA39; 4C063/CC11; 4C055/DA06; 4C055/DA16; 4C055/DA30; 4C055/DB15; 4C063/DD03; 4C063/DD04; 4C063/EE01; 4C086/GA07; 4C086/GA08; 4C086/GA12; 4C037/HA06; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA08; 4C086/ZB09

BASIC ABSTRACT:

WO 2006081273 A1 UPAB: 20090311

NOVELTY - 3-aryl-3-hydroxy-2-amino-propionic acid amide compounds (I), are new.

DETAILED DESCRIPTION - 3-Aryl-3-hydroxy-2-amino-propionic acid amide compounds of formula (I) and their salts, are new.

R1, R2 = H or 1-6C alkyl, or

NR1R2 = optionally saturated 4-7 membered ring optionally including 1 or 2 heteroatoms of N, O or S (optionally substituted by 1 or 2 COOH, CH2OH, OH, B(OH)2, halo or CN; or 1 or 2 1-6C alkyl, or 1 or 2 C of the rings are attached to an O to form keto groups, and the ring is optionally condensed with an aromatic or non-aromatic 5-6 membered ring that optionally includes one or heteroatoms of N, O or S);

R3 = H, 1-20C alkyl, 3-6C cycloalkyl, or aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy), aryl-1-4C alkyl, aryl-(hydroxy)1-4C alkyl, heteroaryl-1-4C alkyl, hetero-(hydroxy)1-4C alkyl, CO-R7, SO2R7 or CO-O-R7);

R7 = H or 1-20C alkyl (optionally substituted by NH2 or NH-CO 1-6C alkyl, aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy) or aryl-1-4C alkyl or heteroaryl-1-4C alkyl);

R4 = H, 1-6C alkyl or CO-R8;

R8 = 1-6C alkyl;

dashed lines = a bond or absence of a bond;

m, n, q = 0-3;

m, n, q = 2 or 3;

s = 0, or

when X is N, then

s is zero 0 or 1;

W1, X, Y1 = CH, CR5, CR6, N, O or S;

R5, R6 = H, halo, 1-6C alkyl (optionally substituted by halo), 1-6C alkoxy, 1-3C thioxy or phenyl, or

R5R6 = 5- or 6C carbocyclyl, 5- or 6-membered heterocyclyl containing 1-3 heteroatoms of N, O or S (both optionally substituted by 1-6 R9), and

R9 = halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy,

provided that:

(1) the ring containing the dashed lines is aromatic, and

(2) (I: R4 is H, NR1R2 is pyrrolidino or morpholino, m + n + q = 3, and none of W1, X and Y1 is a heteroatom) are excluded, and

(3) compounds (IA) and (IB), are excluded.

ACTIVITY - Analgesic; Immunostimulant.

MECHANISM OF ACTION - None given.

USE - Used as analgesic and for stimulating the immune system (claimed). (I) is used to treat pain (particularly chronic pain).

In an assay using the rat Chung model, results showed that (I) exhibited 85% analgesic effect in 60 minutes. MANUAL CODE: CPI: B05-B01A; B07-H; B10-A10; B10-A15; B10-B01; B10-B02F; B14-C01; B14-G01

L40 ANSWER 15 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN

ACCESSION NUMBER: 2005-221961 [200523] WPIX Full-text
CROSS REFERENCE: 2003-634381
DOC. NO. CPI: C2005-071018 [200523]
TITLE: New pyran-4-one, pyridin-4-one and thiopyran-4-one compounds used for treating diseases associated with abnormal cell proliferation e.g. cancer and restenosis
DERWENT CLASS: B02; B03
INVENTOR: BEAUSOLEIL E; LEBLANC V; LEBLOND B; LOPEZ R M L; MELLE-MILOVANOVIC D; PICARD V; PINAR P M D C; TAVERNE T; VISO B A
PATENT ASSIGNEE: (BEAU-I) BEAUSOLEIL E; (LEBL-I) LEBLANC V; (LEBL-I) LEBLOND B; (LOPE-I) LOPEZ R M L; (MELL-I) MELLE-MILOVANOVIC D; (PICA-I) PICARD V; (PINA-I) PINAR P M D C; (TAVE-I) TAVERNE T; (VISO-I) VISO B A
COUNTRY COUNT: 1

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| US 20050054629 | A1 | 20050310 | (200523)* | EN | 77[12] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 20050054629 | A1 | WO 2003-IB1050 | 20030228 |
| US 20050054629 | A1 | US 2004-502625 | 20041022 |

PRIORITY APPLN. INFO: US 2002-85141 20020301
INT. PATENT CLASSIF.:
IPC RECLASSIF.: A61K0031-351 [I,A]; A61K0031-351 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-403 [I,C]; A61K0031-404 [I,A]; A61K0031-4353 [I,C]; A61K0031-437 [I,A]; A61K0031-4427 [I,C]; A61K0031-4433 [I,A]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-519 [I,C]; A61K0031-52 [I,A]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0009-00 [I,C]; A61P0009-10 [I,A]; C07D0309-00 [I,C]; C07D0309-40 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C]; C07D0407-12 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0473-00 [I,C]; C07D0473-40 [I,A]
ECLA: A61K0031-351; C07D0309-40
USCLASS NCLM: 514/210.190
NCLS: 514/210.200; 514/318.000; 514/326.000; 514/343.000; 514/422.000; 514/460.000; 546/193.000; 546/268.100; 546/296.000; 548/517.000; 548/950.000; 549/417.000

BASIC ABSTRACT:

US 20050054629 A1 UPAB: 20050708

NOVELTY - Pyran-4-one, pyridin-4-one and thiopyran-4-one compounds (I), are new.

DETAILED DESCRIPTION - Pyran-4-one, pyridin-4-one and thiopyran-4-one compounds of formula (I) and their tautomers, optical or geometrical isomers, racemates, salts and/or hydrates, are new.

R1 = CH2R3 or COR3;

R2 = H or 3-6C alkenyl;

R3 = OH, OR4, SR4, NR5R6 or a group of formula (i);

R4 = 1-6C alkyl, cycloalkyl, CONR5R6, aryl, 5-12 membered heterocyclyl containing 1-3 O, S or N heteroatoms, heteroaryl, aralkyl, heteroaralkyl,

alkanoyl or 2-6C cycloalkanoyl, arylcarbonyl, heteroarylcarbonyl, arylalkanoyl or heteroarylalkanoyl;

R5, R6 = H, 1-10C alkyl, aryl or aralkyl;

m = 2-3;

linker = (CH2)n or xylenyl;

n = 1-10;

Y, X = O, S or NR7;

R7 = H, 1-10C alkyl, aryl or aralkyl;

A = phenyl substituted by R8-R11 or 5-12 membered heterocyclyl containing 1-3 O, S or N heteroatoms, bonded directly to X, or

X-A = a group of formula (ii);

R8-R11 = H, halo (preferably F, Cl or Br), OH, 1-10C alkyl, alkenyl, 1-10C alkanoyl, 1-10C alkoxy, 1-10C alkoxycarbonyl, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NHCO(1-6C alkyl), NO2, CN, NR12R13 or trifluoro(1-6C alkyl) (preferably R8-R11 are not simultaneously H), or

R8 + R9 = a group completing mono- or poly-cyclic hydrocarbyl;

R12, R13 = H, 1-10C alkyl, aryl or aralkyl;

R14-R19 = H, halo (preferably F, Cl, or Br), OH, 1-10C alkyl, 1-10C alkanoyl, 1-10C alkoxy, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NO2, CN, NR12R13 or trifluoro(1-6C alkyl), or

R14 + R15 = cycloalkyl (preferably cyclohexyl) or aryl (preferably phenyl), and

W, Z = C or N,

provided that:

(1) when X and Y are O, A is phenyl, R2 is H, linker is (CH2)n, n is 3 or 5 and R8 on the ortho position on the phenyl group vis-a-vis X is n-propyl, then at least one R9-R11 is not H;

(2) when X and Y are O, A is phenyl, R2 is H, linker is (CH2)n, n is 3 or 5 and R8 on the ortho position on the phenyl group vis-a-vis X is n-propyl, R9 on the meta position vis-a-vis X is hydroxy and R10 on the para position vis-a-vis X is acetyl, then R11 is not H, and

(3) when X and Y are O, R2 is H, linker is (CH2)n and n is 2 or 3, then A is not unsubstituted naphthalene.

ACTIVITY - Cytostatic; Vasotropic.

In a cytotoxicity assay using human tumoral cell lines, results showed that 2-(benzyloxymethyl)-5-(5-(3,4-dichlorophenyloxy)-pentyloxy)- 4H-pyran-4-one (Ia) exhibited an IC50 value of 6 micro-M.

MECHANISM OF ACTION - None given.

USE - Used for the treatment of diseases associated with abnormal cell proliferation (particularly prostate cancer, ovarian cancer, pancreas cancer, lung cancer, breast cancer, liver cancer, head and neck cancer, colon cancer, bladder cancer, non-Hodgkin's lymphoma cancer and melanoma) and restenosis (claimed).

ADVANTAGE - (I) inhibit or reverse malignant cell phenotypes in a wide array of human tissues and have little or no effect on normal cell physiology. (I) Exhibit good bioavailability and pharmacokinetic properties.

MANUAL CODE: CPI: B06-H; B07-H; B14-F01G; B14-H01B

L40 ANSWER 16 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN

ACCESSION NUMBER: 2003-634381 [200360] WPIX Full-text

CROSS REFERENCE: 2005-221961

DOC. NO. CPI: C2003-173304 [200360]

TITLE: Use of new and known pyran-4-one derivatives for treating disease associated with abnormal cell proliferation e.g. cancer

DERWENT CLASS: B03

INVENTOR: BEAUSOLEIL E; LEBLANC V; LEBLOND B; LOPEZ RODRIGUEZ M L; MELLE-MILOVANOVIC D; PICARD V; PINAR PINEDO M D C; TAVERNE T; VISO BERONDA A

PATENT ASSIGNEE: (EXON-N) EXONHIT THERAPEUTICS SA

COUNTRY COUNT: 101

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| US 6552073 | B1 | 20030422 | (200360)* | EN | 24[5] | |
| WO 2003074508 | A1 | 20030912 | (200360) | EN | | |
| AU 2003209924 | A1 | 20030916 | (200430) | EN | | |
| EP 1480966 | A1 | 20041201 | (200478) | EN | | |
| JP 2005529079 | W | 20050929 | (200568) | JA | 160 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 6552073 B1 | | US 2002-85141 | 20020301 |
| AU 2003209924 A1 | | AU 2003-209924 | 20030228 |
| EP 1480966 A1 | | EP 2003-743474 | 20030228 |
| JP 2005529079 W | | JP 2003-572976 | 20030228 |
| WO 2003074508 A1 | | WO 2003-IB1050 | 20030228 |
| EP 1480966 A1 | | WO 2003-IB1050 | 20030228 |
| JP 2005529079 W | | WO 2003-IB1050 | 20030228 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003209924 A1 | Based on | WO 2003074508 A |
| EP 1480966 A1 | Based on | WO 2003074508 A |
| JP 2005529079 W | Based on | WO 2003074508 A |

PRIORITY APPLN. INFO: US 2002-85141 20020301

INT. PATENT CLASSIF.:

IPC RECLASSIF.: A61K0031-351 [I,A]; A61K0031-351 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-403 [I,C]; A61K0031-404 [I,A]; A61K0031-4353 [I,C]; A61K0031-437 [I,A]; A61K0031-4427 [I,C]; A61K0031-4433 [I,A]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-519 [I,C]; A61K0031-52 [I,A]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0009-00 [I,C]; A61P0009-10 [I,A]; C07D0309-00 [I,C]; C07D0309-40 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C]; C07D0407-12 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0473-00 [I,C]; C07D0473-40 [I,A]

ECLA: A61K0031-351; C07D0309-40

JAP. PATENT CLASSIF.:

MAIN/SEC.: A61K0031-351; A61K0031-381; A61K0031-404; A61K0031-437; A61K0031-4433; A61K0031-52; A61P0035-00; A61P0009-10; C07D0405-12; C07D0405-14; C07D0407-12; C07D0409-14; C07D0471-04 103 Z; C07D0471-04 104 Z; C07D0473-40; C07D0309-40 (CSP)

FTERM CLASSIF.: 4C049; 4C062; 4C063; 4C065; 4C086; 4C201; 4C063/AA01; 4C086/AA01; 4C086/AA02; 4C063/AA03; 4C086/AA03; 5/AA04; 4C086/BA07; 4C086/BB02; 4C065/BB04; 4C063/BB08; 4C086/BC13; 4C086/BC17; 4C086/CB05; 4C086/CB07; 4C065/CC01; 4C065/CC09; 4C063/CC78; 4C063/CC92; 4C065/DD02; 4C063/DD06; 4C063/DD12; 4C062/DD13; 4C063/DD75; 4C063/DD78; 4C063/EE01; 4C065/EE02;

4C086/GA02; 4C086/GA04; 4C086/GA07; 4C086/GA08;
4C065/HH02; 4C065/HH09; 4C065/JJ01; 4C065/KK09;
4C065/LL01; 4C086/MA01; 4C086/MA04; 4C086/NA14;
4C065/PP07; 4C086/ZA36; 4C086/ZA54; 4C086/ZB26

BASIC ABSTRACT:

US 6552073 B1 UPAB: 20060120

NOVELTY - Use of pyran-4-one derivatives (I) is claimed for treating disease associated with abnormal cell proliferation.

DETAILED DESCRIPTION - Use of pyran-4-one derivatives of formula (I), their optical isomers, geometrical isomers, salts and hydrates is claimed for treating disease associated with abnormal cell proliferation.

R1 = CH2R3 or COR3;

R2 = H or 3-6C alkenyl;

R3 = OH, OR4, SR4, NR5R6 or a group of formula (i);

R4 = 1-6C alkyl, aryl, aralkyl, 2-6C alkanoyl or arylcarbonyl;

R5, R6 = H, 1-10C alkyl, aryl or aralkyl;

m = 2 or 3;

n = 1-10;

X = O, S or NR7;

Y = O;

R7 = H, 1-10C alkyl, aryl or aralkyl;

A = phenyl substituted by R8, R9, R10 and R11 or 5- or 6-membered heterocyclyl containing 1-3 O, S or N heteroatoms;

R8-R11 = H, halo (preferably F, Cl or Br), OH, 1-10C alkyl, 1-10C alkanoyl, 1-10C alkoxy, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NO2, CN, NR12R13 or trifluoro(1-6C)alkyl, or

R8 + R9 and R10 + R11 = mono- or poly-cyclic hydrocarbyl;

R12, R13 = H, 1-10C alkyl, aryl or aralkyl,

provided that R8, R9, R10 and R11 are not simultaneously H.

An INDEPENDENT CLAIM is included for new compounds (I), provided that:

(1) when X is O, R2 is H, n is 5 and R8 on ortho position on phenyl is n-propyl, then R9, R10 and R11 are not H;

(2) when X is O, R2 is H, n is 5 and R8 on ortho-position on phenyl is n-propyl, R9 on meta-position is OH and R10 on para-position is an acetyl, then R11 is not H; and

(3) when X is O, R2 is H, n is 2 or 3, then A is not non-substituted naphthalene.

ACTIVITY - Cytostatic.

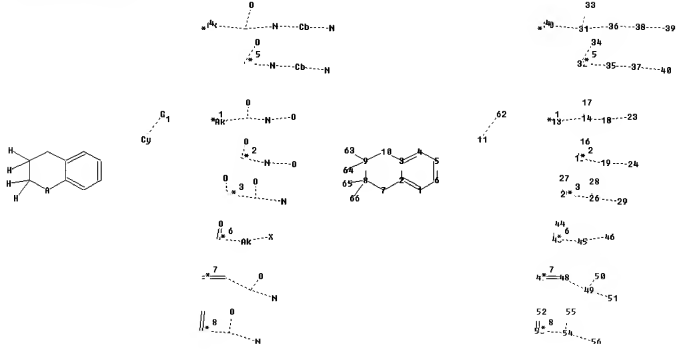
In a microculture 3-(4,5-dimethylthiazol-2-yl)-2,5- diphenyltetrazolium bromide assay as described by Carmichael et al (Cancer Res, 1996), using MCF-7 breast carcinoma cell lines, results showed that 5-(6-(3,4-dichloro-2-propylphenyloxy)hexyloxy)-2- (hydroxymethyl)-4H-pyran-4-one (Ia) exhibited an IC50 value of 15 micro-M.

MECHANISM OF ACTION - G-protein mediated signalling inhibitor.

USE - Used for treating cancer linked to oncogenic properties of GTPases (e.g. prostate cancer, ovarian cancer, pancreas cancer, lung cancer, breast cancer, liver cancer, head and neck cancer, colon cancer, bladder cancer, non-Hodgkin's lymphoma cancer and melanoma) associated with abnormal cell proliferation in a patient (claimed).

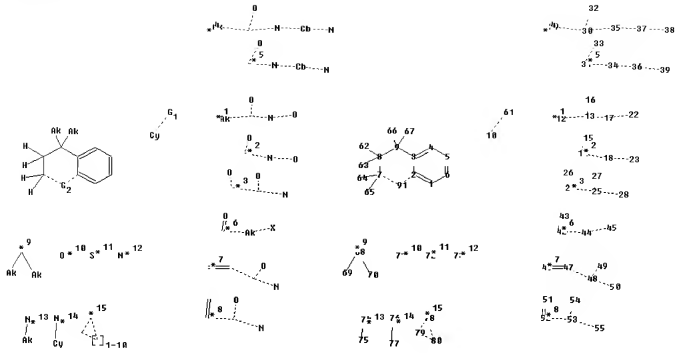
ADVANTAGE - (I) Give effective therapy for early stage cancer to reduce relapses. (I) Are alternative therapies for curing tumors refractory to standard therapy and for curing metastatic cancers. (I) Are less toxic and have an improved delivery system. MANUAL CODE: CPI: B07-A03; B14-H01; B14-H02

```
=> file registry
FILE 'REGISTRY' ENTERED AT 13:54:16 ON 30 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 APR 2010  HIGHEST RN 1220951-91-6
DICTIONARY FILE UPDATES:  29 APR 2010  HIGHEST RN 1220951-91-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html
Uploading L14.str
```



```
chain nodes :
11  13  14  15  16  17  18  19  23  24  25  26  27  28  29  30  31  32  33  34  35
36  37  38  39  40  43  44  45  46  47  48  49  50  51  52  53  54  55  56  62  63
64  65  66

ring nodes :
1  2  3  4  5  6  7  8  9  10
```

```
chain bonds :
8-65  8-66  9-63  9-64  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-
26
25-27  26-28  26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39
43-44  43-45
45-46  47-48  48-49  49-50  49-51  52-53  53-54  54-55  54-56
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10
exact/norm bonds :
11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-26  25-27  26-28  26-29
30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39  43-44  43-45  45-46
48-49  49-50
49-51  53-54  54-55  54-56
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
23:CLASS  24:CLASS
25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS
33:CLASS  34:CLASS
35:CLASS  36:CLASS  37:Atom  38:Atom  39:CLASS  40:CLASS  43:CLASS  44:CLASS
45:CLASS  46:CLASS
47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
55:CLASS  56:CLASS
62:CLASS  63:CLASS  64:CLASS  65:CLASS  66:CLASS
Generic attributes :
11:
Saturation             : Unsaturated



Uploading L18.str
```

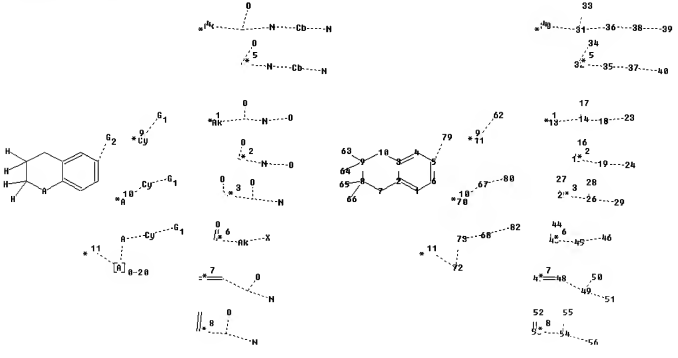


```
chain nodes :
10  12  13  14  15  16  17  18  22  23  24  25  26  27  28  29  30  31  32  33  34
35  36  37  38  39  42  43  44  45  46  47  48  49  50  51  52  53  54  55  61  62
63  64  65
66  67  69  70  75  77
ring nodes :
1  2  3  4  5  6  7  8  9  68  71  72  73  74  76  78  79  80  91
chain bonds :
7-64  8-62  8-63  9-66  9-67  10-61  12-13  13-16  13-17  14-15  14-18  17-22  18-
23
24-25  24-26  25-27  25-28  29-30  30-32  30-35  31-33  31-34  34-36  35-37  36-39
37-38  42-43
42-44  44-45  46-47  47-48  48-49  48-50  51-52  52-53  53-54  53-55  68-69  68-70
74-75  76-77

ring bonds :
1-2  1-6  2-3  2-91  3-4  3-9  4-5  5-6  7-65  7-8  7-91  8-9  78-79  78-80  79-80

exact/norm bonds :
2-91  7-64  7-91  8-62  8-63  9-66  9-67  10-61  12-13  13-16  13-17  14-15  14-18
17-22  18-23  24-25  24-26  25-27  25-28  29-30  30-32  30-35  31-33  31-34  34-36
35-37  36-39
37-38  42-43  42-44  44-45  46-47  47-48  48-49  48-50  51-52  52-53  53-54  53-55
68-69  68-70
74-75  76-77  78-79  78-80  79-80
exact bonds :
3-9  7-65  7-8  8-9
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

G2:[*9],[*10],[*11],[*12],[*13],[*14],[*15]
```

Connectivity :
5:3 M minimum RC ring/chain 10:2 M minimum RC ring/chain 73:2 E exact RC ring/chain

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 22:CLASS
23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS
35:CLASS 36:Atom 37:Atom 38:CLASS 39:CLASS 42:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS
47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS
55:CLASS 61:CLASS
62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:CLASS 68:Atom 69:CLASS
70:CLASS 71:Atom
72:Atom 73:Atom 74:Atom 75:CLASS 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom
91:Atom
Generic attributes :
10:
Saturation : Unsaturated

Uploading L28.str



chain nodes :
11 13 14 15 16 17 18 19 23 24 25 26 27 28 29 30 31 32 33 34 35
36 37 38 39 40 43 44 45 46 47 48 49 50 51 52 53 54 55 56 62 63
64 65 66
67 68 79 80 82
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
70 71 72 73

```
chain bonds :
5-79  8-65  8-66  9-63  9-64  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-
24
25-26  25-27  26-28  26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40
38-39  43-44
43-45  45-46  47-48  48-49  49-50  49-51  52-53  53-54  54-55  54-56  67-70  67-80
68-73  68-82

ring/chain bonds :
71-72  72-73
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10
exact/norm bonds :
5-79  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-26  25-27  26-28
26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39  43-44  43-45
45-46  48-49
49-50  49-51  53-54  54-55  54-56  67-70  67-80  68-73  68-82  71-72  72-73
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

G2:[*9],[*10],[*11]

Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
23:CLASS  24:CLASS
25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS
33:CLASS  34:CLASS
35:CLASS  36:CLASS  37:Atom  38:Atom  39:CLASS  40:CLASS  43:CLASS  44:CLASS
45:CLASS  46:CLASS
47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
55:CLASS  56:CLASS
62:CLASS  63:CLASS  64:CLASS  65:CLASS  66:CLASS  67:Atom  68:Atom  70:CLASS
71:CLASS  72:CLASS
73:CLASS  79:CLASS  80:CLASS  82:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
67:
Saturation              : Unsaturated
68:
Saturation              : Unsaturated




=> file zcaplus
FILE 'ZCAPLUS' ENTERED AT 13:54:20 ON 30 APR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 30 Apr 2010 VOL 152 ISS 19
FILE LAST UPDATED: 29 Apr 2010 (20100429/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC)
reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L21
L10 SCR 990 OR 1210 OR 1338
L14 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L17 389 SEA FILE=REGISTRY SSS FUL L14 AND L10
L18 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L20 103 SEA FILE=REGISTRY SUB=L17 SSS FUL L18
L21 26 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON L20

=> d stat que L32
L10 SCR 990 OR 1210 OR 1338
L14 STR

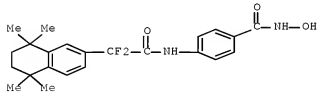
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L17 389 SEA FILE=REGISTRY SSS FUL L14 AND L10
L28 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

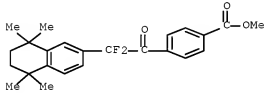
Structure attributes must be viewed using STN Express query preparation.
L30 115 SEA FILE=REGISTRY SUB=L17 SSS FUL L28
L32 33 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON L30

```
=> s L21 or L32
L41         42 L21 OR L32

=> d ibib abs hitstr L41 1-42

L41  ANSWER 1 OF 42  ZCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2009:666074  ZCAPLUS  Full-text
DOCUMENT NUMBER:          151:520134
TITLE:                    Pharmacophore identification of hydroxamate HDAC 1
                          inhibitors
AUTHOR(S):                Yu, Liqin; Liu, Fei; Chen, Yadong; You, Qidong
CORPORATE SOURCE:         Jiangsu Key Laboratory of Carcinogenesis and
                          Intervention, Department of Medicinal Chemistry, China
                          Pharmaceutical University, Nanjing, Jiangsu, 210009,
                          Peop. Rep. China
SOURCE:                   Chinese Journal of Chemistry (2009), 27(3), 557-564
                          CODEN: CJOCEV; ISSN: 1001-604X
PUBLISHER:                Shanghai Institute of Organic Chemistry
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB    A three-dimensional pharmacophore model was established based on 24
      hydroxamate histone deacetylase (HDAC) inhibitors by HypoGen algorithm
      embedded in Catalyst software.  The best pharmacophore hypothesis (Hypo1),
      consisting of four chemical features (one hydrogen-bond acceptor, one aromatic
      ring and two hydrophobic groups), has a correlation coefficient of 0.946.  The
      Hypo1 was also validated by a test set consisting of 20 other compds. Compared
      with the prior studies towards HDAC inhibitors the detailed chemical features
      of the "CAP" region in the reported HDAC inhibitors were for the first time
      depicted, which would be helpful in the further designing of novel HDAC
      inhibitors.
IT    853728-57-1
      RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
      (Therapeutic use); BIOL (Biological study); USES (Uses)
         (three-dimensional pharmacophore model was developed based on
         hydroxamate deacetylase 1 inhibitors by HypoGen algorithm embedded in
         catalyst software, suggests that branched cap structure of HDAC
         inhibitors strengthen interaction to HDAC 1)
RN    853728-57-1  ZCAPLUS
CN    2-Naphthaleneacetamide, α,α-difluoro-5,6,7,8-tetrahydro-N-[4-
      [(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl-  (CA INDEX NAME)
```




```
REFERENCE COUNT:          42    THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41  ANSWER 2 OF 42  ZCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2008:1383562  ZCAPLUS  Full-text
DOCUMENT NUMBER:          149:555078
```

TITLE: The Stille reaction
AUTHOR(S): Farina, Vittorio; Krishnamurthy, Venkat; Scott, William J.
CORPORATE SOURCE: Boehringer Ingelheim Pharmaceuticals, Ridgefield, CT, USA
SOURCE: Organic Reactions (Hoboken, NJ, United States) (1997), 50, No pp. given
CODEN: ORHNBA
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:555078
AB A review of the article The Stille reaction.
IT 1070994-08-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(The Stille Reaction)
RN 1070994-08-9 ZCAPLUS
CN Benzoic acid, 4-[2,2-difluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]-, methyl ester (CA INDEX NAME)



L41 ANSWER 3 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:289510 ZCAPLUS Full-text
DOCUMENT NUMBER: 148:331863
TITLE: Retinoid compounds and their use in the control of cell differentiation
INVENTOR(S): Przyborski, Stefan; Whiting, Andrew; Marder, Todd
PATENT ASSIGNEE(S): University of Durham, UK; Reinnervate Limited
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2008025965 | A2 | 20080306 | WO 2007-GB3237 | 20070828 |
| WO 2008025965 | A3 | 20081002 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

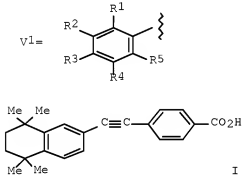
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

| | | | | | |
|---|---|---|---|---|---|
| CA 2662218 | A1 | 20080306 | CA 2007-2662218 | | 20070828 |
| EP 2054504 | A2 | 20090506 | EP 2007-804055 | | 20070828 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | | |
| EP 2130908 | A2 | 20091209 | EP 2009-11765 | | 20070828 |
| EP 2130908 | A3 | 20100106 | | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| JP 2010503615 | T | 20100204 | JP 2009-526166 | | 20070828 |
| CN 101511993 | A | 20090819 | CN 2007-80032630 | | 20090302 |
| IN 2009KN01195 | A | 20090522 | IN 2009-KN1195 | | 20090327 |
| US 20100093088 | A1 | 20100415 | US 2009-439510 | | 20091222 |
| PRIORITY APPLN. INFO.: | | | GB 2006-16961 | A | 20060829 |
| | | | GB 2007-1795 | A | 20070131 |
| | | | EP 2007-804055 | A3 | 20070828 |
| | | | WO 2007-GB3237 | W | 20070828 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 148:331863; MARPAT 148:331863

GI



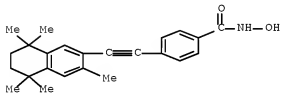

I

AB The invention relates to retinoid compds. V-W-X [I; V = a hydrophobic group, e.g., V1; W = a non-polyenic linker, e.g., alkenylene, alkynylene, phenylene-alkenylene, alkenylene-phenylene, phenylene-alkynylene, alkynylene-phenylene, naphthylene; X = a polar group comprising a hydrogen bond donor, e.g., C(:O)-Z; Z = hydrogen bond donor, e.g., OH, O-(C2-6-alkyl), CO2H, NH2, NHOH; R1, R2, R3, R4, R5 = H, R6, hydrocarbyl (optionally substituted with 1, 2, 3, 4 or 5 of R6), (CH2)k-heterocyclyl (optionally substituted with 1 to 6 R6); R6 = halogen, CF3, cyano, NO2, oxo, :NR7, C(:O)R7 CO2R7, OC(:O)R7, S(O)1R7, NR7R8, C(:O)NR7R8, S(O)1NR7R8, R9; R7, R8, = H, R9; R9 = hydrocarbyl (CH2)k-heterocyclyl (either of which is optionally substituted with 1, 2, 3, 4 or 5 substituents selected halogen, cyano, amino, hydroxy, C1-6-alkyl, C1-6-alkoxy); k = 0, 1, 2, 3, 4, 5, 6; l = 0, 1, 2; m = 0, 2, 3, 4, 5, 6; one or more of R1R2, R2R3, R3R4, R4R5 = carbocyclo or heterocyclo (optionally substituted with R6)] or a salt thereof, and to the use of such compds. in the control of cell differentiation. Thus, EC23 (II) was prepared from 1,1,4,4-

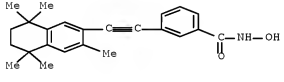
tetramethyl-1,2,3,4-tetrahydronaphthalene via bromination with Br2 in CH2Cl2 containing BF3·OEt2, Sonogashira coupling with HC.tplbond.CSiMe3 in Et3N containing catalytic PdCl2/Cu(OAc)2/PPh3, desilylation with Bu4NF in THF, and Sonogashira coupling with 4-IC6H4CO2H in Et3N containing catalytic CuI/Pd(PPh3)Cl2. Testing of compds. I showed they induced the suppression of the stem cell markers TRA-1-60 and SSEA-3 while antigens associated with differentiated tissues, A2B5 and VINIS-53, showed marked increases in expression. In addition, II (10 μM) produced very few, if any, epithelial plaques and resulted in cultures more homogeneous in appearance consisting primarily of cells undergoing neuronal differentiation; and neuroprogenitor cells from adult rats differentiate into well defined neurons with II.

IT 1010385-63-3P 1010385-78-0P 1010385-81-5P
1010385-84-8P
RL: PAC (Pharmacological activity); PRPH (Prophetic); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(retinoid compds. and their use in the control of cell differentiation)

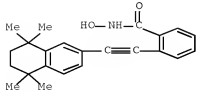
RN 1010385-63-3 ZCAPLUS
CN Benzamide, N-hydroxy-4-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



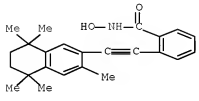
RN 1010385-78-0 ZCAPLUS
CN Benzamide, N-hydroxy-3-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



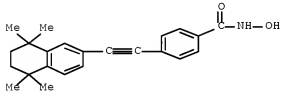
RN 1010385-81-5 ZCAPLUS
CN Benzamide, N-hydroxy-2-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



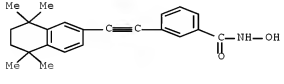
RN 1010385-84-8 ZCAPLUS
CN Benzamide, N-hydroxy-2-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



IT 1010385-49-5P 1010385-71-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(retinoid compds. and their use in the control of cell differentiation)
RN 1010385-49-5 ZCAPLUS
CN Benzamide, N-hydroxy-4-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



RN 1010385-71-3 ZCAPLUS
CN Benzamide, N-hydroxy-3-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



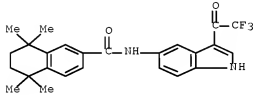
L41 ANSWER 4 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:452349 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:377148
TITLE: Synthesis and antioxidant activity of new tetrahydronaphthalene-indole derivatives as retinoid and melatonin analogs

AUTHOR(S): Ates-Alagoz, Zeynep; Coban, Tulay; Buyukbingol, Erdem
CORPORATE SOURCE: Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Ankara University, Ankara, Turk.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2006), 339(4), 193-200
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:377148

AB Melatonin retinoids were prepared by condensation of tetrahydrotetramethylnaphthalenecarboxylic acid and melatonin-type moieties. Despite the weak DPPH inhibition activity pattern of the synthesized compds., some of them showed a strong inhibition on lipid peroxidn. when melatonin (85% at 10-4 M concentration) was used as a reference compound

IT 910867-65-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antioxidant activity of new tetrahydronaphthalene-indole derivs. as retinoid and melatonin analogs)

RN 910867-65-1 ZCAPLUS
CN 2-Naphthalenecarboxamide, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-N-[3-(2,2,2-trifluoroacetyl)-1H-indol-5-yl]- (CA INDEX NAME)




OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:516308 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:43695
TITLE: Preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase (HDAC) inhibitors.
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric
PATENT ASSIGNEE(S): Exonhit Therapeutics S.A., Fr.
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1541549 | A1 | 20050615 | EP 2003-293143 | 20031212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

WO 2005058803 A1 20050630 WO 2004-IB4334 20041210

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1692097 A1 20060823 EP 2004-806498 20041210

EP 1692097 B1 20090902

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

AT 441628 T 20090915 AT 2004-806498 20041210

PT 1692097 E 20091030 PT 2004-806498 20041210

ES 2330749 T3 20091215 ES 2004-806498 20041210

US 20070129368 A1 20070607 US 2006-581947 20060606

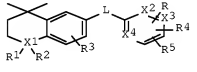
PRIORITY APPLN. INFO.: EP 2003-293143 A 20031212

WO 2004-IB4334 W 20041210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:43695; MARPAT 143:43695

GI



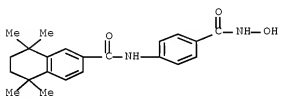
I

AB Title compds. [I; R = CONR7R8, COCONR8R9, COCONHMe, COCF3, etc.; R7 = OH, OR9, 2-aminophenyl; R8, R9 = H, alkyl; X1 = C, O, N, S; R1, R2 = null, H, alkyl, 1-2 O; X2, X3 = CH, O, N; X2X3 = S, O, N; X4 = N, CH; R3-R5 = H, OH, NH2, halo, alkyl, perfluoroalkyl, etc.; L = alkylene, alkenylene, alkynylene, (aromatic) cycloalkyl, O, CO, CONH, CF2CONH, SO2NH, NMeSO2, etc.], were prepared Thus, 4-[2,2-difluoro-2-(5,5,8,8-tetramethyl-5,6,7,8- tetrahydronaphthalen-2-yl)acetylamino]benzoic acid (preparation given) was stirred with SOCl2 and cat. DMF at 0° for 1 h. The residue in CH2Cl2 was added to a mixture prepared from hydroxylamine hydrochloride, H2O, and Et3N in THF at 0° followed by stirring at 0° for 10 min. and at room temperature for 17.75 h to give 33.4% 4-[2,2-difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido]-N-hydroxybenzamide (EHT 9299). The latter showed HDAC inhibitory activity with IC50 = 424 nM.

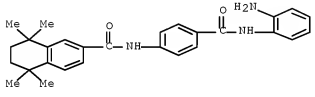
IT 853728-52-6P, N-(4-(Hydroxycarbamoyl)phenyl)-5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-carboxamide 853728-53-7P, N-(4-(2-Aminophenylcarbamoyl)phenyl)-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-naphthalene-2-carboxamide 853728-54-8P 853728-55-9P 853728-56-0P 853728-57-1P, 4-(2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido)-N-hydroxybenzamide 853728-58-2P, 3-(2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-

yl)acetamido)-N-hydroxybenzamide 853728-59-3P,
4-((2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-
yl)acetamido)methyl)-N-hydroxybenzamide 853728-60-6P
853728-61-7P, N-(4-Hydroxycarbamoylphenyl)-N'-(5,5,8,8-tetramethyl-
5,6,7,8-tetrahydro-naphthalen-2-yl)oxalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(claimed compound; preparation of tetrahydronaphthalene hydroxamates and
benzamides as histone deacetylase inhibitors)

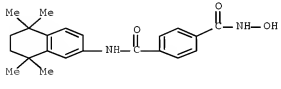
RN 853728-52-6 ZCAPLUS
CN 2-Naphthalenecarboxamide, 5,6,7,8-tetrahydro-N-[4-
[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-53-7 ZCAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[[(2-aminophenyl)amino]carbonyl]phenyl]-
5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)

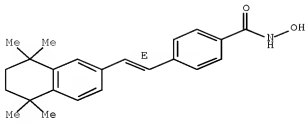


RN 853728-54-8 ZCAPLUS
CN 1,4-Benzenedicarboxamide, N1-hydroxy-N4-(5,6,7,8-tetrahydro-5,5,8,8-
tetramethyl-2-naphthalenyl)- (CA INDEX NAME)



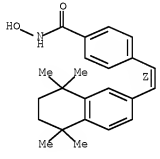
RN 853728-55-9 ZCAPLUS
CN Benzamide, N-hydroxy-4-[(1E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-
naphthalenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

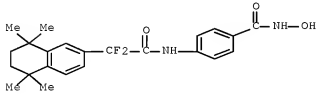


RN 853728-56-0 ZCAPLUS
CN Benzamide, N-hydroxy-4-[(1Z)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethenyl]- (CA INDEX NAME)

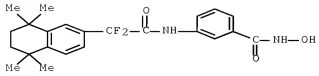
Double bond geometry as shown.



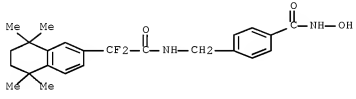
RN 853728-57-1 ZCAPLUS
CN 2-Naphthaleneacetamide, α,α-difluoro-5,6,7,8-tetrahydro-N-[4-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



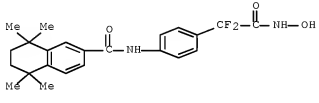
RN 853728-58-2 ZCAPLUS
CN 2-Naphthaleneacetamide, α,α-difluoro-5,6,7,8-tetrahydro-N-[3-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



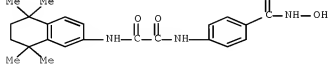
RN 853728-59-3 ZCAPLUS
CN 2-Naphthaleneacetamide, α,α-difluoro-5,6,7,8-tetrahydro-N-[[4-[(hydroxyamino)carbonyl]phenyl]methyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-60-6 ZCAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[1,1-difluoro-2-(hydroxyamino)-2-oxoethyl]phenyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-61-7 ZCAPLUS
CN Ethanediamide, N1-[4-[(hydroxyamino)carbonyl]phenyl]-N2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (CA INDEX NAME)



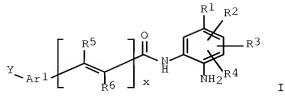
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 6 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:300395 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:355054
TITLE: Preparation of amide derivatives as inhibitors of histone deacetylase
INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

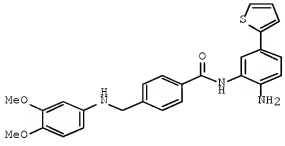
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005030705 | A1 | 20050407 | WO 2004-US31591 | 20040924 |
| WO 2005030705 | A9 | 20060420 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004276337 | A1 | 20050407 | AU 2004-276337 | 20040924 |
| AU 2004276337 | B2 | 20091112 | | |
| CA 2539117 | A1 | 20050407 | CA 2004-2539117 | 20040924 |
| EP 1663953 | A1 | 20060607 | EP 2004-789074 | 20040924 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1882529 | A | 20061220 | CN 2004-80034571 | 20040924 |
| JP 2007506785 | T | 20070322 | JP 2006-528279 | 20040924 |
| CN 101445469 | A | 20090603 | CN 2008-10096455 | 20040924 |
| US 20080132459 | A1 | 20080605 | US 2006-574088 | 20060323 |
| KR 2006065730 | A | 20060614 | KR 2006-707812 | 20060421 |
| JP 2008094847 | A | 20080424 | JP 2007-281356 | 20071030 |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 2003-505884P | P | 20030924 |
| US 2003-532973P | P | 20031229 |
| US 2004-561082P | P | 20040409 |
| CN 2004-80034571 | A3 | 20040924 |
| JP 2006-528279 | A3 | 20040924 |
| WO 2004-US31591 | W | 20040924 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:355054; MARPAT 142:355054
GI



I



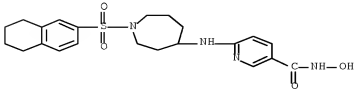
II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)- methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5- diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

IT 604810-78-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 604810-78-8 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy- (CA INDEX NAME)

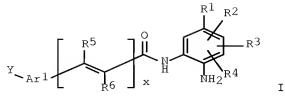


OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

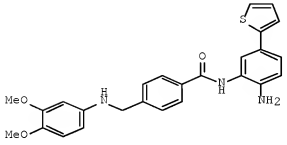
L41 ANSWER 7 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:300394 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:373563
TITLE: Preparation of amide derivatives as inhibitors of histone deacetylase
INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 389 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005030704 | A1 | 20050407 | WO 2004-US31590 | 20040924 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CN 101445469 | A | 20090603 | CN 2008-10096455 | 20040924 |
| JP 2008094847 | A | 20080424 | JP 2007-281356 | 20071030 |
| PRIORITY APPLN. INFO.: | | | US 2003-505884P | P 20030924 |
| | | | US 2003-532973P | P 20031229 |
| | | | US 2004-561082P | P 20040409 |
| | | | CN 2004-80034571 | A3 20040924 |
| | | | JP 2006-528279 | A3 20040924 |

OTHER SOURCE(S): CASREACT 142:373563; MARPAT 142:373563
GI



I



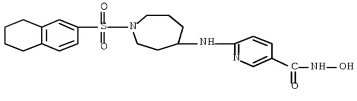
II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)- methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5- diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

IT 604810-78-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 604810-78-8 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 8 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:181621 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:264374
TITLE: Semiconductor for photoelectric converter, the photoelectric converter, and solar cell
INVENTOR(S): Ofuku, Koji; Otsu, Shinya; Kagawa, Nobuaki; Suzuki, Takashi
PATENT ASSIGNEE(S): Konica Minolta Holdings, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 127 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

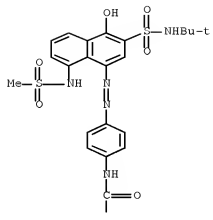
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2005056697 | A | 20050303 | JP 2003-286700 | 20030805 |
| PRIORITY APPLN. INFO.: | | | JP 2003-286700 | 20030805 |

OTHER SOURCE(S): MARPAT 142:264374
GI

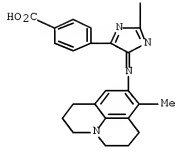

AB The semiconductor contains a pigment I, where Ar1 = aryl or heterocyclic group, Z1 = nonmetal atom groups necessary for forming a 5- or 6-membered N containing heterocyclic ring, l of R11 and R12 is H or a substituent and the other is -J1-D1, J1 = bivalent connection group containing ≥1 C atom, D1 = pigment part containing chromophore, m1 = 0 or 1 integer, R12 = -J1-D1 when m1 =0, and the pigment contains ≥1 carboxyl group in its mol.; or II, where Ar1 = aryl or heterocyclic group, Y1 = -N: or-CH:, Z2 = nonmetal atom groups necessary for forming a 5- or 6-membered N containing heterocyclic ring, l of R21 and R22 is H or a substituent and the other is -J2-D2, J2 = bivalent connection group containing ≥1 C atom, D2 = pigment part containing chromophore, m2 =0 or 1, R22 = -J2-D2 when m2 =0, and the pigment contains ≥1 carboxyl group in its mol.
IT 846007-08-7
RL: MOA (Modifier or additive use); USES (Uses)
(structure of semiconductor sensitizing pigments for photoelec. converters and photoelectrochem. cells)
RN 846007-08-7 ZCAPLUS
CN Benzoic acid, 4-[2-[[[4-[[3-[[(1,1-dimethylethyl)amino]sulfonyl]-4-hydroxy-8-[(methylsulfonyl)amino]-1-naphthalenyl]azo]phenyl]amino]carbonyl]-4-[(2,3,6,7-tetrahydro-8-methyl-1H,5H-benzo[ij]quinolizin-9-yl)imino]-4H-

imidazol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



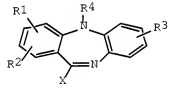
L41 ANSWER 9 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:878381 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:350204
TITLE: Preparation of 11-phenyldibenzodiazepine derivatives as RXR-antagonists
INVENTOR(S): Sakaki, Junichi; Konishi, Kazuhide; Kishida, Masashi; Kimura, Masaaki; Uchiyama, Hidefumi; Mitani, Hironobu
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089916 | A1 | 20041021 | WO 2004-EP3806 | 20040408 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004228357 | A1 | 20041021 | AU 2004-228357 | 20040408 |
| AU 2004228357 | B2 | 20080911 | | |
| CA 2521337 | A1 | 20041021 | CA 2004-2521337 | 20040408 |
| EP 1618096 | A1 | 20060125 | EP 2004-726490 | 20040408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004009365 | A | 20060425 | BR 2004-9365 | 20040408 |
| CN 1771232 | A | 20060510 | CN 2004-80009666 | 20040408 |
| JP 2006522767 | T | 20061005 | JP 2006-505085 | 20040408 |
| IN 2005CN02560 | A | 20070831 | IN 2005-CN2560 | 20051006 |
| IN 234300 | A1 | 20090612 | | |
| MX 2005010861 | A | 20051214 | MX 2005-10861 | 20051007 |
| US 20070043029 | A1 | 20070222 | US 2006-550776 | 20060620 |
| IN 2009CN00598 | A | 20090605 | IN 2009-CN598 | 20090202 |
| PRIORITY APPLN. INFO.: | | | GB 2003-8335 A | 20030410 |
| | | | WO 2004-EP3806 W | 20040408 |
| | | | IN 2005-CN2560 A3 | 20051006 |

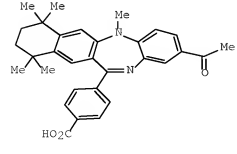
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 141:350204; MARPAT 141:350204

GI




I

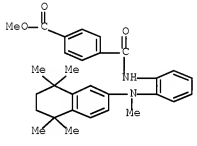



II

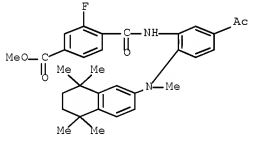
AB Title compds. I [R1-2 = H, alkyl, etc.; R3 = CN, acyl, H, etc.; R4 = alk(en/yn)yl, alkanoyl, etc.; X = substituted phenyl] are prepared For instance, II is prepared in 6 steps from (2-nitrophenyl)(5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2- yl)amine (prior art). I are exhibit RXR-antagonist efficacy and are useful in the treatment of diabetes, complication of diabetes such as retinopathy, nephropathy, neuropathy, hyperlipidemia, obesity, dyslipidemia, and osteoporosis.

IT 188844-78-2P 777074-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 11-phenyldibenzodiazepine derivs. as RXR-antagonists for treatment of, e.g., diabetes)

RN 188844-78-2 ZCAPLUS
CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 777074-61-0 ZCAPLUS
CN Benzoic acid, 4-[[[5-acetyl-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-3-fluoro-, methyl ester (CA INDEX NAME)



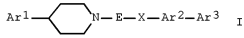
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 10 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:60311 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:128275
TITLE: Preparation of arylpiperidines as inducers of

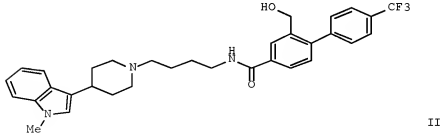
TITLE: LDL-receptor expression for the treatment of hypercholesterolemia
INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004006922 | A1 | 20040122 | WO 2003-EP7612 | 20030711 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003246694 | A1 | 20040202 | AU 2003-246694 | 20030711 |
| EP 1539158 | A1 | 20050615 | EP 2003-763846 | 20030711 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 20060052384 | A1 | 20060309 | US 2005-520799 | 20050110 |
| PRIORITY APPLN. INFO.: | | | GB 2002-16224 A | 20020712 |
| | | | WO 2003-EP7612 W | 20030711 |

OTHER SOURCE(S): MARPAT 140:128275
GI




I




II

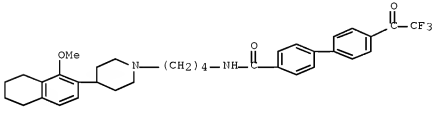
AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONRa, NRaCO; Ra = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared E.g., a multi-step synthesis of II, was given. All exemplified compds. I induced luciferase activity having EC50

values in the range 1 nM to 300 nM. The pharmaceutical composition comprising the title compound I is claimed.

IT 649557-05-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

RN 649557-05-1 ZCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 11 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:972039 ZCAPLUS Full-text

DOCUMENT NUMBER: 140:27669

TITLE: Preparation of tetralins as new ligands inhibiting the RAR receptors, and their use in human or veterinary medicine and in cosmetics for treating skin diseases and irritations

INVENTOR(S): Biadatti, Thibaud; Collette, Pascal

PATENT ASSIGNEE(S): Galderma Research & Development, S.N.C., Fr.

SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003101945 | A1 | 20031211 | WO 2003-EP5555 | 20030527 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| FR 2840300 | A1 | 20031205 | FR 2002-6851 | | 20020604 |
| FR 2840300 | B1 | 20040716 | | | |
| CA 2484450 | A1 | 20031211 | CA 2003-2484450 | | 20030527 |
| AU 2003273556 | A1 | 20031219 | AU 2003-273556 | | 20030527 |
| EP 1513803 | A1 | 20050316 | EP 2003-740153 | | 20030527 |
| EP 1513803 | B1 | 20080618 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003009786 | A | 20050322 | BR 2003-9786 | | 20030527 |
| JP 2006511444 | T | 20060406 | JP 2004-509639 | | 20030527 |
| US 20050148670 | A1 | 20050707 | US 2004-991430 | | 20041119 |
| US 7326803 | B2 | 20080205 | | | |
| MX 2004011815 | A | 20050331 | MX 2004-11815 | | 20041126 |
| IN 2004DN03972 | A | 20091204 | IN 2004-DN3972 | | 20041214 |
| PRIORITY APPLN. INFO.: | | | FR 2002-6851 | A | 20020604 |
| | | | US 2002-387447P | P | 20020611 |
| | | | WO 2003-EP5555 | W | 20030527 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:27669
GI

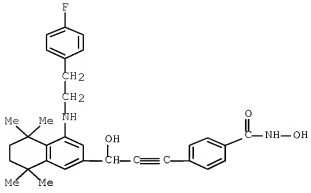
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tetralins I [wherein R1 = CH:CHY2COR7, C.tplbond.CY2COR7, Y1COR8; Y2 = (halo or alkyl or hydroxy or alkoxy)phenyl; R7 = OH and derivs., NH2 and derivs.; Y1 = 2-naphthyl; R8 = OH and derivs., NH2 and derivs.; R2, R3 = H, alkyl; R4, R5 = H, alkyl, or R4R5 = oxo; R6 = (un)substituted Ph, naphthyl, pyridinyl, pyrimidinyl, thiophenyl; X = Se, CHOH, CH2, C:O; Q = O, S, CH2, NH, NR9; R9 = alkyl; their optical isomers, and pharmaceutical acceptable salts] were prepared as inhibitors of RAR receptors for use in human or veterinary medicine, and in cosmetic compns. For example, II was prepared by O-alkylation of III with 4-methylbenzyl bromide, addition of ethynylmagnesium bromide to the aldehyde intermediate, and Sonogashira coupling of the resulting propargylic alc. with 4-iodobenzoic acid. Selected I showed a Kd app value of ≤ 100 nM and an IC50 value of ≤ 100 nM as inhibitors of RAR receptors in a transactivation test. Thus, I and their pharmaceutical and cosmetic compns. are useful for treating skin diseases and irritations (no data).

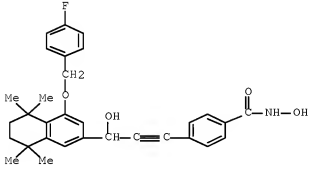
IT 628739-86-6P 628739-89-9P 628739-92-4P
628739-95-7P 628740-03-4P 628740-06-7P
628740-09-0P 628740-12-5P 628740-19-2P
628740-22-7P 628740-25-0P 628740-28-3P
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(RAR receptor inhibitor; preparation of tetralins as inhibitors of RAR receptors)

RN 628739-86-6 ZCAPLUS

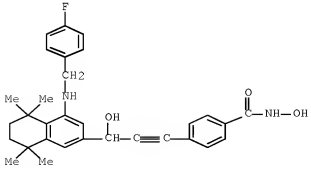
CN Benzamide, 4-[3-[4-[[2-(4-fluorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



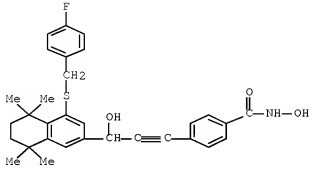
RN 628739-89-9 ZCAPLUS
CN Benzamide, 4-[3-[4-[(4-fluorophenyl)methoxy]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628739-92-4 ZCAPLUS
CN Benzamide, 4-[3-[4-[[(4-fluorophenyl)methyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)

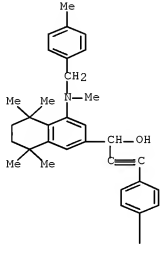


RN 628739-95-7 ZCAPLUS
CN Benzamide, 4-[3-[4-[[(4-fluorophenyl)methyl]thio]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



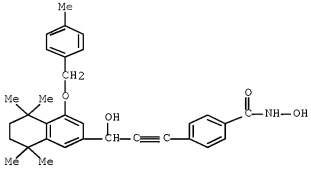
RN 628740-03-4 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[methyl[(4-methylphenyl)methyl]amino]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)

PAGE 1-A

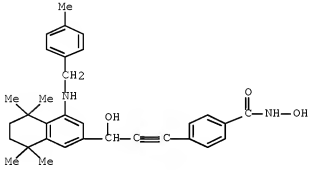


PAGE 2-A

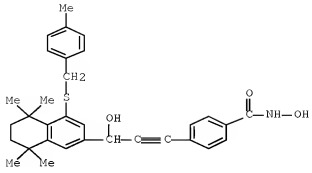
RN 628740-06-7 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[(4-methylphenyl)methoxy]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)



RN 628740-09-0 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[[(4-methylphenyl)methyl]amino]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)

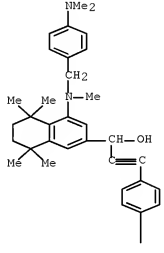


RN 628740-12-5 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[[(4-methylphenyl)methyl]thio]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)



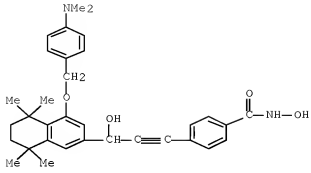
RN 628740-19-2 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]methylamino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)

PAGE 1-A

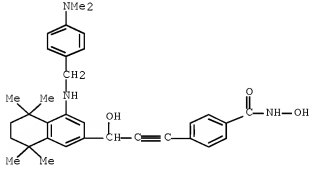


PAGE 2-A

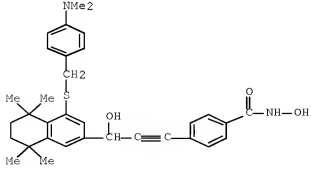
RN 628740-22-7 ZCAPLUS
CN Benzamide, 4-[3-[4-[[4-(dimethylamino)phenyl]methoxy]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628740-25-0 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628740-28-3 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 12 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:950188 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:16571
TITLE: Preparation of tetralins as new ligands inhibiting the RAR receptors, and their use in human or veterinary medicine and in cosmetics for treating skin diseases and irritations
INVENTOR(S): Biadatti, Thibaud; Collette, Pascal
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: Fr. Demande, 63 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2840300 | A1 | 20031205 | FR 2002-6851 | 20020604 |
| FR 2840300 | B1 | 20040716 | | |
| CA 2484450 | A1 | 20031211 | CA 2003-2484450 | 20030527 |
| WO 2003101945 | A1 | 20031211 | WO 2003-EP5555 | 20030527 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003273556 | A1 | 20031219 | AU 2003-273556 | 20030527 |
| EP 1513803 | A1 | 20050316 | EP 2003-740153 | 20030527 |
| EP 1513803 | B1 | 20080618 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003009786 | A | 20050322 | BR 2003-9786 | 20030527 |
| CN 1656065 | A | 20050817 | CN 2003-812234 | 20030527 |
| JP 2006511444 | T | 20060406 | JP 2004-509639 | 20030527 |
| AT 398606 | T | 20080715 | AT 2003-740153 | 20030527 |
| ES 2307951 | T3 | 20081201 | ES 2003-740153 | 20030527 |
| US 20050148670 | A1 | 20050707 | US 2004-991430 | 20041119 |
| US 7326803 | B2 | 20080205 | | |
| MX 2004011815 | A | 20050331 | MX 2004-11815 | 20041126 |
| IN 2004DN03972 | A | 20091204 | IN 2004-DN3972 | 20041214 |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| FR 2002-6851 | A | 20020604 | |
| US 2002-387447P | P | 20020611 | |
| WO 2003-EP5555 | W | 20030527 | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:16571
GI

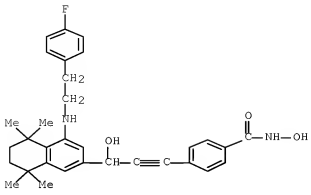
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = CH:CHY2COR7, C.tplbond.CY2COR7, Y1COR8; Y2 = (halo or alkyl or hydroxy or alkoxy)phenyl; R7 = OH and derivs., NH2 and derivs.; Y1 = 2-naphthyl; R8 = OH and derivs., NH2 and derivs.; R2, R3 = H, alkyl; R4, R5 = H, alkyl, or R4R5 = oxo; R6 = (un)substituted Ph, naphthyl, pyridinyl, pyrimidinyl, thiophenyl; X = Se, CHOH, CH2, C:O; Q = O, S, CH2, NH, NR9; R9 = alkyl; their optical isomers, and pharmaceutical acceptable salts] were prepared as inhibitors of RAR receptors for use in human or veterinary medicine, and in cosmetic compns. For example, II was prepared by O-alkylation of III with 4-methylbenzyl bromide, addition of ethynylmagnesium bromide to the aldehyde intermediate, and Sonogashira coupling of the resulting propargylic alc. with 4-iodobenzoic acid. Selected I showed a Kd app value of ≤ 100 nM and an IC50 value of ≤ 100 nM as inhibitors of RAR receptors in a transactivation test. Thus, I and their pharmaceutical and cosmetic compns. are useful for treating skin diseases and irritations (no data).

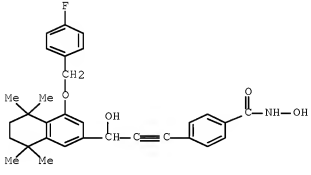
IT 628739-86-6P, 4-[3-[4-[(4-Fluorobenzyl)methylamino]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628739-89-9P, 4-[3-[4-(4-Fluorobenzyloxy)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628739-92-4P, 4-[3-[4-(4-Fluorobenzylamino)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628739-95-7P, 4-[3-[4-[(4-Fluorobenzyl)sulfanyl]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-03-4P, 4-[3-[4-[(4-Methylbenzyl)(methyl)amino]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-06-7P, 4-[3-[4-(4-Methylbenzyloxy)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-09-0P, 4-[3-[4-(4-Methylbenzylamino)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-12-3P, 4-[3-[4-[(4-Methylbenzyl)sulfanyl]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-19-2P, 4-[3-[4-[(4-Dimethylaminobenzyl)(methyl)amino]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-22-7P, 4-[3-[4-(4-Dimethylaminobenzyloxy)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-25-0P, 4-[3-[4-(4-Dimethylaminobenzylamino)-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide 628740-28-3P, 4-[3-[4-[(4-Dimethylaminobenzyl)sulfanyl]-5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl]-3-hydroxyprop-1-ynyl]-N-hydroxybenzamide
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(RAR receptor inhibitor; preparation of tetralins as inhibitors of RAR receptors)

RN 628739-86-6 ZCAPLUS

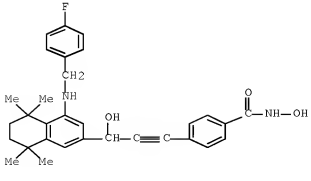
CN Benzamide, 4-[3-[4-[[2-(4-fluorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



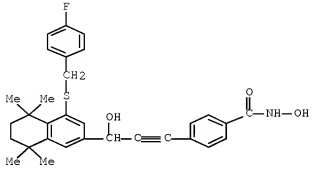
RN 628739-89-9 ZCAPLUS
CN Benzamide, 4-[3-[4-[(4-fluorophenyl)methoxy]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628739-92-4 ZCAPLUS
CN Benzamide, 4-[3-[4-[[(4-fluorophenyl)methyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)

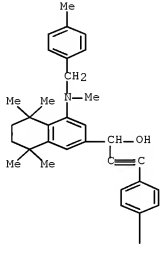


RN 628739-95-7 ZCAPLUS
CN Benzamide, 4-[3-[4-[[(4-fluorophenyl)methyl]thio]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



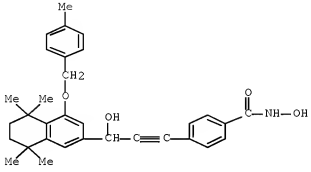
RN 628740-03-4 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[methyl[(4-methylphenyl)methyl]amino]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)

PAGE 1-A

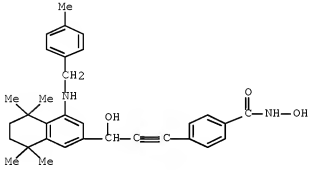


PAGE 2-A

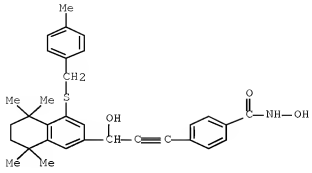
RN 628740-06-7 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[(4-methylphenyl)methoxy]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)



RN 628740-09-0 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[[(4-methylphenyl)methyl]amino]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)

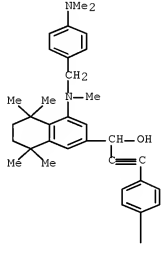


RN 628740-12-5 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-hydroxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-[[(4-methylphenyl)methyl]thio]-2-naphthalenyl]-1-propyn-1-yl]- (CA INDEX NAME)



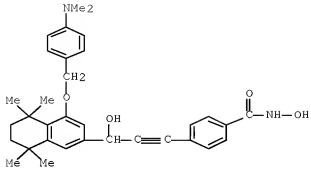
RN 628740-19-2 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]methylamino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)

PAGE 1-A

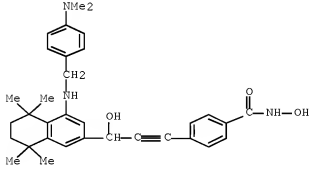


PAGE 2-A

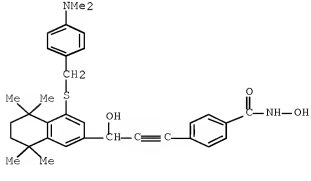
RN 628740-22-7 ZCAPLUS
CN Benzamide, 4-[3-[4-[[4-(dimethylamino)phenyl]methoxy]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628740-25-0 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628740-28-3 ZCAPLUS
CN Benzamide, 4-[3-[4-[[[4-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



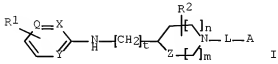
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 13 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:737750 ZCAPLUS Full-text
DOCUMENT NUMBER: 139:276910
TITLE: Preparation of pyridinamine and pyrimidinamine derivatives as novel inhibitors of histone deacetylase
INVENTOR(S): Angibaud, Patrick Rene; Van Emelen, Kristof; Poncelet, Virginie Sophie; Roux, Bruno
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

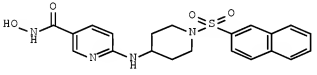
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003076430 | A1 | 20030918 | WO 2003-EP2513 | 20030311 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2476296 | A1 | 20030918 | CA 2003-2476296 | 20030311 |
| AU 2003212337 | A1 | 20030922 | AU 2003-212337 | 20030311 |
| AU 2003212337 | B2 | 20090611 | | |
| EP 1485370 | A1 | 20041215 | EP 2003-708216 | 20030311 |
| EP 1485370 | B1 | 20090304 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1639125 | A | 20050713 | CN 2003-805675 | 20030311 |
| CN 100519527 | C | 20090729 | | |
| CN 1642551 | A | 20050720 | CN 2003-805833 | 20030311 |
| JP 2005525381 | T | 20050825 | JP 2003-574647 | 20030311 |
| CN 101007803 | A | 20070801 | CN 2007-10005212 | 20030311 |
| AT 425152 | T | 20090315 | AT 2003-708214 | 20030311 |
| AT 424395 | T | 20090315 | AT 2003-708216 | 20030311 |
| CN 101450934 | A | 20090610 | CN 2008-10170423 | 20030311 |
| ES 2322252 | T3 | 20090618 | ES 2003-708216 | 20030311 |
| ES 2322950 | T3 | 20090702 | ES 2003-708214 | 20030311 |
| ZA 2004007237 | A | 20050928 | ZA 2004-7237 | 20040909 |
| ZA 2004007235 | A | 20051004 | ZA 2004-7235 | 20040909 |
| ZA 2004007232 | A | 20051006 | ZA 2004-7232 | 20040909 |
| ZA 2004007233 | A | 20051006 | ZA 2004-7233 | 20040909 |
| ZA 2004007234 | A | 20051006 | ZA 2004-7234 | 20040909 |
| ZA 2004007236 | A | 20051006 | ZA 2004-7236 | 20040909 |
| US 20050119250 | A1 | 20050602 | US 2004-507784 | 20040913 |
| US 7541369 | B2 | 20090602 | | |
| US 20090227558 | A1 | 20090910 | US 2009-429838 | 20090424 |
| PRIORITY APPLN. INFO.: | | | US 2002-363799P P | 20020313 |

| | | | |
|---|---|---|---|
| | US 2002-420989P | P | 20021024 |
| | WO 2002-EP14833 | A | 20021223 |
| | CN 2003-805921 | A3 | 20030311 |
| | CN 2003-805952 | A3 | 20030311 |
| | WO 2003-EP2513 | W | 20030311 |
| | US 2004-507784 | A3 | 20040913 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:276910
GI



I



II

AB The title compds. [I; n, m = 0-3; t = 0-1; Q, X, Y = N, C; Z = CH2, O; R1 = CONR3R4, NHCOR7, CO(alkanediyl)SR7, etc. (wherein R3, R4 = H, OH, alkyl, etc.; R7 = H, alkyl, alkylcarbonyl, etc.); R2 = H, OH, NH2, etc.; L = alkanediyl, CO, SO2, alkanediyl substituted with Ph; A = (un)substituted Ph, cyclohexyl, pyridyl, etc.], having histone deacetylase inhibiting enzymic activity, were prepared and formulated. E.g., a multi-step synthesis of II which showed pIC50 of 7.676 against HDAC, was given.

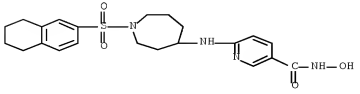
IT 604810-79-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinamine and pyrimidinamine derivs. as novel inhibitors of histone deacetylase)

RN 604810-79-9 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 604810-78-8
CMF C22 H28 N4 O4 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 14 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:591288 ZCAPLUS Full-text
DOCUMENT NUMBER: 139:148489
TITLE: Cytokines and retinoic acid receptor antagonists for expansion of renewable stem cells and adoptive immunotherapy
INVENTOR(S): Peled, Tony; Treves, Avi; Rosen, Oren
PATENT ASSIGNEE(S): Gamida-Cell Ltd., Israel
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003062369 | A2 | 20030731 | WO 2003-IL64 | 20030126 |
| WO 2003062369 | A3 | 20060330 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2474344 | A1 | 20030731 | CA 2003-2474344 | 20030126 |
| EP 1576089 | A2 | 20050921 | EP 2003-706871 | 20030126 |
| EP 1576089 | B1 | 20100414 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005528088 | T | 20050922 | JP 2003-562237 | 20030126 |
| AU 2003208577 | B2 | 20080710 | AU 2003-208577 | 20030126 |
| AU 2003208577 | B9 | 20080731 | | |
| AT 464372 | T | 20100415 | AT 2003-706871 | 20030126 |

| | | | | | |
|---|---|---|---|---|---|
| CA 2479679 | A1 | 20030925 | CA 2003-2479679 | 20030318 | |
| WO 2003078567 | A2 | 20030925 | WO 2003-IL235 | 20030318 | |
| WO 2003078567 | A3 | 20040610 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU 2003214614 | A1 | 20030929 | AU 2003-214614 | 20030318 | |
| AU 2003214614 | B2 | 20081120 | | | |
| EP 1485464 | A2 | 20041215 | EP 2003-710194 | 20030318 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2005520511 | T | 20050714 | JP 2003-576562 | 20030318 | |
| CA 2495824 | A1 | 20040226 | CA 2003-2495824 | 20030817 | |
| WO 2004016731 | A2 | 20040226 | WO 2003-IL681 | 20030817 | |
| WO 2004016731 | A3 | 20040910 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU 2003250519 | A1 | 20040303 | AU 2003-250519 | 20030817 | |
| EP 1534820 | A2 | 20050601 | EP 2003-787995 | 20030817 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003014402 | A | 20050719 | BR 2003-14402 | 20030817 | |
| JP 2006508692 | T | 20060316 | JP 2005-502022 | 20030817 | |
| US 20050008624 | A1 | 20050113 | US 2004-774843 | 20040209 | |
| ZA 2004005901 | A | 20060426 | ZA 2004-5901 | 20040723 | |
| AU 2005200679 | A1 | 20050324 | AU 2005-200679 | 20050216 | |
| AU 2005200679 | B2 | 20081120 | | | |
| MX 2005001992 | A | 20050803 | MX 2005-1992 | 20050218 | |
| ZA 2005002111 | A | 20050914 | ZA 2005-2111 | 20050314 | |
| US 20050220774 | A1 | 20051006 | US 2005-508244 | 20050519 | |
| AU 2008229689 | A1 | 20081030 | AU 2008-229689 | 20080929 | |
| AU 2009200079 | A1 | 20090205 | AU 2009-200079 | 20090108 | |
| PRIORITY APPLN. INFO.: | | | US 2002-350360P | P | 20020124 |
| | | | US 2002-376183P | P | 20020430 |
| | | | US 2002-404137P | P | 20020819 |
| | | | IL 2002-152904 | A | 20021117 |
| | | | US 2002-364590P | P | 20020318 |
| | | | US 2002-404145P | P | 20020819 |
| | | | WO 2003-IL62 | A | 20030123 |
| | | | AU 2003-208577 | A3 | 20030126 |
| | | | WO 2003-IL64 | W | 20030126 |
| | | | US 2003-452545P | P | 20030307 |
| | | | AU 2003-214614 | A3 | 20030318 |
| | | | WO 2003-IL235 | W | 20030318 |
| | | | AU 2003-250519 | A3 | 20030817 |

WO 2003-IL681 W 20030817

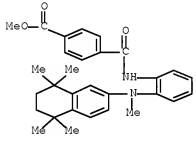
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Disclosed are methods for ex vivo and in vivo expansion of renewable stem cells for transplantation or implantation. The stem cell expansion is achieved by stimulating proliferation and inhibiting differentiation of hematopoietic stem cells. The proliferation of stem cells is stimulated by cytokine such as stem cell factor, FLT3 ligand, interleukin 6, interleukin 1, interleukin 2, interleukin 10, interleukin 12, tumor necrosis factor α, thrombopoietin, interleukin 3, G-CSF, M-CSF, GM-CSF and erythropoietin, FGF, EGF, NGF, VEGF, LIF, and hepatocyte growth factor. The expression of CD38 and differentiation of stem cells is inhibited by antibodies or antagonists of retinoic acid receptor, retinoid X receptor, and vitamin D receptor.

IT 188844-78-2P 569680-32-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cytokines and retinoic acid receptor antagonists for expansion of renewable stem cells and adoptive immunotherapy)

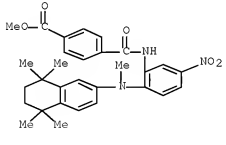
RN 188844-78-2 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 569680-32-6 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]-5-nitrophenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

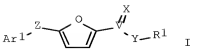
L41 ANSWER 15 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:946059 ZCAPLUS Full-text

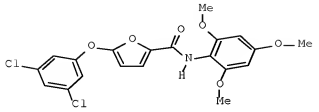
DOCUMENT NUMBER: 138:24635
TITLE: Non-peptide furanyl GnRH agents, pharmaceutical compositions and methods for their use, and processes for preparing them and their intermediates
INVENTOR(S): Sun, Eric T.; Anderson, Mark B.; Anderes, Kenna L.; Christie, Lance C.; Do, Quyen-Quyen T.; Feng, Jun; Goetzen, Thomas; Hong, Yufeng; Iatsimirskaia, Eugenia A.; Li, Haitao; Luthin, David R.; Paderes, Genevieve D.; Pathak, Ved P.; Rajapakse, Ranjan Jagath; Shackelford, Scott; Tompkins, Eileen Valenzuela; Truesdale, Larry K.; Vazir, Haresh
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002098363 | A2 | 20021212 | WO 2002-US17846 | 20020605 |
| WO 2002098363 | A3 | 20030320 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2449843 | A1 | 20021212 | CA 2002-2449843 | 20020605 |
| AU 2002312348 | A1 | 20021216 | AU 2002-312348 | 20020605 |
| EP 1401427 | A2 | 20040331 | EP 2002-739712 | 20020605 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002010191 | A | 20040406 | BR 2002-10191 | 20020605 |
| JP 2005501006 | T | 20050113 | JP 2003-501405 | 20020605 |
| MX 2003011002 | A | 20041028 | MX 2003-11002 | 20031128 |
| PRIORITY APPLN. INFO.: | | | US 2001-295812P P | 20010606 |
| | | | US 2001-301868P P | 20010629 |
| | | | WO 2002-US17846 W | 20020605 |

OTHER SOURCE(S): MARPAT 138:24635
GI



I



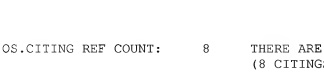
II

AB Non-peptide furanyl GnRH agents I [Ar1 = (un)substituted fused of spiro polycyclic cycloalkyl, heterocycloalkyl, aryl or heteroaryl group; R1 = (un)substituted aryl, cycloalkyl, heterocycloalkyl, alkyl, alkenyl, etc.; Z = O, S, SO2, or NR2; V = SO, S, or C; X = O, N, or S; Y = O, or NR2; R2 = H, alkyl or alkoxy] are prepared and disclosed as being capable of inhibiting the effect of gonadotropin-releasing hormone. Thus, II was prepared by coupling of potassium salt of 3,5-dichlorophenol with Et bromofuranylcarboxylate and subsequent amidation with 2,4,6-trimethoxyaniline. The binding inhibition for I, express as Ki (nM), were determined against human, mouse and rat receptors (values ranged from 0.1 - >10,000). Such compds. and their pharmaceutically acceptable salts, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated.

IT 478008-08-1P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of non-peptide furanyl GnRH agents)

RN 478008-08-1 ZCAPLUS

CN 2-Furancarboxamide, N-(phenylmethoxy)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

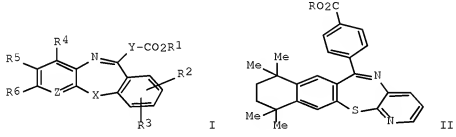
L41 ANSWER 16 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:539685 ZCAPLUS Full-text
DOCUMENT NUMBER: 137:93779
TITLE: Preparation of naphtho[2,3-f]pyrido[2,3-b][1,4]thiazepine and

benzo[b]naphtho[2,3-f][1,4]thiazepine derivatives as retinoid agonists

INVENTOR(S): Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002055525 | A1 | 20020718 | WO 2002-JP81 | 20020110 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002219580 | A1 | 20020724 | AU 2002-219580 | 20020110 |
| JP 4121853 | B2 | 20080723 | JP 2002-556194 | 20020110 |
| PRIORITY APPLN. INFO.: | | | JP 2001-4992 A | 20010112 |
| | | | WO 2002-JP81 W | 20020110 |

OTHER SOURCE(S): MARPAT 137:93779
GI

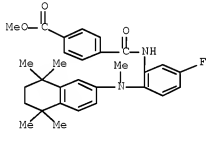



AB Compds. represented by the general formula (I) or salts thereof [wherein R1 = H, C1-6 alkyl; R2, R3 = H, C1-6 alkyl; or R2 and R3 together with the carbon atoms on the benzene ring to which they are bonded form a 5- or 6-membered ring; R4, R5, R6 = H, halo, C1-6 alkyl, C1-6 haloalkyl; Y = phenylene, pyridinediyl; X = S or N(R7) (wherein R7 = H, C1-6 alkyl); Z = CR8 (wherein R8 = H, halogeno, C1-6 alkyl, C1-6 haloalkyl) or N] are prepared These compds. have an ability to potentiate the physiol. activities of nuclear receptor ligands such as retinoic acid or retinoids and are useful for the prevention and/or treatment of vitamin A deficiency, keratosis of epithelial tissue, psoriasis, allergies, immune diseases such as rheumatism, bone diseases, leukemia, diabetes, and cancer. They also potentiate the physiol activities of steroids, vitamin D compds. such as vitamin D3, and thyroxine which

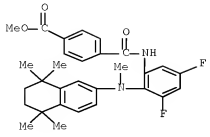
manifest the physiol. activities by binding to receptors belonging to inner receptor super-family present in cell nucleus. Thus, treatment of 5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-thiol with NaH in DMF at room temperature for 1 h followed thioetherification with 2-chloro-3-nitropyridine at room temperature for 2 h gave 3-nitro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2- ylthio)pyridine which underwent reduction with Fe/HCl in aqueous ethanol to 3-amino-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2- ylthio)pyridine followed by amidation with 4-methoxycarbonylbenzoyl chloride in the presence of Et3N in CH2Cl2 to give N-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2-ylthio)pyridin-3- yl]-4-methoxycarbonylbenzamide (II). Cyclization of II in polyphosphoric acid at 120° for 1 h gave naphtho[2,3-f]pyrido[2,3- b][1,4]thiazepine derivative (III; R = Me) which was hydrolyzed by a mixture of 2 N aqueous NaOH, THF, and MeOH and acidified with 2 N aqueous HCl to give III (R = H). Although III (R = H) showed the induction of cell differentiation in human leukemia HL-60 cells by 0.8, 0.8, and 0.4% at 10-8, 10-7, and 10-6 M, resp., when tested alone, but it showed the cell differentiation induction ratio of 24, 23, 45, and 88% at 10-10, 10-9, 10-8, and 10-7 M, resp., in the presence of 10-10 M Am80, i.e. 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2- naphthalenyl)carbamoyl]benzoic acid, vs. 13.5% when Am80 was tested alone at 10-10 M.

IT 442691-40-9P 442691-41-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphtho[f]pyrido[b][1,4]thiazepine and benzo[b]naphtho[f][1,4]thiazepine derivs. as retinoid agonists for prevention and/treatment of diseases)

RN 442691-40-9 ZCAPLUS
CN Benzoic acid, 4-[[[5-fluoro-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 442691-41-0 ZCAPLUS
CN Benzoic acid, 4-[[[3,5-difluoro-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



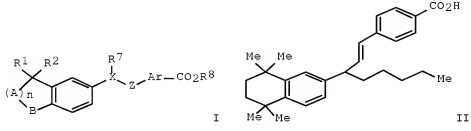
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 17 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:816625 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:358070
TITLE: Preparation of RAR selective retinoid agonists for pharmaceutical use
INVENTOR(S): Belloni, Paula Nanette; Jolidon, Synese; Klaus, Michael; Lapierre, Jean-Marc
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001083438 | A2 | 20011108 | WO 2001-EP4554 | 20010423 |
| WO 2001083438 | A3 | 20020613 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2407189 | A1 | 20011108 | CA 2001-2407189 | 20010423 |
| AU 2001068977 | A | 20011112 | AU 2001-68977 | 20010423 |
| US 20020026060 | A1 | 20020228 | US 2001-840486 | 20010423 |
| US 6603012 | B2 | 20030805 | | |
| EP 1280757 | A2 | 20030205 | EP 2001-947234 | 20010423 |
| EP 1280757 | B1 | 20050817 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001010499 | A | 20030401 | BR 2001-10499 | 20010423 |
| JP 2003531889 | T | 20031028 | JP 2001-580867 | 20010423 |
| JP 3785094 | B2 | 20060614 | | |
| AT 302179 | T | 20050915 | AT 2001-947234 | 20010423 |
| PT 1280757 | E | 20051130 | PT 2001-947234 | 20010423 |
| ES 2247142 | T3 | 20060301 | ES 2001-947234 | 20010423 |
| AU 2001268977 | B2 | 20060727 | AU 2001-268977 | 20010423 |
| CN 1293034 | C | 20070103 | CN 2001-808914 | 20010423 |

| | | | | | |
|---|---|---|---|---|---|
| ZA 2002008368 | A | 20040126 | ZA 2002-8368 | | 20021017 |
| MX 2002010747 | A | 20030310 | MX 2002-10747 | | 20021031 |
| PRIORITY APPLN. INFO.: | | | EP 2000-109346 | A | 20000502 |
| | | | WO 2001-EP4554 | W | 20010423 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:358070
GI

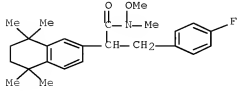



AB Retinoid agonists, such as I [R1, R2 = H, alkyl; A = C(R5R6), O; n = 1-3; B = C(R3R4), O, S(O)m, N-alkyl, m = 01-2; X = CR7', N; R3-R6= H, alkyl; R7 = R7' = H, alkyl, alkenyl, alkoxy, alkoxyalkyl, phenyloxy; R7R7' = (CH2)p; p = 2-6; Z = COO, OCO, CH2-CH2, CH=CH, C.tplbond.C, CH2O, CH2S, etc.; Ar = (un)substituted Ph, heteroarylic; R8 = H, alkyl, benzyl], and pharmaceutically active salts, were prepared for the treatment of emphysema and associated pulmonary diseases, as well as for the therapy and prophylaxis of dermatol. disorders, malignant and premalignant epithelial lesions, tumors and precancerous changes of the mucous membrane in the mouth, tongue, larynx, esophagus, bladder, cervix and colon. Thus, retinoid agonist II (R = was prepared via a multistep synthetic sequence starting from 5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalene-2-yl)-acetic acid, pentyl iodide, benzyl-4-hydroxybenzoate, oxalyl chloride and Et 4-(diethoxyphosphorylmethyl)-benzoate. II showed 53% repair of alveoli in elastase-induced emphysema at a dose of 0.003mg/kg.

IT 372949-56-9P 372949-57-0P 372949-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and formulation of RAR selective retinoid agonists for pharmaceutical use)

RN 372949-56-9 ZCAPLUS

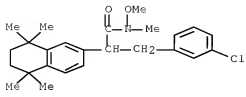
CN 2-Naphthaleneacetamide, α-[(4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-N-methoxy-N,5,5,8,8-pentamethyl- (CA INDEX NAME)




RN 372949-57-0 ZCAPLUS

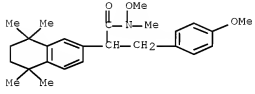
CN 2-Naphthaleneacetamide, α-[(3-chlorophenyl)methyl]-5,6,7,8-

tetrahydro-N-methoxy-N,5,5,8,8-pentamethyl-  (CA INDEX NAME)



RN  372949-58-1  ZCAPLUS

CN  2-Naphthaleneacetamide, 5,6,7,8-tetrahydro-N-methoxy-α-[(4-methoxyphenyl)methyl]-N,5,5,8,8-pentamethyl-  (CA INDEX NAME)



OS.CITING REF COUNT:  2  THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT:  2  THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41  ANSWER 18 OF 42  ZCAPLUS  COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:  2000:241135  ZCAPLUS  Full-text

DOCUMENT NUMBER:  132:279106

TITLE:  Non-peptide GnRH agents, methods and intermediates for their preparation

INVENTOR(S):  Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong, Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James

PATENT ASSIGNEE(S):  Agouron Pharmaceuticals, Inc., USA; et al.

SOURCE:  PCT Int. Appl., 444 pp.
CODEN: PIXXD2

DOCUMENT TYPE:  Patent

LANGUAGE:  English

FAMILY ACC. NUM. COUNT:  1

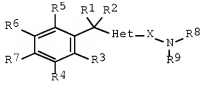
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000020358 | A2 | 20000413 | WO 1999-US18790 | 19990820 |
| WO 2000020358 | A3 | 20001116 | | |

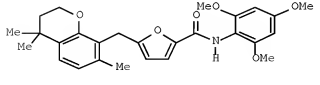
W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

| | | | | | |
|---|---|---|---|---|---|
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA | 2341346 | A1 | 20000413 | CA 1999-2341346 | 19990820 |
| BR | 9913374 | A | 20010515 | BR 1999-13374 | 19990820 |
| EP | 1105120 | A2 | 20010613 | EP 1999-968010 | 19990820 |
| EP | 1105120 | B1 | 20050323 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| HU | 2001003622 | A2 | 20020429 | HU 2001-3622 | 19990820 |
| HU | 2001003622 | A3 | 20030128 | | |
| EE | 200100102 | A | 20020617 | EE 2001-102 | 19990820 |
| SI | 20746 | A | 20020630 | SI 1999-20076 | 19990820 |
| TR | 2001000631 | T2 | 20020821 | TR 2001-631 | 19990820 |
| JP | 2002535244 | T | 20021022 | JP 2000-574479 | 19990820 |
| AU | 759310 | B2 | 20030410 | AU 2000-24709 | 19990820 |
| NZ | 509252 | A | 20040528 | NZ 1999-509252 | 19990820 |
| AT | 291423 | T | 20050415 | AT 1999-968010 | 19990820 |
| ES | 2237966 | T3 | 20050801 | ES 1999-968010 | 19990820 |
| NO | 2001000309 | A | 20010411 | NO 2001-309 | 20010119 |
| IN | 2001DN00066 | A | 20070112 | IN 2001-DN66 | 20010124 |
| ZA | 2001000831 | A | 20020822 | ZA 2001-831 | 20010130 |
| MX | 2001001834 | A | 20000821 | MX 2001-1834 | 20010219 |
| US | 7101878 | B1 | 20060905 | US 2001-763216 | 20010220 |
| LV | 12732 | B | 20020320 | LV 2001-45 | 20010316 |
| BG | 105362 | A | 20011231 | BG 2001-105362 | 20010319 |
| LT | 4904 | B | 20020425 | LT 2001-24 | 20010319 |
| HR | 2001000206 | A2 | 20040229 | HR 2001-206 | 20010320 |
| US | 20040010033 | A1 | 20040115 | US 2003-353160 | 20030708 |
| PRIORITY APPLN. INFO.: | | | | US 1998-97520P P | 19980820 |
| | | | | WO 1999-US18790 W | 19990820 |
| | | | | US 2001-763216 B3 | 20010220 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:279106
GI




I




II

AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically

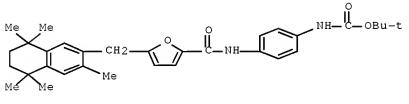
acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO2; Het = 5-membered NOS-heterocycle; R1, R2 = H, alkyl; R3-R7 = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH2OR, OR, CO2R; R = alkyl, aryl, etc.; adjacent rings positions such as R6R7 may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R8 = lipophilic moiety such as alkyl, aryl, CH2OR, OR, etc.; R9 = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (preparation given) was alkylated in the 6- and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and the resulting esters were hydrolyzed to a mixture of acids. This unsepd. mixture was treated with SOCl2 and amidated with 2,4,6-trimethoxyphenylamine-HCl to give the invention compound II and its chroman-6-position isomer, which were separated by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compound reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

IT 263848-53-9P 263848-61-9P 263848-63-1P
263849-03-2P 263849-18-9P 263849-23-6P
263849-81-6P 263850-18-6P 263850-44-8P
263850-45-9P 263851-05-4P 263854-72-4P
263857-34-7P 263857-35-8P 263857-37-0P
263857-41-6P 263857-46-1P 263857-54-1P
263857-71-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of non-peptide GnRH agents for regulating gonadotropin secretion)

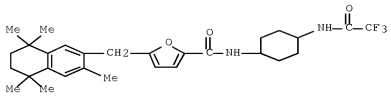
RN 263848-53-9 ZCAPLUS

CN Carbamic acid, [4-[[[5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-2-furanyl]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

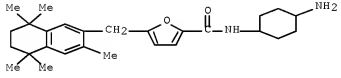



RN 263848-61-9 ZCAPLUS

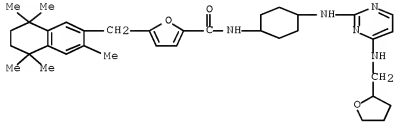
CN 2-Furancarboxamide, 5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-N-[4-[(2,2,2-trifluoroacetyl)amino]cyclohexyl]- (CA INDEX NAME)



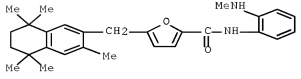
RN 263848-63-1 ZCAPLUS
CN 2-Furancarboxamide, N-(4-aminocyclohexyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263849-03-2 ZCAPLUS
CN 2-Furancarboxamide, N-[4-[[4-[[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)

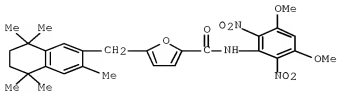


RN 263849-18-9 ZCAPLUS
CN 2-Furancarboxamide, N-[2-(methylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)

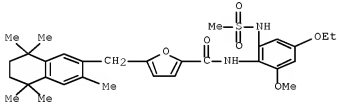


RN 263849-23-6 ZCAPLUS
CN 2-Furancarboxamide, N-(3,5-dimethoxy-2,6-dinitrophenyl)-5-[(5,6,7,8-

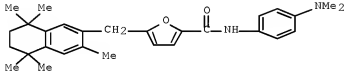
tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



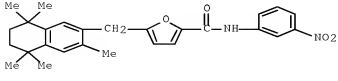
RN 263849-81-6 ZCAPLUS
CN 2-Furancarboxamide, N-[4-ethoxy-2-methoxy-6-[(methylsulfonyl)amino]phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



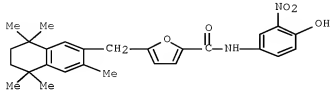
RN 263850-18-6 ZCAPLUS
CN 2-Furancarboxamide, N-[4-(dimethylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



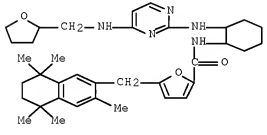
RN 263850-44-8 ZCAPLUS
CN 2-Furancarboxamide, N-(3-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



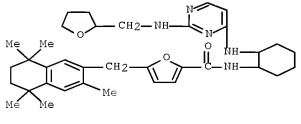
RN 263850-45-9 ZCAPLUS
CN 2-Furancarboxamide, N-(4-hydroxy-3-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



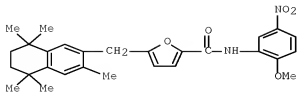
RN 263851-05-4 ZCAPLUS
CN 2-Furancarboxamide, N-[2-[[4-[[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



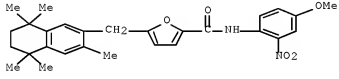
RN 263854-72-4 ZCAPLUS
CN 2-Furancarboxamide, N-[2-[[2-[[(tetrahydro-2-furanyl)methyl]amino]-4-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



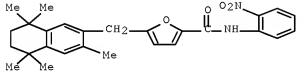
RN 263857-34-7 ZCAPLUS
CN 2-Furancarboxamide, N-(2-methoxy-5-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



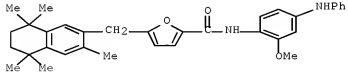
RN 263857-35-8 ZCAPLUS
CN 2-Furancarboxamide, N-(4-methoxy-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



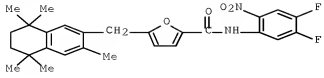
RN 263857-37-0 ZCAPLUS
CN 2-Furancarboxamide, N-(2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



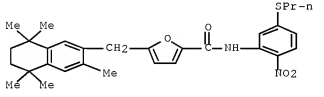
RN 263857-41-6 ZCAPLUS
CN 2-Furancarboxamide, N-[2-methoxy-4-(phenylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



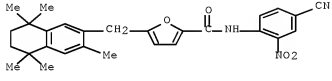
RN 263857-46-1 ZCAPLUS
CN 2-Furancarboxamide, N-(4,5-difluoro-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-54-1 ZCAPLUS
CN 2-Furancarboxamide, N-[2-nitro-5-(propylthio)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-71-2 ZCAPLUS
CN 2-Furancarboxamide, N-(4-cyano-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 19 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:2279 ZCAPLUS Full-text
DOCUMENT NUMBER: 132:175327
TITLE: Retinoid X receptor-antagonistic diazepinylbenzoic acids
AUTHOR(S): Ebisawa, Masayuki; Umemiya, Hiroki; Ohta, Kiminori; Fukasawa, Hiroshi; Kawachi, Emiko; Christoffel, Ghislaine; Gronemeyer, Hinrich; Tsuji, Motonori; Hashimoto, Yuichi; Shudo, Koichi; Kagechika, Hiroyuki
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, University of Tokyo, Tokyo, 113-0033, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(12), 1778-1786
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several dibenzodiazepine derivs. were identified as novel retinoid X receptor (RXR) antagonists on the basis of inhibitory activity on retinoid-induced cell differentiation of human promyelocytic leukemia cells HL-60 and transactivation assay using retinoic acid receptors (RARs) and RXRs in COS-1 cells. 4-(5H-2,3-(2,5-Dimethyl-2,5-hexano)-5-n-propyldibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX603) is an N-Pr derivative of an RXR pan-agonist HX600, and exhibited RXR-selective antagonistic activity. Similar RXR-antagonistic activities were observed with 4-(5H-2,3-(2,5-dimethyl-2,5-hexano)-5-methyl-8-nitrodibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX531) and 4-(5H-10,11-dihydro-5,10-dimethyl-2,3-(2,5-dimethyl-2,5-hexano)-dibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX711), which also inhibited transactivation of RARs induced by an RAR agonist, Am80. These compds. inhibited HL-60 cell differentiation induced by the combination of a low concentration of the retinoid agonist Am80 with an RXR agonist (a retinoid synergist, HX600). These results indicated that HX603 and the related RXR antagonists inhibit the activation of RAR-RXR heterodimers as well as RXR homodimers, which is a distinct characteristic different from that of the known RXR antagonist, LG100754.

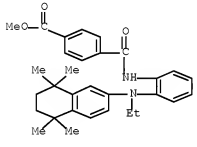
IT 259219-26-6P 259219-27-7P 259219-28-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of dibenzodiazepine derivs. as retinoid X receptor antagonists)

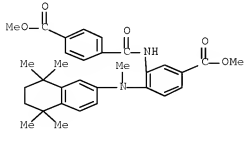
RN 259219-26-6 ZCAPLUS

CN Benzoic acid, 4-[[[2-[ethyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)

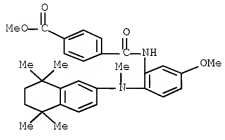


RN 259219-27-7 ZCAPLUS

CN Benzoic acid, 3-[[4-(methoxycarbonyl)benzoyl]amino]-4-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]-, methyl ester (CA INDEX NAME)



RN 259219-28-8 ZCAPLUS
CN Benzoic acid, 4-[[[5-methoxy-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 20 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:640543 ZCAPLUS Full-text
DOCUMENT NUMBER: 131:271703
TITLE: Preparation of bicyclic aromatic compounds and their use in cosmetic or dermatological compositions
INVENTOR(S): Bernardon, Jean-Michel
PATENT ASSIGNEE(S): Galderma Research and Development, S.N.C., Fr.
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 947496 | A1 | 19991006 | EP 1999-400597 | 19990311 |
| EP 947496 | B1 | 20021009 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| FR 2776657 | A1 | 19991001 | FR 1998-3976 | 19980331 |
| FR 2776657 | B1 | 20000526 | | |
| AU 9918584 | A | 19991014 | AU 1999-18584 | 19990305 |
| AU 724896 | B2 | 20001005 | | |
| SG 72936 | A1 | 20000523 | SG 1999-1290 | 19990305 |
| ZA 9901974 | A | 19990927 | ZA 1999-1974 | 19990311 |
| AT 225764 | T | 20021015 | AT 1999-400597 | 19990311 |
| PT 947496 | E | 20030228 | PT 1999-400597 | 19990311 |
| ES 2187125 | T3 | 20030516 | ES 1999-400597 | 19990311 |
| BR 9902808 | A | 20000620 | BR 1999-2808 | 19990325 |
| JP 11343263 | A | 19991214 | JP 1999-84949 | 19990326 |
| JP 3359882 | B2 | 20021224 | | |

| | | | | | |
|---|---|---|---|---|---|
| US 6632963 | B1 | 20031014 | US 1999-277953 | | 19990329 |
| MX 9902966 | A | 20050309 | MX 1999-2966 | | 19990329 |
| CA 2264979 | A1 | 19990930 | CA 1999-2264979 | | 19990330 |
| CA 2264979 | C | 20061219 | | | |
| CN 1241558 | A | 20000119 | CN 1999-105929 | | 19990330 |
| CN 1269788 | C | 20060816 | | | |
| HU 9900819 | A1 | 20000328 | HU 1999-819 | | 19990330 |
| RU 2188190 | C2 | 20020827 | RU 1999-107277 | | 19990330 |
| IN 1999DE00479 | A | 20070309 | IN 1999-DE479 | | 19990330 |
| PL 194066 | B1 | 20070430 | PL 1999-332302 | | 19990330 |
| CN 1346828 | A | 20020501 | CN 2001-140851 | | 20010919 |
| US 20040092594 | A1 | 20040513 | US 2003-630872 | | 20030731 |
| US 6924388 | B2 | 20050802 | | | |

PRIORITY APPLN. INFO.: FR 1998-3976 A 19980331
US 1999-277953 A3 19990329

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

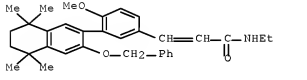
OTHER SOURCE(S): MARPAT 131:271703

AB Ar1Ar2XR1 [I; R1 = Me, CH2OR2, COR3; Ar1 = = substituted Ph; Ar2 = substituted Ph, pyridyl, furyl, thienyl, pyrrolyl; X = R14C:CR15, C.tplbond.C, C(Y)CH:CH, etc.] were prepared E.g., 3-(3',5'-di-tert-butyl-2'-methoxybiphenyl)acrylic acid was prepared RXR binding and RXRα agonist and antagonist activities of I were determined

IT 245434-01-9P 245434-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic aromatic compds. and their use in cosmetic or dermatol. compns.)

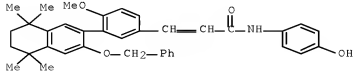
RN 245434-01-9 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[4-methoxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(phenylmethoxy)-2-naphthalenyl]phenyl]- (CA INDEX NAME)




RN 245434-03-1 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[4-methoxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(phenylmethoxy)-2-naphthalenyl]phenyl]- (CA INDEX NAME)




OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

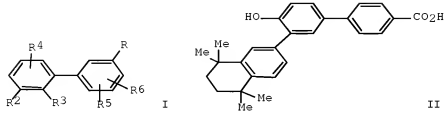
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 21 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:166584 ZCAPLUS Full-text
DOCUMENT NUMBER: 130:209513
TITLE: Biphenyl derivatives substituted by an aromatic or heteroaromatic radical for use in treating keratinization disorders
INVENTOR(S): Bernardon, Jean-Michel; Nedoncelle, Philippe
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9910308 | A1 | 19990304 | WO 1998-FR1834 | 19980821 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| FR 2767525 | A1 | 19990226 | FR 1997-10552 | 19970821 |
| FR 2767525 | B1 | 19991112 | | |
| CA 2268799 | A1 | 19990304 | CA 1998-2268799 | 19980821 |
| CA 2268799 | C | 20061010 | | |
| AU 9890781 | A | 19990316 | AU 1998-90781 | 19980821 |
| AU 740840 | B2 | 20011115 | | |
| BR 9806146 | A | 19991026 | BR 1998-6146 | 19980821 |
| EP 952974 | A1 | 19991103 | EP 1998-942767 | 19980821 |
| EP 952974 | B1 | 20011121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| TR 9901188 | T1 | 20000221 | TR 1999-1188 | 19980821 |
| NZ 334961 | A | 20000428 | NZ 1998-334961 | 19980821 |
| JP 2001504139 | T | 20010327 | JP 1999-514010 | 19980821 |
| JP 3759965 | B2 | 20060329 | | |
| AT 209177 | T | 20011215 | AT 1998-942767 | 19980821 |
| ES 2167931 | T3 | 20020516 | ES 1998-942767 | 19980821 |
| PT 952974 | E | 20020531 | PT 1998-942767 | 19980821 |
| RU 2193552 | C2 | 20021127 | RU 1999-109983 | 19980821 |
| CN 1193000 | C | 20050316 | CN 1998-801174 | 19980821 |
| US 6316009 | B1 | 20011113 | US 1999-284026 | 19990406 |
| NO 9901834 | A | 19990603 | NO 1999-1834 | 19990416 |
| NO 312830 | B1 | 20020708 | | |
| MX 9903653 | A | 20000531 | MX 1999-3653 | 19990420 |
| US 6649612 | B1 | 20031118 | US 2001-932938 | 20010821 |
| US 20040030141 | A1 | 20040212 | US 2003-613320 | 20030707 |
| US 7148245 | B2 | 20061212 | | |
| JP 2006056903 | A | 20060302 | JP 2005-311588 | 20051026 |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| FR 1997-10552 | A | 19970821 | |
| JP 1999-514010 | A3 | 19980821 | |
| WO 1998-FR1834 | W | 19980821 | |

US 1999-284026 A3 19990406
US 2001-932938 A3 20010821
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:209513
GI

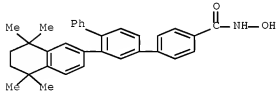



AB Title compds. I [R = (un)substituted aromatic, heteroarom.; R2, R3 = H, alkyl, etc.; R2R3 together form a 5- or 6-membered ring; R4, R5 = H, halogen, etc.; R6 = H, alkyl, etc.] were prepared for use in treating dermatol. diseases related to keratinization, and to combat skin ageing (no data). Thus, the acid II was prepared from the bromonaphthalene and the hydroxyphenylbenzoate fragments in 5 steps.

IT 220950-90-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of terphenyl derivs. for treating keratinization disorders)

RN 220950-90-3 ZCAPLUS

CN [1,1':4',1''-Terphenyl]-4-carboxamide, N-hydroxy-3'-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



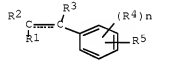

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 22 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:693417 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:343326
ORIGINAL REFERENCE NO.: 129:69925a,69928a
TITLE: Preparation of benzenes as protein kinase C inhibitors
INVENTOR(S): Mori, Toyoki; Tominaga, Michiaki; Tabusa, Fujio; Ei,

Kazuyoshi; Nakaya, Kenji; Takemura, Isao; Shinohara, Tomokazu; Tanada, Yoshihisa; Yamauchi, Takahito; Kitano, Kazuyoshi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 359 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10287634 | A | 19981027 | JP 1997-110527 | 19970411 |
| PRIORITY APPLN. INFO.: | | | JP 1997-110527 | 19970411 |

OTHER SOURCE(S): MARPAT 129:343326
GI



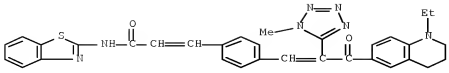
I

AB Benzenes I [R1 = 5- to 6-membered (un)substituted unsatd. heterocyclyl having 1-4 N, O, or S; cyano, carboxylalkyl, alkoxycarbonyl, H, Bz, (un)substituted amido, etc.; R2 = (un)substituted Bz, (un)substituted 1,2,3,4-tetrahydroquinolinylcarbonyl, pyridylcarbonyl, (un)substituted phenoxycarbonyl, etc.; R3 = H, lower alkyl, PhS, (un)substituted lower alkylthio, cycloalkylthio, cyano, etc.; R4 = H, (un)substituted lower alkyl, lower alkoxy, (un)substituted aminoalkylene, (un)substituted aminoalkylenyloxy; R5 = substituted alkenyl, phenylthioureidocarbonyl, pyrimidylaminocarbonylalkoxy, etc.; n = 1-3; the dot line may be double bond] or their salts are prepared I are useful for prevention and treatment of chronic rheumatoid arthritis, systemic lupus erythematosus, atopic dermatitis, heart failure, allergy, multiple sclerosis, tumor, Alzheimer-type dementia, etc. Condensation of 250 mg 2-(benzoylmethyl)pyridine with 300 mg 4-[(2-benzothiazolyl)aminocarbonyl]benzaldehyde in C6H6 for 10 h gave 0.3 g 2-[4-[2-benzoyl-2-(2-pyridyl)vinyl]benzoylamino]benzothiazole.

IT 215505-57-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

RN 215505-57-0 ZCAPLUS

CN 2-Propenamide, N-2-benzothiazolyl-3-[4-[3-(1-ethyl-1,2,3,4-tetrahydro-6-quinolinyl)-2-(1-methyl-1H-tetrazol-5-yl)-3-oxo-1-propen-1-yl]phenyl]-(CA INDEX NAME)

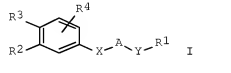


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

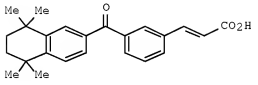
L41 ANSWER 23 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:352804 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:40990
ORIGINAL REFERENCE NO.: 129:8619a,8622a
TITLE: Bi-aromatic compounds with RXR receptor activity, pharmaceutical and cosmetic compositions containing them, and their uses
INVENTOR(S): Bernardon, Jean-Michel; Diaz, Philippe
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9822423 | A1 | 19980528 | WO 1997-FR2063 | 19971117 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| FR 2755965 | A1 | 19980522 | FR 1996-14098 | 19961119 |
| FR 2755965 | B1 | 19981218 | | |
| CA 2243404 | A1 | 19980528 | CA 1997-2243404 | 19971117 |
| CA 2243404 | C | 20040120 | | |
| AU 9852254 | A | 19980610 | AU 1998-52254 | 19971117 |
| AU 719468 | B2 | 20000511 | | |
| JP 11503472 | T | 19990326 | JP 1998-523275 | 19971117 |
| JP 3232484 | B2 | 20011126 | | |
| BR 9707153 | A | 19990406 | BR 1997-7153 | 19971117 |
| EP 915823 | A1 | 19990519 | EP 1997-947075 | 19971117 |
| EP 915823 | B1 | 20010418 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| AT 200661 | T | 20010515 | AT 1997-947075 | 19971117 |
| US 6258775 | B1 | 20010710 | US 1997-101622 | 19971117 |
| JP 2001233821 | A | 20010828 | JP 2000-399456 | 19971117 |
| PT 915823 | E | 20010830 | PT 1997-947075 | 19971117 |
| ES 2158597 | T3 | 20010901 | ES 1997-947075 | 19971117 |
| GR 3035762 | T3 | 20010731 | GR 2001-400605 | 20010419 |
| PRIORITY APPLN. INFO.: | | | FR 1996-14098 A | 19961119 |

JP 1998-523275 A3 19971117
WO 1997-FR2063 W 19971117
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 129:40990
GI



I



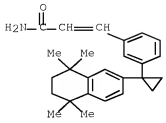
II

AB The invention concerns novel bi-aromatic compds. I [R1 = Me, CH2OR5, OR5, COR6; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = O, S, SO, SO2, CO, C(:CH2), C(:CMe2), CH2, etc.; R2, R3 = H, alkyl, OR5, SR5, polyether; or R2R3 may form ring optionally substituted by Me or interrupted by O or S; R4 = H, halo, alkyl, OR5, polyether; R5 = H, alkyl, acyl; R6 = H, alkyl, (un)substituted NH2 or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8- tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aqueous NaOH in THF (86%), gave title compound II.

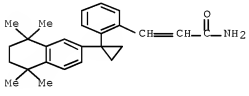
IT 208185-81-3P 208185-82-4P 208185-83-5P
208185-84-6P 208185-85-7P 208185-86-8P
208185-87-9P 208185-89-1P 208185-98-2P
208186-00-9P 208186-02-1P 208186-03-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biarom. compds. with RXR receptor activity as pharmaceuticals and cosmetics)

RN 208185-81-3 ZCAPLUS

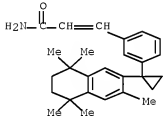
CN 2-Propenamide, 3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



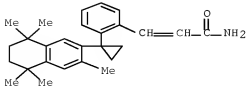
RN 208185-82-4 ZCAPLUS
CN 2-Propenamide, 3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



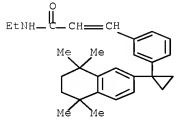
RN 208185-83-5 ZCAPLUS
CN 2-Propenamide, 3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



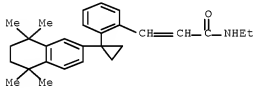
RN 208185-84-6 ZCAPLUS
CN 2-Propenamide, 3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



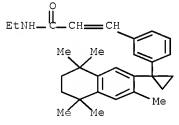
RN 208185-85-7 ZCAPLUS
CN 2-Propenamide, N-ethyl-3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



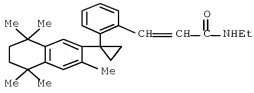
RN 208185-86-8 ZCAPLUS
CN 2-Propenamide, N-ethyl-3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



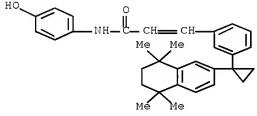
RN 208185-87-9 ZCAPLUS
CN 2-Propenamide, N-ethyl-3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



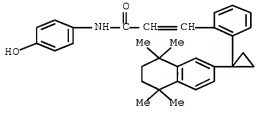
RN 208185-89-1 ZCAPLUS
CN 2-Propenamide, N-ethyl-3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



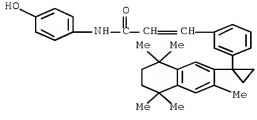
RN 208185-98-2 ZCAPLUS
CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



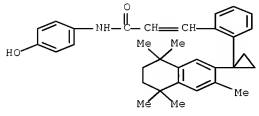
RN 208186-00-9 ZCAPLUS
CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208186-02-1 ZCAPLUS
CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208186-03-2 ZCAPLUS
CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



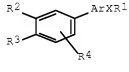
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 24 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:623162 ZCAPLUS Full-text
DOCUMENT NUMBER: 127:293119
ORIGINAL REFERENCE NO.: 127:57291a,57294a
TITLE: Preparation of bicyclic aromatic compounds
INVENTOR(S): Bernardon, Jean-Michel
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9733881 | A1 | 19970918 | WO 1997-FR391 | 19970305 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| FR 2746101 | A1 | 19970919 | FR 1996-3235 | 19960314 |
| FR 2746101 | B1 | 19980430 | | |
| CA 2218766 | A1 | 19970918 | CA 1997-2218766 | 19970305 |
| CA 2218766 | C | 20030715 | | |
| AU 9720305 | A | 19971001 | AU 1997-20305 | 19970305 |
| AU 704753 | B2 | 19990506 | | |
| EP 832081 | A1 | 19980401 | EP 1997-908308 | 19970305 |
| EP 832081 | B1 | 20030129 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| CN 1190394 | A | 19980812 | CN 1997-190489 | 19970305 |

| | | | | | |
|---|---|---|---|---|---|
| CN 1109031 | C | 20030521 | | | |
| JP 10509987 | T | 19980929 | JP 1997-532318 | | 19970305 |
| JP 2991502 | B2 | 19991220 | | | |
| BR 9702200 | A | 19990720 | BR 1997-2200 | | 19970305 |
| HU 9901452 | A2 | 19990830 | HU 1999-1452 | | 19970305 |
| HU 9901452 | A3 | 20010228 | | | |
| AT 231852 | T | 20030215 | AT 1997-908308 | | 19970305 |
| PT 832081 | E | 20030630 | PT 1997-908308 | | 19970305 |
| CN 1443756 | A | 20030924 | CN 2002-2002152959 | | 19970305 |
| CN 100345827 | C | 20071031 | | | |
| ES 2192668 | T3 | 20031016 | ES 1997-908308 | | 19970305 |
| PL 187407 | B1 | 20040730 | PL 1997-323364 | | 19970305 |
| NO 9705192 | A | 19980114 | NO 1997-5192 | | 19971112 |
| US 6147255 | A | 20001114 | US 1998-952804 | | 19980126 |
| US 6825360 | B1 | 20041130 | US 2000-619584 | | 20000719 |
| US 6515021 | B1 | 20030204 | US 2000-619582 | | 20000912 |
| US 20030060491 | A1 | 20030327 | US 2002-252514 | | 20020924 |
| US 20030135053 | A1 | 20030717 | US 2003-334978 | | 20030102 |
| PRIORITY APPLN. INFO.: | | | FR 1996-3235 | A | 19960314 |
| | | | WO 1997-FR391 | W | 19970305 |
| | | | US 1998-952804 | A3 | 19980126 |
| | | | US 2000-619584 | A1 | 20000719 |
| | | | US 2000-619582 | A3 | 20000912 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 127:293119
GI



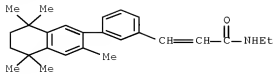

I

AB Novel bicyclic aromatic compds. I [R1 = Me, CH2OR5, COR6; Ar = = (un)substituted Ph, pyridyl, furyl, thienyl, pyrrolyl; X = CR8:CR9, C.tplbond.C; R2, R3 = H, alkyl, OR5, SR5; R2R3 = aromatic ring; R5 = H, alkyl, acyl; R6 = H, alkyl, NR'R''; R8, R9 = H, alkyl] and their use in pharmaceutical compns. useful in treatment of dermatol. conditions (no data) or their use in cosmetic compns. (no data) are disclosed. E.g., reaction of 3-tert-butyl-4-methoxyphenylboronic acid and 4-bromo-2-thiophenecarboxaldehyde gave 4-(3-tert-butyl-4-methoxyphenyl)-2-thiophenecarboxaldehyde. The last was treated with tri-Et phosphonoacetate to give Et 4-(3-tert-butyl-4-methoxyphenyl)-2-thiopheneacrylate. The ester was converted to the corresponding acid.

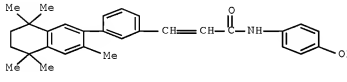
IT 196960-85-7P 196960-86-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic aromatic compds.)

RN 196960-85-7 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[3-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)phenyl]- (CA INDEX NAME)



RN 196960-86-8 ZCAPLUS
CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

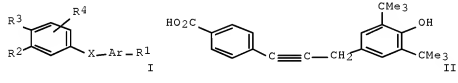
L41 ANSWER 25 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:623137 ZCAPLUS Full-text
DOCUMENT NUMBER: 127:292999
ORIGINAL REFERENCE NO.: 127:57266h,57267a
TITLE: Diaromatic propynyl or dienyl compounds for use in treating disorders of cell differentiation, cell proliferation, and keratinization
INVENTOR(S): Bernardon, Jean-Michel
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9733856 | A1 | 19970918 | WO 1997-FR390 | 19970305 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| FR 2746098 | A1 | 19970919 | FR 1996-3234 | 19960314 |
| FR 2746098 | B1 | 19980430 | | |
| CA 2218892 | A1 | 19970918 | CA 1997-2218892 | 19970305 |
| CA 2218892 | C | 20070102 | | |

| | | | | |
|---|---|---|---|---|
| AU 9720304 | A | 19971001 | AU 1997-20304 | 19970305 |
| AU 703505 | B2 | 19990325 | | |
| EP 832057 | A1 | 19980401 | EP 1997-908307 | 19970305 |
| EP 832057 | B1 | 20010103 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| CN 1193313 | A | 19980916 | CN 1997-190539 | 19970305 |
| CN 1079390 | C | 20020220 | | |
| JP 10510849 | T | 19981020 | JP 1997-532317 | 19970305 |
| JP 3181297 | B2 | 20010703 | | |
| BR 9702144 | A | 19990105 | BR 1997-2144 | 19970305 |
| HU 9900624 | A2 | 19990728 | HU 1999-624 | 19970305 |
| HU 9900624 | A3 | 20000928 | | |
| AT 198467 | T | 20010115 | AT 1997-908307 | 19970305 |
| ES 2156366 | T3 | 20010616 | ES 1997-908307 | 19970305 |
| PL 187406 | B1 | 20040730 | PL 1997-323363 | 19970305 |
| CN 1670009 | A | 20050921 | CN 2004-10011921 | 19970305 |
| NO 9705191 | A | 19980114 | NO 1997-5191 | 19971112 |
| NO 310456 | B1 | 20010709 | | |
| US 6046220 | A | 20000404 | US 1998-952302 | 19980126 |
| US 6313162 | B1 | 20011106 | US 1999-466230 | 19991217 |
| GR 3035576 | T3 | 20010629 | GR 2001-400423 | 20010314 |
| CN 1376664 | A | 20021030 | CN 2001-135853 | 20011026 |
| CN 1213017 | C | 20050803 | | |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | FR 1996-3234 | A | 19960314 |
| | WO 1997-FR390 | W | 19970305 |
| | US 1998-952302 | A3 | 19980126 |
| | CN 2001-135853 | A3 | 20011026 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 127:292999; MARPAT 127:292999
GI

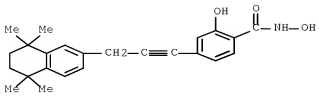



AB Title compds. I [Ar = (un)substituted Ph, furyl, thienyl, pyrrolyl, pyridyl; X = (un)substituted CH2C.tplbond.C, C.tplbond.CCH2, CH:CHCH:CH;H; R1 = Me, CH2OR5, OR5, COR6; R2, R3 = H, alkyl, OR5, SR5; R2R3 = alkylene, oxaalkylene, thiaalkylene; R4 = H, halogen, alkyl, OR5; R5 = H, alkyl, acyl; R6 = H, alkyl, (un)substituted NH2] were prepared Thus, the acid II was obtained from 4,3,5-HO(Me3C)2C6H2CHO and Me3SiC.tplbond.CH in 7 steps.

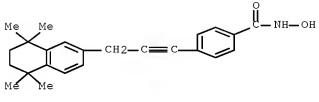
IT 196957-17-2P 196957-24-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diarom. propynyl or dienyl compds. for use in treating disorders of cell differentiation, cell proliferation, and keratinization)

RN 196957-17-2 ZCAPLUS

CN Benzamide, N,2-dihydroxy-4-[3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-1-propyn-1-yl]- (CA INDEX NAME)



RN 196957-24-1 ZCAPLUS
CN Benzamide, N-hydroxy-4-[3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-1-propyn-1-yl]- (CA INDEX NAME)



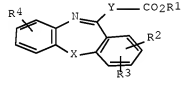
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 26 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:286725 ZCAPLUS Full-text
DOCUMENT NUMBER: 126:264112
ORIGINAL REFERENCE NO.: 126:51157a,51160a
TITLE: Preparation of (di)benzodiazepine, (di)benzothiazepine, and (di)benzoxazepine compounds potentiating retinoid
INVENTOR(S): Shudo, Koichi
PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

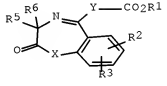
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9711061 | A1 | 19970327 | WO 1996-JP2709 | 19960920 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| JP 10059951 | A | 19980303 | JP 1996-245965 | 19960918 |
| JP 3865829 | B2 | 20070110 | | |
| CA 2233012 | A1 | 19970327 | CA 1996-2233012 | 19960920 |
| AU 9670015 | A | 19970409 | AU 1996-70015 | 19960920 |

| | | | | | |
|---|---|---|---|---|---|
| CN 1202160 | A | 19981216 | CN 1996-198386 | | 19960920 |
| CN 1121395 | C | 20030917 | | | |
| EP 906907 | A1 | 19990407 | EP 1996-931263 | | 19960920 |
| EP 906907 | B1 | 20020306 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, FI | | | | | |
| US 5929069 | A | 19990727 | US 1996-710657 | | 19960920 |
| TW 420667 | B | 20010201 | TW 1996-85111550 | | 19960920 |
| AT 214055 | T | 20020315 | AT 1996-931263 | | 19960920 |
| NO 9801269 | A | 19980520 | NO 1998-1269 | | 19980320 |
| US 6121256 | A | 20000919 | US 1999-288618 | | 19990409 |
| US 2001003927 2 | A1 | 20011108 | US 2001-838272 | | 20010420 |
| US 6476017 | B2 | 20021105 | | | |
| PRIORITY APPLN. INFO.: | | | JP 1995-242639 | A | 19950921 |
| | | | JP 1996-150582 | A | 19960612 |
| | | | US 1996-710657 | A3 | 19960920 |
| | | | WO 1996-JP2709 | W | 19960920 |
| | | | US 1999-288618 | A3 | 19990409 |
| | | | US 2000-626449 | B1 | 20000726 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 126:264112
GI




I




II

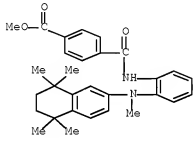
AB Compds. represented by general formula (I or II; R1 - R3 = H or C1-6 alkyl; or R2 and R3 together form 5- or 6-membered cycloalkyl; R4 = H, C1-6 alkyl, C1-6 alkoxy, OH, NO2, halo; R5 = H, C1-6 alkyl, aryl-C1-6 alkyl; R6 = H, C1-6 alkyl; X = NR7, O, CHR7 or S; wherein R7 = H, C1-6 alkyl, aryl-C1-6 alkyl; Y = phenylene, pyridinediyl) or salts thereof which potentiate biol. activities of internuclear receptor ligands typified by retinoic acid or retinoids having retinoic acid-like activities, are prepared Claimed is an enhancer for the effect of biol. substances which exhibit the biol. activities by binding to a super family of internuclear receptors using above compds. I and II. Also claimed is a method for enhancing the effect of biol. substances which exhibit the biol. activates by binding to a super family of internuclear receptors, by administering above compds. I and II to mammals. Thus, 6-bromo-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene was condensed with o-nitroaniline in the presence of K2CO3 and CuI in xylene under reflux for 24 h to give 6-(o-nitroanilino)-1,2,3,4-tetrahydro-1,1,4,4- tetramethylnaphthalene, which was reduced by Fe/HCl in aqueous EtOH to 6-(o-aminoanilino)-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene. The latter compound was amidated with p-MeO2CC6H4COCl in the presence of pyridine in benzene at room temperature for 3 h to give 6-[2-(4-methoxycarbonylbenzoylamino)anilino]-1,2,3,4-tetrahydro-1,1,4,4- tetramethylnaphthalene, which was stirred in polyphosphoric acid at 120° for 1 h to give a dibenzo[b,e]diazepine (III; R = Me). This was saponified by a mixture of 2 N aqueous NaOH and ethanol to give, after acidification, III (R = H). III (R = H) at 3.3 × 10-7 M in vitro enhanced cell differentiation-inducing activity of retinoic acid in human leukemia HL-60 cells by 14% (retinoic acid alone) to 76% (retinoic acid and the present

compound) in an assay measuring degree of cell differentiation to granulocyte cells by reduction of nitrobluetetrazolium (NBT).

IT 188844-78-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (di)benzodiazepine, (di)benzothiazepine, and (di)benzoxazepine compds. potentiating biol. activities of retinoids)

RN 188844-78-2 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L41 ANSWER 27 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:263061 ZCAPLUS Full-text

DOCUMENT NUMBER: 122:240059

ORIGINAL REFERENCE NO.: 122:43885a,43888a

TITLE: A novel synthesis of 1,2-diaryl-2,2-difluoroethanones

AUTHOR(S): Yu, Kuo-Long; Mansuri, Mazammil M.; Starrett, John E., Jr.

CORPORATE SOURCE: Bristol-Myers Squibb Company Pharmaceutical Research Inst., Wallingford, CT, 06492, USA

SOURCE: Tetrahedron Letters (1994), 35(48), 8955-6
CODEN: TELEAY; ISSN: 0040-4039

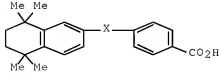
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:240059

GI



I

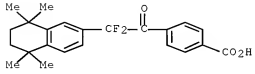
AB A novel procedure for the synthesis of 1,2-diaryl-2,2-difluoroethanones involving Stille reaction of an aryldifluoroacetyl chloride and an

arylstannane has been developed. Application of this procedure for the preparation of two retinoids I [X = COCF2, CF2CO] is described.

IT 162132-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 1,2-diaryl-2,2-difluoroethanones via Stille coupling)

RN 162132-98-1 ZCAPLUS

CN Benzoic acid, 4-[2,2-difluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L41 ANSWER 28 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1991:153865 ZCAPLUS Full-text
DOCUMENT NUMBER: 114:153865
ORIGINAL REFERENCE NO.: 114:25849a,25852a
TITLE: Direct-positive photographic photosensitive material containing core-shell silver halide emulsion
INVENTOR(S): Deguchi, Hisayasu; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 02188742 | A | 19900724 | JP 1989-9504 | 19890118 |
| PRIORITY APPLN. INFO.: | | | JP 1989-9504 | 19890118 |

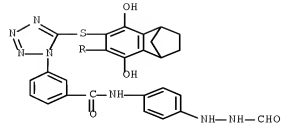
AB In the title material containing a previously unfogged internal-latent image-forming core-shell Ag halide emulsion, the Ag halide mol ratio in the core-shell emulsion is 1/5 and the material contains at least 1 kind of fogging-agent-releasing compds., development-promoting agents, or their precursors corresponding to the amount of developing Ag during development.

IT 117234-24-9
RL: USES (Uses)
(fogging-agent-releasing compound, for direct-pos. photog. photosensitive materials)

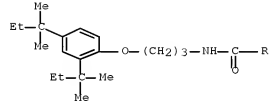
RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

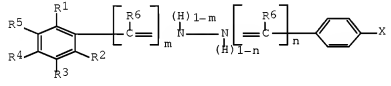


L41 ANSWER 29 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1991:81236 ZCAPLUS Full-text
DOCUMENT NUMBER: 114:81236
ORIGINAL REFERENCE NO.: 114:13849a,13852a
TITLE: Preparation of phenylhydrazones as drugs and cosmetics
INVENTOR(S): Janssen, Bernd; Wuest, Hans Heiner; Murray, William V.; Wachter, Michael P.; Bell, Stanley
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

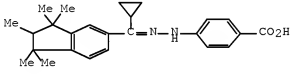
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 382076 | A1 | 19900816 | EP 1990-101946 | 19900201 |
| EP 382076 | B1 | 19930120 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| DE 3903990 | A1 | 19900830 | DE 1989-3903990 | 19890210 |
| AT 84783 | T | 19930215 | AT 1990-101946 | 19900201 |
| ES 2054115 | T3 | 19940801 | ES 1990-101946 | 19900201 |
| CZ 283779 | B6 | 19980617 | CZ 1990-559 | 19900206 |
| US 5072042 | A | 19911210 | US 1990-476770 | 19900208 |
| CA 2009690 | A1 | 19900810 | CA 1990-2009690 | 19900209 |
| CA 2009690 | C | 20020416 | | |
| NO 9000633 | A | 19900813 | NO 1990-633 | 19900209 |
| NO 172044 | B | 19930222 | | |
| NO 172044 | C | 19930602 | | |
| AU 9049263 | A | 19900816 | AU 1990-49263 | 19900209 |
| AU 617036 | B2 | 19911114 | | |

| | | | | | |
|---|---|---|---|---|---|
| HU 53069 | A2 | 19900928 | HU 1990-753 | | 19900209 |
| HU 205341 | B | 19920428 | | | |
| JP 02250856 | A | 19901008 | JP 1990-28618 | | 19900209 |
| JP 2859350 | B2 | 19990217 | | | |
| ZA 9000962 | A | 19911030 | ZA 1990-962 | | 19900209 |
| SU 1826967 | A3 | 19930707 | SU 1990-4743196 | | 19900209 |
| PL 164430 | B1 | 19940729 | PL 1990-283722 | | 19900209 |
| FI 119638 | B1 | 20090130 | FI 1990-646 | | 19900209 |
| KR 168046 | B1 | 19990320 | KR 1990-1621 | | 19900210 |
| PRIORITY APPLN. INFO.: | | | DE 1989-3903990 | A | 19890210 |
| | | | EP 1990-101946 | A | 19900201 |

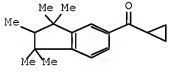
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 114:81236; MARPAT 114:81236
GI



I



II



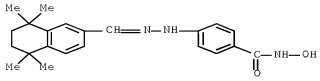
III

AB Title phenylhydrazones I [R1-R3 = H, halo, C1-4 alkyl or alkoxy, OH, AcO; R4 = H, OH, C1-6 alkyl, alkoxy, alkoxyalkyl; R5 = H, C1-4 alkyl; or R4R5 = CMe2ACMe2 (A = CH2CH2, CHMe, CH2CO, etc.), (CH2)3CMe2, OCH2CH2CMe2, NHCOCH2CMe2, etc; or R4 = branched alkoxy or alkoxyalkyl when R1-R3 = H; R6 = H, Me, Et, cyclopropyl; m,n = 0,1; X = nitro, H, cyano, CO2H, (substituted) sulfonyl or sulfonylamidyl, etc.], useful as drugs for a variety of conditions (no data), were prepared For example, title compound II was prepared by condensation of indenyl cyclopropyl ketone derivative III with phenylhydrazine-4-carboxylic acid. A pharmaceutical preparation of II was described.

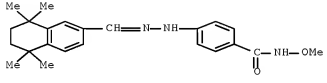
IT 131925-68-3P 131925-69-4P 131925-70-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as drug)

RN 131925-68-3 ZCAPLUS

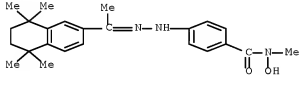
CN Benzamide, N-hydroxy-4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methylene]hydrazinyl]- (CA INDEX NAME)



RN 131925-69-4 ZCAPLUS
CN Benzamide, N-methoxy-4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methylene]hydrazinyl]- (CA INDEX NAME)



RN 131925-70-7 ZCAPLUS
CN Benzamide, N-hydroxy-N-methyl-4-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethylidene]hydrazinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L41 ANSWER 30 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:506254 ZCAPLUS Full-text
DOCUMENT NUMBER: 113:106254
ORIGINAL REFERENCE NO.: 113:17811a,17814a
TITLE: Silver halide color photographic material containing developing accelerator-releasing compound and bleaching accelerator-releasing compound
INVENTOR(S): Kobayashi, Hidetoshi; Sakagami, Megumi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01223453 | A | 19890906 | JP 1988-48716 | 19880303 |

PRIORITY APPLN. INFO.: JP 1988-48716 19880303

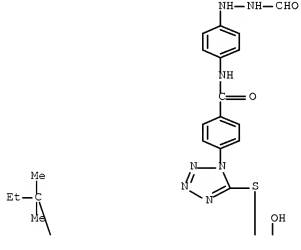
AB The title color photog. material contains ≥1 development accelerator-or fogging agent-releasing compound, and ≥1 bleaching accelerator-releasing compound Rapid bleaching can be obtained from the color photog. material.

IT 108304-17-2
RL: USES (Uses)
(development accelerator- or fogging agent-releasing coupler)

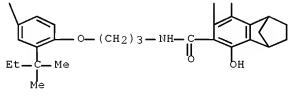
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 31 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:188882 ZCAPLUS Full-text
DOCUMENT NUMBER: 112:188882
ORIGINAL REFERENCE NO.: 112:31749a,31752a
TITLE: Direct positive silver halide photographic material
INVENTOR(S): Hirano, Shigeo; Kobayashi, Hidetoshi; Deguchi, Hisayasu; Inoue, Akiyuki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01204047 | A | 19890816 | JP 1988-29063 | 19880210 |

PRIORITY APPLN. INFO.: JP 1988-29063 19880210
GI

I

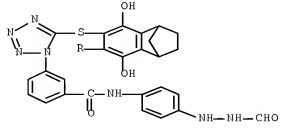
AB The title photog. material contains ≥1 I [Z1 = nonmetallic group necessary to form a 5- or 6-membered heterocyclic ring; R1 = aliphatic; X = C, N; Q = non-metallic group necessary to form a 4-12-membered non-aromatic hydrocarbon or heterocycli ring; ≥1 of R1, substituent of Z1, and substituent of Q is alkynyl; ≥1 of R1, Z1 and Q may be an adsorption promoter for Ag halide; Y = ion for balancing charges; n = number for balancing charges], and ≥1 of compound which releases a nucleating agent, development promoter and precursor at development. A rapidly processable photog. material can be obtained with improved storage stability and photog. properties.

IT 117234-24-9
RL: USES (Uses)
(direct pos. photog. material containing)

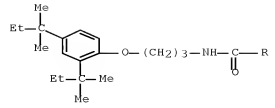
RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 32 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:148937 ZCAPLUS Full-text
DOCUMENT NUMBER: 112:148937
ORIGINAL REFERENCE NO.: 112:24975a,24978a
TITLE: Heat-developable color photographic material
INVENTOR(S): Hirai, Hiroyuki; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01145652 | A | 19890607 | JP 1987-304994 | 19871202 |

PRIORITY APPLN. INFO.: JP 1987-304994 19871202

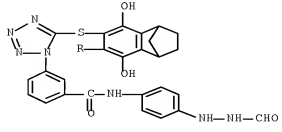
AB A heat-developable color photog. material having on a support a photosensitive Ag halide emulsion, a binder, a reducing agent or its precursor, and a dye donor which releases a dye upon being reduced contains, in addition, RED(TIME)nFA [RED = a redox nucleus which is capable of releasing -(TIME)nFA upon oxidation during development; TIME = a timing group linked to RED via N, O, or Se; n = 0, 1; FA = a group capable of functioning as a fogging agent for Ag halide or as a development promoter upon release from -(TIME)nFA]. High-d., low-stain pos. color images can be obtained.

IT 117234-24-9
RL: USES (Uses)
(heat-developable color photog. material using)

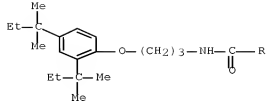
RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 33 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:644129 ZCAPLUS Full-text
DOCUMENT NUMBER: 111:244129
ORIGINAL REFERENCE NO.: 111:40331a,40334a
TITLE: Direct-positive color photographic material
INVENTOR(S): Deguchi, Hisayasu; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

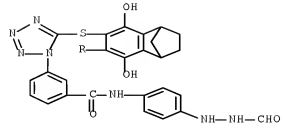
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01044937 | A | 19890217 | JP 1987-201936 | 19870814 |
| US 4994358 | A | 19910219 | US 1988-232825 | 19880815 |

PRIORITY APPLN. INFO.: JP 1987-201936 A 19870814
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

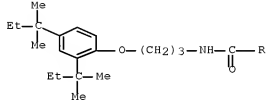
AB In a direct-pos. color photog. material possessing ≥1 prefogged internal-latent-image Ag halide emulsion layer and a coupler capable of forming or releasing a dye on oxidative coupling with a color developing agent, a surface-latent-image neg. Ag halide emulsion is present in a layer other than the one containing the internal-latent-image emulsion layer and the above neg. Ag halide emulsion layer and(or) its adjoining intermediate layer contains ≥1 compound which releases a fogging agent or a development promoter or its precursor corresponding to the amount of Ag developed from the neg. Ag halide emulsion layer upon development with an aromatic primary amine developing agent. A direct-pos. color image is obtained by color development after or during fogging treatment. The interimage effect is increased to improve color reproduction

IT 117234-24-9
RL: USES (Uses)
(direct-pos. photog. material containing, for improved interimage effect)
RN 117234-24-9 ZCAPLUS
CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A

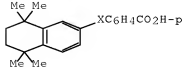


PAGE 2-A

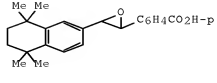


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L41 ANSWER 34 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:423742 ZCAPLUS Full-text
DOCUMENT NUMBER: 111:23742
ORIGINAL REFERENCE NO.: 111:4141a,4144a
TITLE: Retinobenzoic acids. 3. Structure-activity relationships of retinoidal azobenzene-4-carboxylic acids and stilbene-4-carboxylic acids
AUTHOR(S): Kagechika, Hiroyuki; Himi, Toshiyuki; Namikawa, Koushi; Kawachi, Emiko; Hashimoto, Yuichi; Shudo, Koichi
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Journal of Medicinal Chemistry (1989), 32(5), 1098-108
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:23742
GI



I



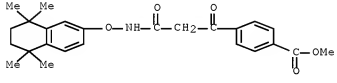
II

AB Alkyl-substituted azobenzene-4-carboxylic acids are potent differentiation-inducers of human promyelocytic leukemia cell line HL-60 to mature granulocytes. Their structure-activity relationships are very similar to those of other retinoidal benzoic acids which are generally represented by RXC6H4CO2H-p [R = substituted Ph, X = N:N(O), COCO, NHCO, CMe:CH] and named retinobenzoic acids. The structure-activity relationships of azobenzenecarboxylic acids can also be applied to the known retinoid TTNPB [I; X = (E)-MeC:CH]. Thus, (E)-4-[2-(3,4-diisopropylphenyl)-1-propenyl]benzoic acid (St30) and (E)-4-[2-(3-tert-butylphenyl)ethenyl]benzoic acid (St40), the acyclic alkyl analogs of [I; X = (E)-MeC:CH], are nearly as active as retinoic acid. Among the oxidatively derived compds. (Az90, Ep series and Ox series) of azobenzene- or stilbenecarboxylic acids, Az90 [I; X = N:N(O)] and Ep80 (II) have strong activities. However, all the bishydroxylated derivs. of I [X = (E)-MeC:CH] are inactive, while a diketo analog OX580 (I; X = COCO) has only weak potency. The activities of conformationally restricted compds. of I [X = (E)-MeCH:CH] offer some information on the stereochem. of the active form of these retinoidal compds.

IT 119435-99-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of, benzofuran from)

RN 119435-99-3 ZCAPLUS

CN Benzoic acid, 4-[1,3-dioxo-3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)oxy]amino]propyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 42 THERE ARE 42 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)

L41 ANSWER 35 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:202699 ZCAPLUS Full-text
DOCUMENT NUMBER: 110:202699
ORIGINAL REFERENCE NO.: 110:33481a,33484a
TITLE: Color recording material and color imaging method
INVENTOR(S): Shiba, Keisuke; Takahashi, Toshiro; Inoue, Akiyuki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 63205653 | A | 19880825 | JP 1987-37797 | 19870223 |

PRIORITY APPLN. INFO.: JP 1987-37797 19870223

AB In a color recording material possessing a photosensitive layer containing a Ag halide emulsion and a color coupler(s) on a support, a contrast-improving agent or its precursor which gives color image(s) with γmax ≥3 is incorporated

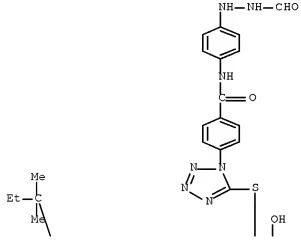
in the material. Color image formation is effected by development in the presence of contrast promoters.

IT 108304-17-2
RL: USES (Uses)
(contrast-enhancing additives, color photog. materials using)

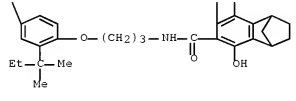
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



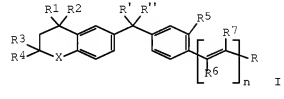
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L41 ANSWER 36 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:192655 ZCAPLUS Full-text
DOCUMENT NUMBER: 110:192655
ORIGINAL REFERENCE NO.: 110:31977a,31980a
TITLE: Antiproliferative benzopyran and benzothiopyran derivatives, processes for their preparation, and their pharmaceutical and cosmetic compositions
INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle,

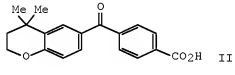
Serge; Shroot, Braham
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Belg., 48 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| BE 1000195 | A5 | 19880823 | BE 1987-240 | 19870311 |
| FR 2600064 | A1 | 19871218 | FR 1987-3257 | 19870310 |
| FR 2600064 | B1 | 19890331 | | |
| JP 62234078 | A | 19871014 | JP 1987-57887 | 19870311 |
| JP 2548176 | B2 | 19961030 | | |
| GB 2189482 | A | 19871028 | GB 1987-5765 | 19870311 |
| GB 2189482 | B | 19900328 | | |
| CH 672638 | A5 | 19891215 | CH 1987-910 | 19870311 |
| US 4829080 | A | 19890509 | US 1987-25200 | 19870312 |
| CA 1298304 | C | 19920331 | CA 1987-531909 | 19870312 |
| CA 1315685 | C | 19930406 | CA 1987-531912 | 19870312 |

PRIORITY APPLN. INFO.: LU 1986-86351 A 19860312
OTHER SOURCE(S): MARPAT 110:192655
GI



I

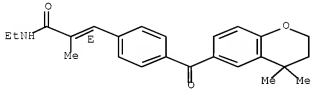


II

AB The title compds. [I; n = 0, 1; X = O, S, S(O), S(O)2; R1 = H, OH, C1-4 alkoxy or acyloxy, NH2; R'' = H, C1-4 alkoxy; R'R'' = O, CH2, NOH; R = CH2OH, COR8; R1-R4 = H, alkyl; R5-R7 = H, Me; when n = 1, R5R7 may = CH:CH; R8 = H, OR9, NR10R11; R9 = H, C1-20 alkyl, mono- or polyhydroxyalkyl, a sugar residue, (CH2)pNR10R11, (un)substituted aryl or aralkyl; p = 1-3; R10, R11 = H, alkyl, monohydroxyalkyl optionally interrupted by a heteroatom, polyhydroxyalkyl, amino acid or amino sugar residue, (un)substituted aryl or PhCH2; or NR10R11 = heterocyclyl] are prepared and formulated as antiproliferative agents (no data), especially for dermatol. use. Friedel-Crafts acylation of 4,4-dimethyl-3,4-dihydrobenzopyran by 4-(MeO2C)C6H4COCl in C1CH2CH2C1 with AlCl3 catalyst, followed by saponification of the obtained ester with KOH in refluxing EtOH, gave (dimethyldihydrobenzopyranyl)carbonylbenzoic acid II. An unguent was prepared from II 0.005, iso-Pr myristate 81.700, vaseline 9.100, and Aerosil-200, 9.180 g.

IT 112110-36-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiproliferative agent)
RN 112110-36-8 ZCAPLUS
CN 2-Propenamide, 3-[4-[(3,4-dihydro-4,4-dimethyl-2H-1-benzopyran-6-yl)carbonyl]phenyl]-N-ethyl-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



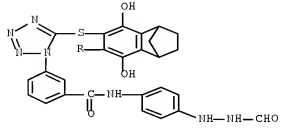

L41 ANSWER 37 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:601287 ZCAPLUS Full-text
DOCUMENT NUMBER: 109:201287
ORIGINAL REFERENCE NO.: 109:33137a,33140a
TITLE: Direct positive photographic material and process for forming direct positive image
INVENTOR(S): Inoue, Noriyuki; Kobayashi, Hidetoshi; Heki, Tatsuo; Deguchi, Naoyasu; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 8801402 | A1 | 19880225 | WO 1987-JP609 | 19870814 |
| W: JP, US | | | | |
| RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| EP 278986 | A1 | 19880824 | EP 1987-905294 | 19870814 |
| EP 278986 | B1 | 19940112 | | |
| R: DE, FR, GB, NL | | | | |
| US 4948712 | A | 19900814 | US 1988-184552 | 19880607 |
| PRIORITY APPLN. INFO.: | | | JP 1986-190628 A | 19860815 |
| | | | WO 1987-JP609 W | 19870814 |

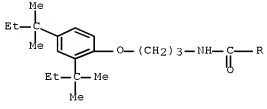
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The title photog. material has ≥1 non-prefogged internal-latent-image-forming Ag halide emulsion layer and contains ≥1 compound that releases a fogging agent, a development promoter, or their precursor. The photog. process includes a development during and/or after a fogging treatment of an imagewise exposed photog. material.
IT 117234-24-9
RL: USES (Uses)
(photog. fogging agent- or development promoter-releasing compound, for direct-pos. color images)
RN 117234-24-9 ZCAPLUS
CN 1,4-Methanonaphthalene-6-carboxamide,

N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



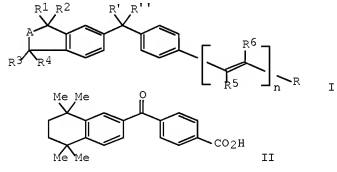
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 38 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:590055 ZCAPLUS Full-text
DOCUMENT NUMBER: 109:190055
ORIGINAL REFERENCE NO.: 109:31443a,31446a
TITLE: Antiproliferative benzoyl-substituted indanes and tetralins and their derivatives, their pharmaceutical and cosmetic formulations, and processes for their preparation
INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle, Serge; Shroot, Braham
PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques (CIRD), Fr.
SOURCE: Fr. Demande, 55 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2601670 | A1 | 19880122 | FR 1986-10423 | 19860717 |
| FR 2601670 | B1 | 19881007 | | |

| | | | | | |
|---|---|---|---|---|---|
| EP 260162 | A1 | 19880316 | EP 1987-401644 | | 19870710 |
| EP 260162 | B1 | 19901114 | | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | | |
| AT 58367 | T | 19901115 | AT 1987-401644 | | 19870710 |
| ES 2002463 | T3 | 19940116 | ES 1987-401644 | | 19870710 |
| DK 8703707 | A | 19880118 | DK 1987-3707 | | 19870716 |
| DK 171965 | B1 | 19970901 | | | |
| FI 8703148 | A | 19880118 | FI 1987-3148 | | 19870716 |
| FI 89261 | B | 19930531 | | | |
| FI 89261 | C | 19930910 | | | |
| NO 8702983 | A | 19880118 | NO 1987-2983 | | 19870716 |
| NO 167141 | B | 19910701 | | | |
| NO 167141 | C | 19911009 | | | |
| CA 1296352 | C | 19920225 | CA 1987-542301 | | 19870716 |
| CA 1328605 | C | 19940419 | CA 1987-542302 | | 19870716 |
| AU 8775903 | A | 19880204 | AU 1987-75903 | | 19870717 |
| AU 597396 | B2 | 19900531 | | | |
| JP 63030433 | A | 19880209 | JP 1987-177350 | | 19870717 |
| JP 2731148 | B2 | 19980325 | | | |
| ZA 8705261 | A | 19880330 | ZA 1987-5261 | | 19870717 |
| US 4833240 | A | 19890523 | US 1987-74969 | | 19870717 |
| NO 9002453 | A | 19880118 | NO 1990-2453 | | 19900601 |
| NO 168031 | B | 19910930 | | | |
| NO 168031 | C | 19920108 | | | |
| PRIORITY APPLN. INFO.: | | | FR 1986-10423 | A | 19860717 |
| | | | EP 1987-401644 | A | 19870710 |
| | | | NO 1987-2983 | A1 | 19870716 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 109:190055; MARPAT 109:190055
GI




AB Title compds. I [A = CH2 or CH2CH2 (un)substituted by alkyl; n = 0, 1; R = CH2OH, COR7; R' = H, OH, C1-4 alkoxy or acyloxy; R'' = H, C1-4 alkoxy; R'R'' = O, CH2, NOH; R1-R4 = H, alkyl; R1R3 may = CH2 or CH2CH2 when A = CH2CH2; R5, R6 = H, Me; R7 = H, OR8, NR9R10; R8 = H, C1-20 alkyl, hydroxyalkyl, (CH2)pNR9R10, (un)substituted aryl or aralkyl; R9, R10 = H, alkyl, hydroxyalkyl (un)interrupted by a heteroatom, amino acid or amino sugar moiety, (un)substituted aryl or PhCH2; NR9R10 = heterocyclyl] are prepared for use as antiproliferative agents in the treatment of dermatol., respiratory, and ocular conditions (no data). Friedel-Crafts acylation of 5,5,8,8-

tetramethyl-5,6,7,8-tetrahydronaphthalene by 4-(MeO2C)C6H4COCl (ClCH2CH2Cl, AlCl3, 5°), followed by saponification of the ester (EtOH, 6 N KOH, 50°), gave [(tetramethyltetrahydronaphthyl)carbonyl]benzoic acid II. Tablets were prepared, each containing II 0.010, starch 0.115, di-Ca phosphate 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT 117168-44-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(formulation containing)

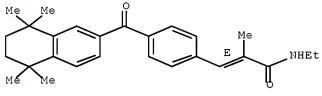
RN 117168-44-2 ZCAPLUS

CN 2-Propenamide, N-ethyl-2-methyl-3-[4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]phenyl]-, (E)-, mixt. with 6-hydroxy-1,3-benzoxathiol-2-one (9CI) (CA INDEX NAME)

CM 1

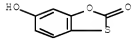
CRN 117168-43-1
CMF C27 H33 N O2

Double bond geometry as shown.




CM 2

CRN 4991-65-5
CMF C7 H4 O3 S

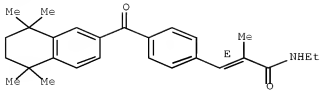



IT 117168-43-1P 117260-01-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug and cosmetic agent)

RN 117168-43-1 ZCAPLUS

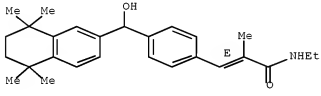
CN 2-Propenamide, N-ethyl-2-methyl-3-[4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 117260-01-2 ZCAPLUS
CN 2-Propenamide, N-ethyl-3-[4-[hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methyl]phenyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



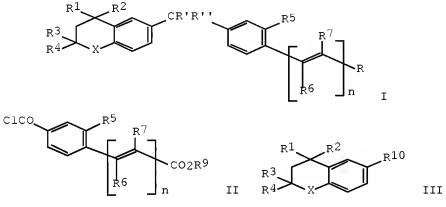
OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 39 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:37648 ZCAPLUS Full-text
DOCUMENT NUMBER: 108:37648
ORIGINAL REFERENCE NO.: 108:6295a,6298a
TITLE: Benzopyranyl- and benzothiopyranyl compounds of benzoic acid, procedure for their preparation, formulations containing them, and their use in cosmetics and in human and veterinarian medicine
INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle, Serge; Shroot, Braham
PATENT ASSIGNEE(S): Oreal S. A. , Fr.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3708060 | A1 | 19870924 | DE 1987-3708060 | 19870312 |
| DE 3708060 | C2 | 19980409 | | |
| FR 2600064 | A1 | 19871218 | FR 1987-3257 | 19870310 |
| FR 2600064 | B1 | 19890331 | | |
| JP 62234078 | A | 19871014 | JP 1987-57887 | 19870311 |
| JP 2548176 | B2 | 19961030 | | |
| GB 2189482 | A | 19871028 | GB 1987-5765 | 19870311 |

| | | | | | |
|---|---|---|---|---|---|
| GB 2189482 | B | 19900328 | | | |
| CH 672638 | A5 | 19891215 | CH 1987-910 | | 19870311 |
| US 4829080 | A | 19890509 | US 1987-25200 | | 19870312 |
| CA 1298304 | C | 19920331 | CA 1987-531909 | | 19870312 |
| CA 1315685 | C | 19930406 | CA 1987-531912 | | 19870312 |

PRIORITY APPLN. INFO.: LU 1986-86351 A 19860312
OTHER SOURCE(S): CASREACT 108:37648; MARPAT 108:37648
GI



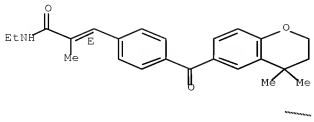
AB Benzo(thio)pyrans I [n = 0, 1; X = O, S, S(O), S(O2); R' = H, OH, C1-4 alkoxy or acyloxy, NH2; R'' = H, C1-4 alkoxy; R' R'' = O, CH2 NOH; R = CH2OH, COR8; R8 = H, OR9, (un)substituted amino; R9 = H, C1-20 alkyl, (poly)hydroxyalkyl, (un)substituted aryl or aralkyl, sugar moiety, (un)substituted aminoalkyl; R1-R4 = H, alkyl; R5, R6, R7 = H, Me; when n = 1, R5R7 = CH:CH] and their salts and geometrical and optical isomers, useful in human and veterinary medicine and in cosmetics (no data), were prepared: a) by reaction, under Friedel-Crafts conditions, of acid chlorides II (R9 = C1-20 alkyl) with III (R10 = H) with optional further conversion to the oxo acid, and/or amide by reaction with an amine; b) reaction of oxo aldehydes I (n = 0, R = CHO, R'R'' = O) with (EtO)2P(O)CHR7CO2R9 (R9 = alkyl) in the presence of NaH in THF and preparation of the product unsatd. oxo ester for conversion to other I; c) reaction of Grignard reagent III (R10 = MgBr) with 4-OCHC6H4CH:CR7CO2R9 and preparation of the product unsatd. hydroxy ester for conversion to other I. Benzopyran I (n = 0, R'R'' = O, R1, R2 = Me, R3 = R4 = R5 = H, R = CO2H) (IV) was prepared in 4 steps from 4-OCHC6H4CO2Me via reactions of 4,4-dimethyl-3,4-dihydrobenzopyran (V) with 4-ClCOC6H4CO2Me. Tablets (0.2%) comprised IV 0.005, starch 0.114, CaHPO4 0.020, SiO2 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT 112110-36-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pharmaceutical, veterinary medicine, and/or cosmetic)

RN 112110-36-8 ZCAPLUS

CN 2-Propenamide, 3-[4-[(3,4-dihydro-4,4-dimethyl-2H-1-benzopyran-6-yl)carbonyl]phenyl]-N-ethyl-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L41 ANSWER 40 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:487044 ZCAPLUS Full-text
DOCUMENT NUMBER: 107:87044
ORIGINAL REFERENCE NO.: 107:14103a,14106a
TITLE: Monodisperse silver halide photographic emulsions
INVENTOR(S): Obayashi, Keiji; Oshima, Naoto; Kobayashi, Hidetoshi; Takada, Shunji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 62008147 | A | 19870116 | JP 1985-147779 | 19850705 |
| JP 07043521 | B | 19950515 | | |
| PRIORITY APPLN. INFO.: | | | JP 1985-147779 | 19850705 |

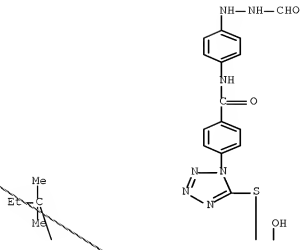
AB A photog. material is provided with ≥1 Ag halide emulsion layer wherein ≥1 emulsion comprises monodisperse Ag halide grains having a particle size distribution characterized by the coefficient of variation <0.25 and wherein the emulsion contains a fogging agent, a development promotor, or a precursor which releases the compound in the amount commensurate with the aromatic primary amine developer for the emulsion. A high-sensitivity superior-granularity photog. material is obtained.

IT 108304-17-2
RL: USES (Uses)
(fogging agent, in monodisperse photog. emulsion)

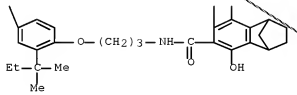
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 41 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:224352 ZCAPLUS Full-text
DOCUMENT NUMBER: 106:224352
ORIGINAL REFERENCE NO.: 106:36221a,36224a
TITLE: Silver halide photographic material containing development inhibitor releasing hydroquinone
INVENTOR(S): Hirano, Shigeo; Nakamura, Takemare; Yagihara, Morio; Ito, Tsamu; Ikeda, Tadashi; Kuwabara, Kenichi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 89 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 61230135 | A | 19861014 | JP 1985-71768 | 19850404 |
| JP 2529822 | B2 | 19960904 | | |
| EP 167168 | A2 | 19860108 | EP 1985-108303 | 19850704 |
| EP 167168 | A3 | 19870415 | | |

| | | | | | |
|---|---|---|---|---|---|
| EP 167168 | B1 | 19891115 | | | |
| EP 167168 | B2 | 19970702 | | | |
| R: DE, GB | | | | | |
| US 4740453 | A | 19880426 | US 1985-813308 | | 19851224 |
| US 5142029 | A | 19920825 | US 1991-741229 | | 19910805 |
| PRIORITY APPLN. INFO.: | | | JP 1984-138808 | A | 19840704 |
| | | | JP 1984-278853 | A | 19841227 |
| | | | JP 1985-71768 | A | 19850404 |
| | | | US 1985-751905 | B1 | 19850705 |
| | | | US 1987-42611 | B1 | 19870421 |
| | | | US 1989-370138 | B1 | 19890623 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

GI For diagram(s), see printed CA Issue.

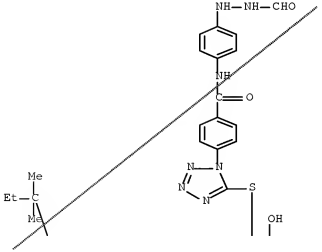
AB A photog. material, having ≥1 Ag halide emulsion layer on a support, is characterized by containing a compound I (R1, R2 = H, substituent; n, m = 0, 1; CA, CB = C; X = a group forming a (un)substituted benzene-ring in combination with CA and CB to provide a redox nucleus; R3 = an electron-withdrawing group having a Hammett's σpara >0.3; Z a timing group, S, N, Se, or simply a bond when m = 0; R4 = a photog. useful group, linked to CB through S, N, Se when m = 0) in the emulsion or other layers which releases image wise a photog. useful group during the development step.

IT 108304-17-2 108304-18-3
RL: USES (Uses)
(development inhibitor releaser from)

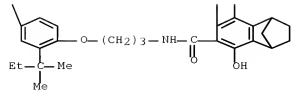
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A

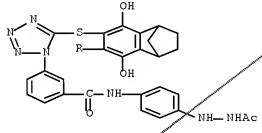


PAGE 2-A

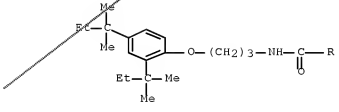


RN 108304-18-3 ZCAPLUS
CN Acetic acid, 2-[4-[[3-[5-[[7-[[[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]amino]carbonyl]-1,2,3,4-tetrahydro-5,8-dihydroxy-1,4-methanonaphthalen-6-yl]thio]-1H-tetrazol-1-yl]benzoyl]amino]phenyl]hydrazide (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 42 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:186312 ZCAPLUS Full-text
DOCUMENT NUMBER: 106:186312
ORIGINAL REFERENCE NO.: 106:30057a,30060a
TITLE: Silver halide photographic material
INVENTOR(S): Ito, Isamu; Ichijima, Yasushi; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

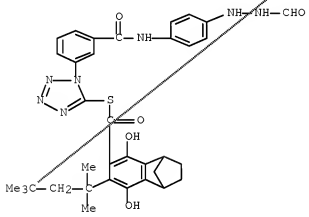
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 61213847 | A | 19860922 | JP 1985-54881 | 19850319 |
| JP 06090486 | B | 19941114 | | |
| PRIORITY APPLN. INFO.: | | | JP 1985-54881 | 19850319 |

AB In a Ag halide photog. material containing a redox compound containing ≥1 carbonyl group, upon oxidation of the redox compound the CO group(s) is attacked by a nucleophilic agent to release a photog. useful reagent. Rapid release of the redox compound is effected and good shelflife is achieved.

IT 108110-83-4P
RL: PREP (Preparation)
(preparation of, as photog. reagent-releasing compound)

RN 108110-83-4 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carbothioic acid, 1,2,3,4-tetrahydro-5,8-dihydroxy-7-(1,1,3,3-tetramethylbutyl)-, S-[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl] ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

```
=>  d his full

     (FILE 'HOME' ENTERED AT 12:52:35 ON 30 APR 2010)

     FILE 'REGISTRY' ENTERED AT 12:52:52 ON 30 APR 2010
L1                STRUCTURE UPLOADED
L2             50 SEA SSS SAM L1

     FILE 'ZCAPLUS' ENTERED AT 12:57:40 ON 30 APR 2010
                E US2006-581947/APPS
L3              1 SEA SPE=ON  ABB=ON  PLU=ON  US2006-581947/AP
                D SCA
                SEL RN

     FILE 'REGISTRY' ENTERED AT 12:58:04 ON 30 APR 2010
L4             52 SEA SPE=ON  ABB=ON  PLU=ON  (102121-54-0/BI OR 102121-55-1/BI
                OR 102121-59-5/BI OR 102121-60-8/BI OR 103031-30-7/BI OR
                10521-06-9/BI OR 119435-90-4/BI OR 119436-52-1/BI OR 119436-53-
                2/BI OR 119454-82-9/BI OR 121866-06-6/BI OR 149647-78-9/BI OR
                149648-52-2/BI OR 1571-08-0/BI OR 1679-64-7/BI OR 168301-01-7/B
                I OR 168301-02-8/BI OR 18469-52-8/BI OR 4518-10-9/BI OR
                505-48-6/BI OR 540-37-4/BI OR 56-91-7/BI OR 5781-53-3/BI OR
                619-45-4/BI OR 62-53-3/BI OR 667-27-6/BI OR 6683-46-1/BI OR
                6683-48-3/BI OR 853728-52-6/BI OR 853728-53-7/BI OR 853728-54-8
                /BI OR 853728-55-9/BI OR 853728-56-0/BI OR 853728-57-1/BI OR
                853728-58-2/BI OR 853728-59-3/BI OR 853728-60-6/BI OR 853728-61
                -7/BI OR 853728-62-8/BI OR 853728-63-9/BI OR 853728-64-0/BI OR
                853728-65-1/BI OR 853728-66-2/BI OR 853728-67-3/BI OR 853728-68
                -4/BI OR 853728-69-5/BI OR 853728-70-8/BI OR 853728-71-9/BI OR
                853728-72-0/BI OR 92050-16-3/BI OR 94497-53-7/BI OR 95-54-5/BI)

                D SCA
L5              STRUCTURE UPLOADED
L6              0 SEA SSS SAM L5
                D STAT QUE L2
L*** DEL        SCREEN 989
L7              STRUCTURE UPLOADED
L8              SCREEN 989
L9              1 SEA SSS SAM L7 AND L8
                D SCA
L10             SCREEN 990 OR 1210 OR 1338
L11             1 SEA SSS SAM L7 AND L10
                D SCA
L12             STRUCTURE UPLOADED
L13             1 SEA SSS SAM (L7 AND L12) AND L10
                D SCA
L14             STRUCTURE UPLOADED
L15             0 SEA SSS SAM (L14 AND L12) AND L10
L16             1 SEA SSS SAM L14 AND L10
                D SCA
L17           389 SEA SSS FUL L14 AND L10
                SAVE TEMP L17 BRO947L14/A
L18             STRUCTURE UPLOADED
L19             6 SEA SUB=L17 SSS SAM L18
                D SCA
L20           103 SEA SUB=L17 SSS FUL L18

     FILE 'ZCAPLUS' ENTERED AT 13:36:41 ON 30 APR 2010
```

```
L21          26 SEA SPE=ON  ABB=ON  PLU=ON  L20
L22          71 SEA SPE=ON  ABB=ON  PLU=ON  L17
L23             ANALYZE PLU=ON  L21 1- RN HIT :      89 TERMS
                D
                SEL 1-15

     FILE 'REGISTRY' ENTERED AT 13:37:27 ON 30 APR 2010
L24          15 SEA SPE=ON  ABB=ON  PLU=ON  (188844-78-2/RN OR 112110-36-8/RN
                OR 628739-86-6/RN OR 628739-89-9/RN OR 628739-92-4/RN OR
                628739-95-7/RN OR 628740-03-4/RN OR 628740-06-7/RN OR 628740-09
                -0/RN OR 628740-12-5/RN OR 628740-19-2/RN OR 628740-22-7/RN OR
                628740-25-0/RN OR 628740-28-3/RN OR 853728-57-1/RN)
                D SCA
                D SCA L20
L25             STRUCTURE UPLOADED
L26          22 SEA SUB=L17 SSS SAM L25
L27         338 SEA SUB=L17 SSS FUL L25
L28             STRUCTURE UPLOADED
L29           7 SEA SUB=L17 SSS SAM L28
L30         115 SEA SUB=L17 SSS FUL L28
L31          21 SEA SPE=ON  ABB=ON  PLU=ON  L20 NOT L30

     FILE 'ZCAPLUS' ENTERED AT 13:49:32 ON 30 APR 2010
L32          33 SEA SPE=ON  ABB=ON  PLU=ON  L30
L33          42 SEA SPE=ON  ABB=ON  PLU=ON  L21 OR L32
L34          72 SEA SPE=ON  ABB=ON  PLU=ON  LEBLOND B?/AU,AUTH
L35           0 SEA SPE=ON  ABB=ON  PLU=ON  LE BLOND B?/AU,AUTH
L36          27 SEA SPE=ON  ABB=ON  PLU=ON  BEAUSOLEIL E?/AU,AUTH
L37          10 SEA SPE=ON  ABB=ON  PLU=ON  (L34 OR L35) AND L36
L38           1 SEA SPE=ON  ABB=ON  PLU=ON  (L34 OR L35 OR L36) AND L33

     FILE 'MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 13:52:33 ON 30 APR 2010

     FILE 'MEDLINE, EMBASE, BIOSIS, WPIX, JAPIO, COMPENDEX' ENTERED AT
     13:52:50 ON 30 APR 2010
L39          17 SEA SPE=ON  ABB=ON  PLU=ON  L37

     FILE 'REGISTRY' ENTERED AT 13:53:14 ON 30 APR 2010

     FILE 'ZCAPLUS' ENTERED AT 13:53:16 ON 30 APR 2010
                D STAT QUE L37

     FILE 'MEDLINE, EMBASE, BIOSIS, WPIX, JAPIO, COMPENDEX' ENTERED AT
     13:53:24 ON 30 APR 2010
                D STAT QUE L39

     FILE 'ZCAPLUS, MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 13:53:31 ON 30
     APR 2010
L40          16 DUP REM L37 L39 (11 DUPLICATES REMOVED)
                      ANSWERS '1-10' FROM FILE ZCAPLUS
                      ANSWER '11' FROM FILE BIOSIS
                      ANSWERS '12-16' FROM FILE WPIX
                D IBIB ABS L40 1-10
                D IALL L40 11-16

     FILE 'REGISTRY' ENTERED AT 13:54:16 ON 30 APR 2010

     FILE 'ZCAPLUS' ENTERED AT 13:54:20 ON 30 APR 2010
                D STAT QUE L21
                D STAT QUE L32
```

```
L41          42 SEA SPE=ON  ABB=ON  PLU=ON  L21 OR L32
                D IBIB ABS HITSTR L41 1-42


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   29 APR 2010  HIGHEST RN 1220951-91-6
     DICTIONARY FILE UPDATES:  29 APR 2010  HIGHEST RN 1220951-91-6

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/support/stngen/stndoc/properties.html

     FILE ZCAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
     American Chemical Society and is provided to assist you in searching
     databases on STN.  Any dissemination, distribution, copying, or storing
     of this information, without the prior written consent of CAS is
     strictly prohibited.

     FILE COVERS 1907 - 30 Apr 2010  VOL 152 ISS 19
     FILE LAST UPDATED: 29 Apr 2010  (20100429/ED)
     REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2010
     USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2010

     ZCAplus now includes complete International Patent Classification (IPC)
     reclassification data for the first quarter of 2010.

     CAS Information Use Policies apply and are available at:

     http://www.cas.org/legal/infopolicy.html

     This file contains CAS Registry Numbers for easy and accurate
     substance identification.

     FILE MEDLINE
      FILE LAST UPDATED: 29 Apr 2010 (20100429/UP).  FILE COVERS 1947 TO DATE.

      MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject
      Headings (MeSH) vocabulary and tree numbers from the U.S.  National Libra
      of Medicine (NLM).  Additional information is available at
```

```
http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010.

The Medline file has been reloaded effective January 24, 2010.  See HELP
RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE EMBASE
FILE COVERAGE: EMBASE-originated material 1974 to 30 Apr 2010 (20100430/E
               Unique MEDLINE content 1948 to present

EMBASE is now updated daily.  SDI frequency remains weekly (default)
and biweekly.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

For further assistance, please contact your local helpdesk.

FILE BIOSIS
FILE COVERS 1926 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 28 April 2010 (20100428/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926
through 1968.  These records have been re-indexed to match current
BIOSIS indexing.

FILE WPIX
FILE LAST UPDATED:          28 APR 2010   <20100428/UP>
MOST RECENT UPDATE:           201027      <201027/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
    and FI-Terms have been updated with reclassifications to
    end of December 2009.
    No update date (UP) has been created for the reclassified
    documents, but they can be identified by
    specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)
    STN USER DOCUMENTATION, PLEASE VISIT:
    http://www.stn-international.com/stn_dwpi.html <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS  <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

FILE JAPIO
```

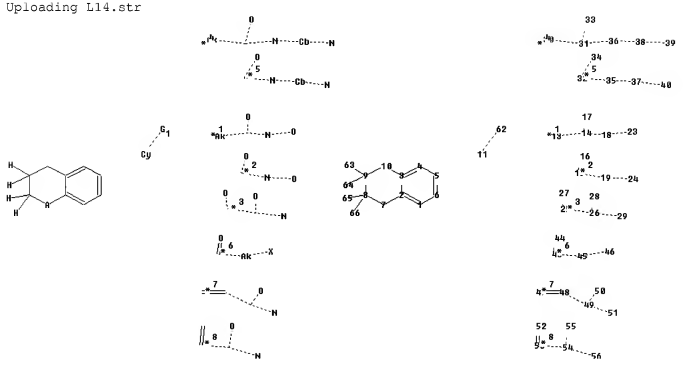
FILE LAST UPDATED: 30 APR 2010 <20100430/UP>
MOST RECENT PUBLICATION DATE: 28 JAN 2010 <20100128/PD>
>>> GRAPHIC IMAGES AVAILABLE <<<

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION (SLART) IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <<<

FILE COMPENDEX
FILE LAST UPDATED: 27 APR 2010 <20100427/UP>
FILE COVERS 1970 TO DATE.

<<< SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI), ABSTRACT (/AB), and TITLE (/TI) FIELDS >>>

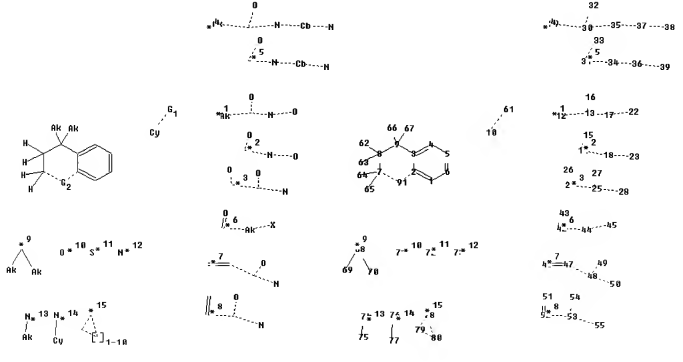
Uploading L14.str



chain nodes :
11 13 14 15 16 17 18 19 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 43 44 45 46 47 48 49 50 51 52 53 54 55 56 62 63 64 65 66

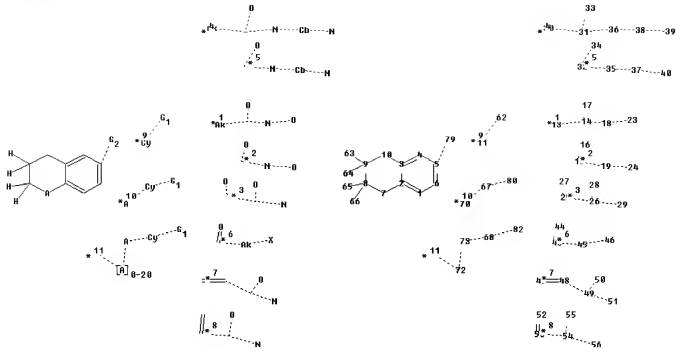
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
8-65 8-66 9-63 9-64 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-26 25-27 26-28 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39 43-44 43-45 45-46 47-48 48-49 49-50 49-51 52-53 53-54 54-55 54-56
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-26 25-27 26-28 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39 43-44 43-45 45-46 48-49 49-50 49-51 53-54 54-55 54-56

```
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
23:CLASS  24:CLASS
25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS
33:CLASS  34:CLASS
35:CLASS  36:CLASS  37:Atom  38:Atom  39:CLASS  40:CLASS  43:CLASS  44:CLASS
45:CLASS  46:CLASS
47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
55:CLASS  56:CLASS
62:CLASS  63:CLASS  64:CLASS  65:CLASS  66:CLASS
Generic attributes :
11:
Saturation              : Unsaturated



Uploading L18.str
```



```
chain nodes :
10  12  13  14  15  16  17  18  22  23  24  25  26  27  28  29  30  31  32  33  34
35  36  37  38  39  42  43  44  45  46  47  48  49  50  51  52  53  54  55  61  62
63  64  65
66  67  69  70  75  77
ring nodes :
```

```
1  2  3  4  5  6  7  8  9  68  71  72  73  74  76  78  79  80  91
chain bonds :
7-64  8-62  8-63  9-66  9-67  10-61  12-13  13-16  13-17  14-15  14-18  17-22  18-
23
24-25  24-26  25-27  25-28  29-30  30-32  30-35  31-33  31-34  34-36  35-37  36-39
37-38  42-43
42-44  44-45  46-47  47-48  48-49  48-50  51-52  52-53  53-54  53-55  68-69  68-70
74-75  76-77

ring bonds :
1-2  1-6  2-3  2-91  3-4  3-9  4-5  5-6  7-65  7-8  7-91  8-9  78-79  78-80  79-80

exact/norm bonds :
2-91  7-64  7-91  8-62  8-63  9-66  9-67  10-61  12-13  13-16  13-17  14-15  14-18
17-22  18-23  24-25  24-26  25-27  25-28  29-30  30-32  30-35  31-33  31-34  34-36
35-37  36-39
37-38  42-43  42-44  44-45  46-47  47-48  48-49  48-50  51-52  52-53  53-54  53-55
68-69  68-70
74-75  76-77  78-79  78-80  79-80
exact bonds :
3-9  7-65  7-8  8-9
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

G2:[*9],[*10],[*11],[*12],[*13],[*14],[*15]

Connectivity :
5:3 M minimum RC ring/chain  10:2 M minimum RC ring/chain  73:2 E exact RC
ring/chain

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  22:CLASS
23:CLASS  24:CLASS
25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS
33:CLASS  34:CLASS
35:CLASS  36:Atom  37:Atom  38:CLASS  39:CLASS  42:CLASS  43:CLASS  44:CLASS
45:CLASS  46:CLASS
47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
55:CLASS  61:CLASS
62:CLASS  63:CLASS  64:CLASS  65:CLASS  66:CLASS  67:CLASS  68:Atom  69:CLASS
70:CLASS  71:Atom
72:Atom  73:Atom  74:Atom  75:CLASS  76:Atom  77:Atom  78:Atom  79:Atom  80:Atom
91:Atom
Generic attributes :
10:
Saturation             : Unsaturated



Uploading L28.str
```



```
chain nodes :
11  13  14  15  16  17  18  19  23  24  25  26  27  28  29  30  31  32  33  34  35
36  37  38  39  40  43  44  45  46  47  48  49  50  51  52  53  54  55  56  62  63
64  65  66
67  68  79  80  82
ring nodes :
1  2  3  4  5  6  7  8  9  10
ring/chain nodes :
70  71  72  73
chain bonds :
5-79  8-65  8-66  9-63  9-64  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-
24
25-26  25-27  26-28  26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40
38-39  43-44
43-45  45-46  47-48  48-49  49-50  49-51  52-53  53-54  54-55  54-56  67-70  67-80
68-73  68-82

ring/chain bonds :
71-72  72-73
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10
exact/norm bonds :
5-79  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-26  25-27  26-28
26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39  43-44  43-45
45-46  48-49
49-50  49-51  53-54  54-55  54-56  67-70  67-80  68-73  68-82  71-72  72-73
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

G2:[*9],[*10],[*11]
```

```
Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
23:CLASS  24:CLASS
25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS
33:CLASS  34:CLASS
35:CLASS  36:CLASS  37:Atom  38:Atom  39:CLASS  40:CLASS  43:CLASS  44:CLASS
45:CLASS  46:CLASS
47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
55:CLASS  56:CLASS
62:CLASS  63:CLASS  64:CLASS  65:CLASS  66:CLASS  67:Atom  68:Atom  70:CLASS
71:CLASS  72:CLASS
73:CLASS  79:CLASS  80:CLASS  82:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
67:
Saturation              : Unsaturated
68:
Saturation              : Unsaturated



=>
```